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Thursday, October 26, 2006

Case Serial Number: 10/849089

From: Deirdre Arnold

Location: Biotech-Chem Library

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Search Notes

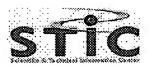
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Thank you for using STIC services.

Regards,

Deirdre Arnold





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Mary Hale, Information Branch Supervisor 571-272-2507 Remsen 1 A51

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>	I am an examiner in Workgroup: Example: 1610
>	Relevant prior art found, search results used as follows:
	☐ 102 rejection
	☐ 103 rejection
	☐ Cited as being of interest.
	Helped examiner better understand the invention.
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	Types of relevant prior art found:
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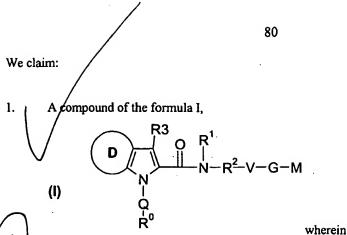
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Scientific and Technical Information Cen	iter
SEARCH, REQUEST FORM	
Requester's Full Name: Will Muto Shid Examiner #:	ferred (circle): PAPER DISK
/ 5 C / 8 To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and ab	ostract or fill out the following:
Title of Invention: Azandole-denone on for	afor XV
inventors (please provide full names): NGZGE at al.	
Earliest Priority Date:	
Search Topic: Please provide a detailed statement of the search topic, and describe as specifically as possible the selected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine we Define any terms that may have a special meaning. Give examples or relevant citations, authors, e	with the concept or utility of the invention.
For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, appropriate serial number.	or issued patent numbers) along with the
I sulv cpd 2 (see claims)	* D is pyridine
Apridine R3 R4-1 (D) M-N-R2-Y-G-M	t Qis a hona,
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Cy Rosary, heterocycles neteroary	heteroycle, heterogy A RI, R3 R2 V, G
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1 and -	Mis H, alkyl
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	netenycle, cyclofkyl,

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- 1) a monocyclic or bicyclic 6- to 14-membered aryl, wherein aryl is mono-, di- or trisubstituted independently of one another by R8,
- 2) a monocyclic or bicyclic 4- to 15-membered heterocyclyl selected from the group consisting of benzimidazolyl, 1,3-benzodioxolyl, benzofuranyl, benzoxazolyl, benzothiazolyl, benzothiophenyl, cinnolinyl, chromanyl, indazolyl, indolyl, isochromanyl, isoindolyl, isoquinolinyl, phenylpyridyl, phthalazinyl, pteridinyl, purinyl, pyridyl, pyridoimidazolyl, pyridopyridinyl, pyridopyrimidinyl, pyrimidinyl, quinazolinyl, quinoxalinyl and 1,4,5,6-tetrahydro-pyridazinyl, wherein said heterocyclyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R8, or
- a monocyclic or bicyclic 4- to 15-membered heterocyclyl, containing one, two, three or four heteroatoms chosen from nitrogen, sulfur or oxygen, wherein said heterocyclyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R8, and is additionally substituted by a monocyclic or bicyclic 4- to 15-membered heterocyclyl, containing one, two, three or four heteroatoms chosen from nitrogen, sulfur or oxygen, wherein the heterocyclyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R8;
- R8 is 1) halogen,
 - 2) -NO₂,
 - 3) -CN,
 - 4) $-C(O)-NH_2$,
 - 5) -OH,
 - 6) $-NH_2$,
 - 7) -O-CF₃
- 8) a monocyclic or bicyclic 6- to 14-membered aryl, wherein the aryl is mono-, di- or trisubstituted independently of one another by halogen or -O-(C₁-C₈)-alkyl,

- 9) -(C₁-C₈)-alkyl, wherein the alkyl is unsubstituted or mono-, di- or trisubstituted independently of one another by halogen, NH₂, -OH or methoxy,
- 10) -O-(C₁-C₈)-alkyl, wherein the alkyl is unsubstituted or mono-, di- or trisubstituted independently of one another by halogen, NH₂, -OH or methoxy,
- 5 11) -SO₂-CH₃ or
 - 12) -SO₂-CF₃,

provided that when R^0 is a monocyclic or bicyclic 6- to 14-membered aryl, then R8 is least one of the substitutent of the aryl is halogen, $-C(O)-NH_2$ or $-O-(C_1-C_8)$ -alkyl;

10 the substructure



in formula I is a 4-to 8 membered saturated, partially unsaturated or aromatic cyclic group containing zero, 1, 2, 3 or 4 heteroatoms chosen from nitrogen, sulfur or oxygen and is unsubstituted or substituted 1, 2, 3, 4, 5 or 6 times by R3, or substituted 1 or 2 times by=O, provided that said cyclic group is not a phenyl residue;

Q is a direct bond, $-(C_0 - C_2)$ -alkylene- $-(C_0 - NR^{10} - NR^{10} - C_0)$ - $-NR^{10} - C_0$ - $-NR^{10} -$

 $-(CH_2)_m$ -S- $(CH_2)_n$ -, $-(CH_2)_m$ -C(O)- $(CH_2)_n$ -, $-(CH_2)_m$ -SO₂-NR¹⁰- $(CH_2)_n$ -,

20 -(CH₂)_m-NR¹⁰-SO₂-(CH₂)_n-, -(CH₂)_m-NR¹⁰-SO₂-NR¹⁰-(CH₂)_n-,

 $-(CH_2)_m$ -CH(OH)-(CH₂)_n-, -(CH₂)_m-O-C(O)-NR¹⁰-(CH₂)_n-,

-(C2-C3)-alkylene-O-(C0-C3)-alkylene-, -(C2-C3)-alkylene-S(O)-,

-(C_2 - C_3)-alkylene- $S(O)_2$ -, -(CH_2)_m- NR^{10} -C(O)-O-(CH_2)_n-,

-(C_2 - C_3)-alkylene- $S(O)_2$ -NH-(R^{10})-, -(C_2 - C_3)-alkylene- $N(R^{10})$ - or

25 -(C₀-C₃)-alkylene-C(O)-O-(CH₂)_m-,

wherein $-(CH_2)_m$ - or $-(CH_2)_n$ - are alkylene that is unsubstituted or mono-, di- or trisubstituted independently of one another by halogen, $-NH_2$ or -OH, or $-(C_3-C_6)$ -cycloalkylene, that is unsubstituted or mono-, di- or trisubstituted independently of one another by halogen, $-NH_2$ or -OH;

• • • • •

hydrogen, -(C₁-C₄)-alkyl, wherein the alkyl is unsubstituted or substituted one to three times by R13, -(C₁-C₃)-alkylene-C(O)-NH- R⁰, -(C₁-C₃)-alkylene-C(O)-O-R¹⁵, a monocyclic or bicyclic 6- to 14-membered aryl, wherein the aryl is mono-, di- or trisubstituted independently of one another by R8; a monocyclic or bicyclic 4- to 15-membered heterocyclyl, containing one, two, three or four heteroatoms chosen from nitrogen, sulfur or oxygen, -(C₁-C₃)-perfluoroalkylene, -(C₁-C₃)-alkylene-S(O)-(C₁-C₄)-alkyl, -(C₁-C₃)-alkylene-S(O)₂-N(R⁴')-R⁵', -(C₁-C₃)-alkylene-O-(C₁-C₄)-alkyl, -(C₀-C₃)-alkylene-(C₃-C₈)-cycloalkyl, or -(C₀-C₃)-alkylene-het, wherein the het is a 3- to 7-membered cyclic residue, containing up to 1, 2, 3 or 4 heteroatoms chosen from nitrogen, sulfur or oxygen, and is unsubstituted or mono-, di- or trisubstituted independently of one another by R14,

R4' and R5' are independent of one another are identical or different and are hydrogen atom or - (C₁-C₄)-alkyl,

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R² is a direct bond or -(C₁-C₄)-alkylene, or

or $-(C_1-C_6)$ -alkyl;

R¹ and R³

together with the atoms to which they are bonded form a
6- to 8-membered cyclic group, containing 1, 2, 3 or 4 heteroatoms chosen from
nitrogen, sulfur or oxygen, wherein said cyclic group is unsubstituted or mono-, di- or

trisubstituted independently of one another by R14, or

R¹-N-R²-V

form a 4- to 7-membered cyclic group, containing 1, 2, 3 or 4 heteroatoms chosen from nitrogen, sulfur or oxygen, and wherein said cyclic group is unsubstituted or mono-, di- or trisubstituted independently of one another by R14;

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- a 3- to 7-membered cyclic residue, containing 1, 2, 3 or 4 heteroatoms chosen from nitrogen, sulfur or oxygen, wherein the cyclic residue is unsubstituted or mono-, di- or trisubstituted independently of one another by R14,
- a 6- to 14-membered aryl, wherein the aryl is unsubstituted or mono-, di- or 2) trisubstituted independently of one another by R14, or
- 3) a monocyclic or bicyclic 4- to 15-membered heterocyclyl, wherein the heterocyclyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R14;

a direct bond, -(CH₂)_m-NR¹⁰-SO₂-NR¹⁰-(CH₂)_n-, -(CH₂)_m-CH(OH)-(CH₂)_n-, -(CH₂)_m-,

 $-(CH_2)_m$ -O- $(CH_2)_n$ - $-(CH_2)_m$ -C(O)-NR¹⁰ -(CH₂)_n-, -(CH₂)-SO₂-(CH₂)_n-,

 $-(CH_2)_m - NR^{10} - C(O) - NR^{10} - (CH_2)_n - (CH_2)_m - NR^{10} - C(O) - (CH_2)_n$

-(CH₂)_m-C(O)-(CH₂)_n-, -(CH₂)-S-(CH₂)_n-, -(CH₂)_m-SO₂-NR¹⁰-(CH₂)_n-,

 $-(CH_2)_m-NR^{10}-SO_2-(CH_2)_n-$, $-(CH_2)_m-NR^{10}-$, $-(CH_2)_m-O-C(O)-NR^{10}-(CH_2)_n-$ or

 $(CH_2)_{m}$ -NR¹⁰-C(O)-O-(CH₂)_n-.

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and m are independently of one another identical or different and are the integers zero, 1, 2, 3, 4, 5 or 6;

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- 1) hydrogen,
- 2) -(C1-C8)-alkyl, wherein the alkyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R14,
- 3) -C(O)-N(R11)-R12,
- $-(CH_2)_m-NR^{10}$ 4)
- - a 6-to14-membered aryl, wherein the aryl is unsubstituted or mono-, di- or trisubstituted independently of one another by R14,
 - a monocyclic or bicyclic 4- to 15-membered heterocyclyl, wherein the heterocyclyl is 6) unsubstituted or mono-, di- or trisubstituted independently of one another by R14,
 - 7) -(C3-Cg)-cycloalkyl, wherein the cycloalkyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R14, or

- a 3- to 7-membered cyclic residue, containing 1, 2, 3 or 4 heteroatoms chosen from nitrogen, sulfur or oxygen, wherein said cyclic residue is unsubstituted or mono-, di- or trisubstituted independently of one another by R14;
- hydrogen,

•		
	2)	halogen,
	3)	-(C ₁ -C ₄)-alkyl, wherein the alkyl is unsubstituted or mono-, di- or trisubstituted
		independently of one another by R13,
	4)	-(C ₁ -C ₃)-perfluoroalkyl,
5	5)	phenyl, wherein the phenyl is unsubstituted or mono-, di- or trisubstituted
		independently of one another by R13,
	6)	-(C ₀ -C ₄)-alkylene-O-R19,
•	7)	-NO ₂ ,
	8)	-CN,
10	9)	-SO _S -R ¹¹ , wherein s is 1 or 2,
•	10)	$-SO_t-N(R^{11})-R^{12}$, wherein t is 1 or 2,
	11)	$-(C_0-C_4)$ -alkylene- $-C(O)-R^{11}$,
	12)	- (C_0-C_4) -alkylene- $C(O)$ - $O-R^{11}$,
	13)	-(C_0 - C_4)-alkylene- $C(O)$ - $N(R^{11})$ - R^{12} ,
15	14)	$-(C_0-C_4)$ -alkylene- $N(R^{11})-R^{12}$,
	15)	-NR ¹⁰ -SO ₂ -R ¹⁰ ,
	16)	-S-R ¹⁰ ,
	17)	- (C_0-C_2) alkylene- $C(O)-O-(C_2-C_4)$ -alkylene- $O-C(O)-(C_1-C_4)$ -alkyl,
	18)	-C(O)-O-C(R15, R16)-O-C(O)-R17,
20	19)	$-(C_0-C_2)$ alkylene- $C(O)-O-(C_2-C_4)$ -alkylene- $O-C(O)-O-(C_1-C_6)$ -alkyl,
	20)	-C(O)-O- C(R15, R16)-O-C(O)-O-R17,
	21)	-(C ₀ -C ₄)-alkylene-(C ₆ -C ₁₄)-aryl, wherein aryl is mono-, di- or trisubstituted
	•	independently of one another by R13,
	22)	-(C ₀ -C ₄)-alkylene-(C ₄ -C ₁₅)-heterocyclyl, wherein the heterocyclyl is unsubstituted
25		or mono-, di- or trisubstituted independently of one another by R13
	23)	-(C ₀ -C ₄)-alkylene-(C ₃ -C ₈)-cycloalkyl, wherein the cycloalkyl is unsubstituted or
		mono-, di- or trisubstituted independently of one another by R13,
	24)	-(C ₀ -C ₄)-alkylene-het, wherein the het is unsubstituted or mono-, di- or trisubstituted

independently of one another by R13,

-SO_w-N(R^{11})- R^{13} , wherein w is 1 or 2,

-(C_0 - C_4)-alkylene-C(0)- $N(R^{11})$ - R^{13} ,

 $\hbox{-($C_0$-$C_4$)-alkylene-O-CH$_2$-($C_1$-$C_3$)-perfluoroalkylene-CH$_2$-O-($C_0$-$C_4$)-alkylene-CH$_2$-($C_1$-$C_3$)-perfluoroalkylene-CH$_2$-($C_0$-$C_4$)-alkylene-CH$_2$-($C_1$-$C_3$)-perfluoroalkylene-CH$_2$-($C_0$-$C_4$)-alkylene-CH$_2$-($C_0$-$C_0$-$C_0$)-alkylene-CH$_2$-($C_0$-$C_0$-$C_0$-($C_0$-$C_0$-$C_0$)-alkylene-CH$_2$-($C_0$-$C_0$-$C_0$-($C_0$-$C_0$-$C_0$-($C_0$-$C_0$-$C_0$-($$

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25)

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- 28) $-(C_0-C_4)$ -alkylene-N(R¹¹)-R¹³, or
- 29) a residue selected from the group consisting of

wherein Me is methyl;

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R19 is a) hydrogen,

b) -(C₁-C₄)-alkyl, wherein the alkyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R13,

- c) -CF₃, or
- d) $-CHF_2$,
- or two -OR19 residues and adjacent atoms through which they are attached may form together a 5- or 6- membered ring, that is unsubstituted or substituted one, two, three or four times by R13;

R11 and R12 are independently of one another identical or different and are

- 1) hydrogen,
- 20 c) -(C₁-C₆)-alkyl, wherein the alkyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R13,
 - 3) -(C₀-C₆)-alkyl-(C₃-C₈)-cycloalkyl,
 - 4) -SO_t-R¹⁰, wherein t is 1 or 2,

- 5) -(C₀-C₆)-alkyl-(C₆-C₁₄)-aryl, wherein the alkyl and aryl independently from one another are unsubstituted or mono-, di- or trisubstituted by R13,
- 6) -(C₁-C₃)-perfluoroalkyl,

selected from the group consisting of

- 7) $-O-R^{17}$, or
- 5 8) -(C₀-C₆)-alkyl-(C₄-C₁₅)-heterocyclyl, wherein the alkyl and heterocyclyl independently from one another are unsubstituted or mono-, di- or trisubstituted by R13, or
- R11 and R12 together with the nitrogen atom to which they are bonded form a 4- to 7-membered monocyclic heterocyclic ring which in addition to the nitrogen atom contain one or two identical or different ring heteroatoms chosen from oxygen, sulfur and nitrogen; wherein said heterocyclic ring is unsubstituted or mono-, di- or trisubstituted independently of one another by R13;
- R13 is halogen, -NO₂, -CN, =O, -OH, -CF₃, -C(O)-O-R¹⁰, -C(O)-N(R¹⁰)-R²⁰,

 -N(R¹⁰)-R²⁰, -(C₃-C₈)-cycloalkyl, -(C₀-C₃)-alkylene-O-R¹⁰, -Si-(CH₃)₃,

 -N(R¹⁰)-S(O)_u-R¹⁰, wherein u is 1 or 2, -S-R¹⁰, -SO_r-R¹⁰, wherein r is 1 or 2, -S(O)_v-N(R¹⁰)-R²⁰, wherein v is 1 or 2, -C(O)-R¹⁰, -(C₁-C₈)-alkyl, -(C₁-C₈)-alkoxy, phenyl,

 phenyloxy-, -O-CF₃, -(C₀-C₄)-alkyl-C(O)-O-C(R15, R16)-O-C(O)-R17,

 -(C₁-C₄)-alkoxy-phenyl, -(C₀-C₄)-alkyl-C(O)-O-C(R15, R16)-O-C(O)-O-R17,

 -(C₁-C₃)-perfluoroalkyl, -O-R15, -NH-C(O)-NH-R¹⁰, -NH-C(O)-O-R¹⁰ or a residue

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 R^{10} and R^{20} are independently of one another hydrogen, -(C₁-C₆)-alkyl, -(C₀-C₄)-alkyl-OH, -(C₀-C₄)-alkyl-O-(C₁-C₄)-akyl or -(C₁-C₃)-perfluoroalkyl;

R15 and R16 are independently of one another hydrogen, -(C₁-C₆)-alkyl, or together with the carbon atom to which they are bonded form a 3- to 6 membered carbocyclic ring which is unsubstituted or substituted one to three times by R¹⁰ and

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R17 is $-(C_1-C_6)$ -alkyl, $-(C_1-C_6)$ -alkyl-OH, $-(C_1-C_6)$ -alkyl-O- $-(C_1-C_6)$ -alkyl, $-(C_3-C_8)$ -cycloalkyl, $-(C_1-C_6)$ -alkyl-O- $-(C_1-C_8)$ -alkyl- $-(C_3-C_8)$ -cycloalkyl, $-(C_1-C_6)$ -alkyl- $-(C_3-C_8)$ -cycloalkyl, wherein the cycloalkyl is unsubstituted or substituted one, two or three times by -OH, $-O-(C_1-C_4)$ -alkyl or R^{10} ;

or a stereoisomer or a mixture of stereoisomers thereof in any ratio, or a physiologically acceptable salt thereof.

2. The compound according to claim 1, wherein

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 R^0 as

- 1) is phenyl, naphthyl, biphenylyl, anthryl or fluorenyl, each of which is mono-, di- or trisubstituted independently of one another by R8, or
- is acridinyl, azabenzimidazolyl, azaspirodecanyl, azepinyl, azetidinyl, aziridinyl, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzthiazolyl, benztriazolyl, benztetrazolyl, benzisoxazolyl, benzisothiazolyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, decahydrochinolinyl, 4,5-dihydrooxa-zolinyl, dioxazolyl, dioxazinyl, 1,3-dioxolanyl, 1,3-dioxolenyl, 6H-1,5,2-dithiazinyl, dihydrofuro[2,3-b]-tetrahydrofuranyl, furanyl, furazanyl, imidazolidinyl, imidazolyl, 1H-indazolyl, indolinyl, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl, isothiazolyl, isothiazolidinyl, isothiazolinyl, isoxazolidinyl, isoxazolidinyl, 2-

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- a) hydrogen or
- b) -(C₁-C₄)-alkyl, wherein the alkyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R13;
- 5 R11 and R12 are independently of one another identical or different and are
 - 1) hydrogen or

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- -(C₁-C₄)-alkyl, wherein the alkyl is unsubstituted or mono-, di- or trisubstituted independently of one another by R13, or
- R11 and R12 together with the nitrogen atom to which they are bonded form azetidine, imidazolidine, morpholine, (1,4)-oxazepane piperazine, piperidine, pyrrolidine or thiomorpholine;

R13 is fluorine, =O, -OH, -CF₃, -C(O)-O-R¹⁰, -C(O)-N(R¹⁰)-R²⁰, -N(R¹⁰)-R²⁰, or -(C₀-C₃)-alkylene-O-R¹⁰; and

R¹⁰ and R²⁰ are independently of one another hydrogen, -(C₁-C₄)-alkyl or -(C₁-C₃)-perfluoroalkyl.

The compound according to claim 1, wherein the compound is

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-1H-pyrrolo[2,3-b]pyridine-2- carboxylic acid (1-isopropyl-piperidin-4-yl)-amide,

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-2-(1-isopropyl-piperidin-4-ylcarbamoyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid methyl ester,

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-2-(1-isopropyl-piperidin-4-ylcarbamoyl)-1H-pyrrolo[2,3-b]pyridine-5-carboxylic acid,

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-1H-pyrrolo[2,3-b]pyridine-2,5-dicarboxylic acid 5-amide 2-[(1-isopropyl-piperidin-4-yl)-amide],

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-1H-pyrrolo[3,2-b]pyridine-2- carboxylic acid (1-isopropyl-piperidin-4-yl)-amide,

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-2-(1-isopropyl-piperidin-4-ylcarbamoyl)-1H-pyrrolo[3,2-b]pyridine-5-carboxylic acid

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxylic acid (1-isopropyl-piperidin-4-yl)-amide,

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-2-(3,4,5,6-tetrahydro-2H-[1,4']bipyridinyl-4-ylcarbamoyl)-1H-pyrrolo[3,2-b]pyridine-5-carboxylic acid methyl ester,

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1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-2-(3,4,5,6-tetrahydro-2H[1,4']bipyridinyl-4-ylcarbamoyl)-1H-pyrrolo[3,2-b]pyridine-5-carboxylic acid,

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-5-(2-methoxy-ethoxy)-1H-pyrrolo[2,3-c]pyridine-2-carboxylic-acid-(1-isopropyl-piperidin-4-yl)-amide,

1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-5-(2-hydroxy-ethoxy)-1H-pyrrolo[2,3-c]pyridine-2-carboxylic acid (1-isopropyl-piperidin-4-yl)-amide,

1-[(5-Chloro-pyridin-2-ylcarbamoyl)-methyl]-5-(2-methoxy-ethoxy)-1H-pyrrolo[2,3-c]pyridine-2-carboxylic acid (1-isopropyl-piperidin-4-yl)-amide,

1-[(5-Chloro-pyridin-2-ylcarbamoyl)-methyl]-5-(2-hydroxy-ethoxy)-1H-pyrrolo[2,3-

c]pyridine-2-carboxylic acid (1-isopropyl-piperidin-4-yl)-amide,

1-(6-Chloro-benzo[b]thiophen-2-ylmethyl)-5-(2-methoxy-ethoxy)-1H-pyrrolo[2,3-c]pyridine-2-carboxylic acid (1-isopropyl-piperidin-4-yl)-amide or

1-(6-Chloro-benzo[b]thiophen-2-ylmethyl)-5-(2-hydroxy-ethoxy)-1H-pyrrolo[2,3-c]pyridine-2-carboxylic acid (1-isopropyl-piperidin-4-yl)-amide.

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9. A process for the preparation of a compound according to claim 1, which comprises condensing a compound of formula 29 with a compound of the formula HR^{8'} to give a compound of formula 30 and converting the compound of the formula 30 into a compound of the formula I,

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wherein the residue $R^{8'}$ has the donation of $-N(R^1)-R^2-V-G-M$ as indicated claim 1, but where in $R^{8'}$ functional groups can also be present in the form of groups that are subsequently transformed into the final functional groups present in $-N(R^1)-R^2-V-G-M$, and where the residue R^{54} denotes the group $-Q-R^0$ or can denote a group which is subsequently transformed into the group $-Q-R^0$, and where the group $-C(O)-R^{53}$ can be a carboxylic acid group or derivatives thereof, and where the groups R^{3a} in the formulae 29 and 30 have the corresponding definitions of R^3 in formula I as defined in claim 1 or functional groups in them can also be present in protected form or in the form of precursor groups.

30 10. A pharmaceutical composition, comprising at least one compound according to claim 1 and a pharmaceutically acceptable carrier.

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Bib Data Sheet

CONFIRMATION NO. 5674

This appln claims benefit of 60/507,141 09/30/2003 ** FOREIGN APPLICATIONS ************************************	DID Data Clicct				_					• 7
Marc Nazare, Idstein, GERMANY; Volkmar Wehner, Sandberg, GERMANY; David William Will, Kriftel, GERMANY; Kurt Ritter, Frankfurt am Main, GERMANY; Matthias Urmann, Eschborn, GERMANY; Hans Matter, Langenselbold, GERMANY; Hans Matter, Langenselbold, GERMANY; ***CONTINUING DATA***********************************			DATE 05/19/2004			GRO			DOCKET NO. DEAV2003/0033 US	
Kurt Ritter, Frankfurt am Main, GERMANY; Matthias Urmann, Eschborn, GERMANY; Hans Matter, Langenselbold, GERMANY; Hans Matter, Langenselbold, GERMANY; ***CONTINUING DATA **********************************	Marc Nazare, Idstein, GERMANY; Volkmar Wehner, Sandberg, GERMANY;									
This appln claims benefit of 60/507,141 09/30/2003 ** FOREIGN APPLICATIONS **** EUROPEAN PATENT OFFICE (EPO) 03011304.7 05/19/2003 FREQUIRED, FOREIGN FILING LICENSE GRANTED	David Willi Kurt Ritter Matthias U	David William Will, Kriftel, ĞERMANY; Kurt Ritter, Frankfurt am Main, GERMANY; Matthias Urmann, Eschborn, GERMANY;								
EUROPEAN PATENT OFFICE (EPO) 03011304.7 05/19/2003 IF REQUIRED, FOREIGN FILING LICENSE GRANTED ***07/19/2004 Foreign Priority claimed 35 USC 119 (a-d) conditions met **Movember No Met after **Allowestre No Met after **Allowestre No Met after **Allowestre No Met after **TITLE Azaindole-derivatives as factor Xa inhibitors FILING FEE RECEIVED 900 FEES: Authority has been given in Paper No to charge/credit DEPOSIT ACCOUNT No for following: All Fees No 1.18 Fees (Processing Ext. of time) 1.18 Fees (Issue) Other	** CONTINUING	Hans Matter, Langenselbold, GERMANY; ** CONTINUING DATA **********************************								
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STATE OR COUNTRY GERMANY Indicate or country or country or country or country or country or country Indicate or	IF REQUIRED, FOREIGN FILING LICENSE GRANTED ** 07/19/2004									
So So Try (a-d) conditions West Incompleted and Acknowledged Acknowledged Exampliner's Signature Injurials ADDRESS 05487 TITLE Azaindole-derivatives as factor Xa inhibitors FILING FEE RECEIVED 900 FEES: Authority has been given in Paper No to charge/credit DEPOSIT ACCOUNT No for following: DRAWING CLAIMS 15 CLAIMS 15 1 15 CLAIMS 15 1 15 All Fees 1 1.16 Fees (Filling) 1 1.17 Fees (Processing Ext. of time) 1 1.18 Fees (Issue) Other	-				STATE OF	en.	EETO	TOT	A 1	INDEDENDEND
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ADDRESS 05487 TITLE Azaindole-derivatives as factor Xa inhibitors FILING FEE RECEIVED 900 FEES: Authority has been given in Paper No to charge/credit DEPOSIT ACCOUNT No for following: All Fees All Fees I.16 Fees (Filing) I.17 Fees (Processing Ext. of time) I.18 Fees (Issue) I.18 Fees (Issue) I.18 Fees (Issue) I.19 Fees (Issue) I	Verified and Acknowledged	critical and							1"	
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Other								☐ 1.17 Fees (Processing Ext. of time)		
	900	No for following:				☐ 1.18 Fees (Issue)				
☐ Credit							Other			
		·				☐ Credit				

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=> d que stat 17 L5 STR

12 0 0 2 7 1 G2 7 1 G2 6 2 7 C 8 C N 10 11 0 6 G3 C N 6 G3 4 9

VAR G1=C/N VAR G2=C/N VAR G3=C/NVAR G4=C/NNODE ATTRIBUTES: NSPEC IS RC AT10 IS RC NSPEC AT11 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE L7 45329 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED 758487 ITERATIONS

45329 ANSWERS

SEARCH TIME: 00.00.04

VAR G1=C/N
VAR G2=C/N
VAR G3=C/N
VAR G4=C/N
NODE ATTRIBUTES:
NSPEC IS RC AT 10
NSPEC IS RC AT 11
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM



DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

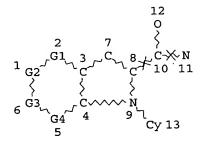
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5

L11 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L14 753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11

100.0% PROCESSED 45329 ITERATIONS

753 ANSWERS

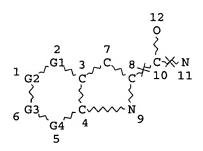
SEARCH TIME: 00.00.02

=> d que stat 18

L8 103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES

=> d que stat l15

L5 STR



VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: NSPEC IS RC ATIS RC NSPEC AT11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

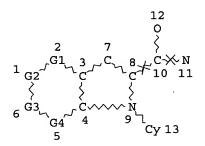
RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5

103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES L8

STR L11



VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: NSPEC IS RC AΤ 10 IS RC NSPEC ΑT 11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

VAR G1=C/N

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

```
753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11
L14
L15
           O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14
```

```
=> d que stat 121
L5
                STR
```

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: AT 10 IS RC NSPEC AT 11 IS RC NSPEC CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

45329 SEA FILE=REGISTRY SSS FUL L5 L7

STR L19

NODE ATTRIBUTES:

NSPEC IS RC ATIS RC NSPEC AT3 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM GGCAT IS PCY AT 1 DEFAULT ECLEVEL IS LIMITED ECOUNT IS E7 C E2 N E0 O E0 S AT 1

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19 L21

100.0% PROCESSED 45329 ITERATIONS

733 ANSWERS

-hian i

=> d que stat 122

óð.

VAR G1=C/N
VAR G2=C/N
VAR G3=C/N
VAR G4=C/N
NODE ATTRIBUTES:
NSPEC IS RC AT 10
NSPEC IS RC AT 11
CONNECT IS E1 RC AT 12

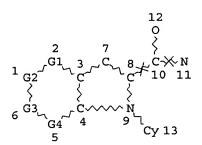
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5 L11 STR



VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: NSPEC IS RC ΑT 10 NSPEC IS RC AT11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

Shiao 10/849,089

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11 L14

L19

0 ^ C---≫N

NODE ATTRIBUTES:

NSPEC IS RC AΤ 2 ΑT IS RC NSPEC 3 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM GGCAT IS PCY AT 1 DEFAULT ECLEVEL IS LIMITED ECOUNT IS E7 C E2 N E0 O E0 S AT 1

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

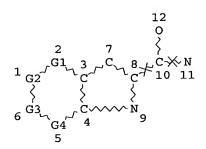
NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19 L21

L22 0 SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21

=> d que stat 139 STR L5



VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: IS RC NSPEC AT 10 IS RC AT 11 NSPEC CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

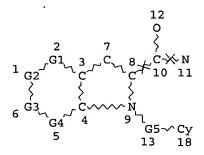
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

45329 SEA FILE=REGISTRY SSS FUL L5 L7

L37 STR

C @14 N @15 S @16 0@17



VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N VAR G5=14/15/16/17 NODE ATTRIBUTES: NSPEC IS RC ΑT 10 NSPEC IS RC ΑT 11 NSPEC IS RC AT 14 NSPEC IS RC AT15 NSPEC IS RC AT16 NSPEC IS RC AT17 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L39 3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37

100.0% PROCESSED 31774 ITERATIONS

SEARCH TIME: 00.00.11

3990 ANSWERS

=> d que stat 142 L5STR 12 0 ⊬с≫и 10 11

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES:

NSPEC

IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5

L8 103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES

L19 STR

NODE ATTRIBUTES:

NSPEC IS RC AT 2
NSPEC IS RC AT 3
CONNECT IS E1 RC AT 4
DEFAULT MLEVEL IS ATOM

GGCAT IS PCY AT 1

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E7 C E2 N E0 O E0 S AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

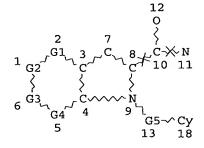
NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

L21 733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19

L37 STR

C@14 N@15 S@16 O@17



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/16/17

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

NSPEC IS RC AT 14

THE TRIPLE

```
NSPEC IS RC AT 15
NSPEC IS RC AT 16
NSPEC IS RC AT 17
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 18

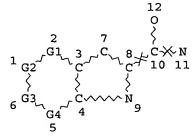
STEREO ATTRIBUTES: NONE

L39 3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37
L40 77 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L39

L41 82 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND L39 L42 82 SEA FILE=REGISTRY ABB=ON PLU=ON (L40 OR L41)

=> d que stat 153

L5 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5

L8 103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES

L11 STR

```
12
≉с-≫и
 10 11
```

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: IS RC NSPEC AT 10 ATIS RC NSPEC 11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11 L14

O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14 L15

L19 STR

NODE ATTRIBUTES:

NSPEC IS RC AT 2 NSPEC IS RC AT 3 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM GGCAT IS PCY AT 1 DEFAULT ECLEVEL IS LIMITED ECOUNT IS E7 C E2 N E0 O E0 S AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

L21 733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19

O SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21 L22

L37 STR

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N VAR G5=14/15/16/17 NODE ATTRIBUTES: NSPEC IS RC ΑT 10 IS RC NSPEC AT11 IS RC AΤ NSPEC 14 IS RC ΑT 15 NSPEC IS RC NSPEC AT 16 IS RC 17 NSPEC AΤ CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM . DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L39	3990	SEA	FILE=REGISTRY	SUB=L7	SSS FUL	L37
L40	77	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L8 AND L39
L41	82	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L21 AND L39
L42	82	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	(L40 OR L41)
L53	82	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L42 OR L22 OR L15

```
=> d que nos 154
                STR
L5
L7
          45329 SEA FILE=REGISTRY SSS FUL L5
L8
         103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES
L11
                STR
           753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11
L14
             O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14
L15
L19
L21
           733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19
              O SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21
L22
L37
                STR
           3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37
L39
            77 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L39
L40
L41
            82 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND L39
            82 SEA FILE=REGISTRY ABB=ON PLU=ON
L42
                                                 (L40 OR L41)
L53
            82 SEA FILE=REGISTRY ABB=ON PLU=ON L42 OR L22 OR L15
               ANALYZE PLU=ON L53 1- LC:
L54
                                                  7 TERMS
```

7 TERMS

```
=> d 154 1-
```

TERM #	# occ	# DOC	% DOC	LC
1	77	77	93.90	CA
2	77	77	93.90	CAPLUS
3	36	36	43.90	TOXCENTER
4	35	35	42.68	USPATFULL
5	33	33	40.24	CASREACT
6	5	5	6.10	USPAT2
7	4	4	4.88	CHEMCATS

L54 ANALYZE L53 1- LC :

***** END OF L54***

```
=> d que nos 158
              STR
         45329 SEA FILE=REGISTRY SSS FUL L5
L7
L8
       103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES
L11
              STR
           753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11
L14
            O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14
L15
               STR
L19
           733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19
L21
            O SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21
L22
L37
               STR
         3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37
L39
           77 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L39
L40
            82 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND L39
L41
            82 SEA FILE=REGISTRY ABB=ON PLU=ON (L40 OR L41)
L42
            82 SEA FILE=REGISTRY ABB=ON PLU=ON L42 OR L22 OR L15
L53
            11 SEA FILE=HCAPLUS ABB=ON PLU=ON L42 OR L53
L55
              QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
L56
               <2004 OR REVIEW/DT
            7 SEA FILE=HCAPLUS ABB=ON PLU=ON L55 AND L56
L58
```

=> d his 170

(FILE 'TOXCENTER, USPATFULL, USPAT2, CASREACT, CHEMCATS' ENTERED AT 14:53:12 ON 24 OCT 2006) 9 S L69 AND L56

L70

```
=> d que nos 170
              STR
         45329 SEA FILE=REGISTRY SSS FUL L5
L7
        103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES
L8
L11
               STR
           753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11
L14
             O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14
L15
               STR
L19
           733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19
L21
             O SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21
L22
               STR
L37
          3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37
L39
            77 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L39
            82 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND L39
           82 SEA FILE=REGISTRY ABB=ON PLU=ON (L40 OR L41)
L42
           82 SEA FILE=REGISTRY ABB=ON PLU=ON L42 OR L22 OR L15
L53
               OUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
L56
```

<2004 OR REVIEW/DT

L69 24 SEA L42 OR L53 L70 9 SEA L69 AND L56

=> dup rem 158 170

DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'.

ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE

FILE 'HCAPLUS' ENTERED AT 15:34:25 ON 24 OCT 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE 'USPAT2' ENTERED AT 15:34:25 ON 24 OCT 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CASREACT' ENTERED AT 15:34:25 ON 24 OCT 2006
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COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L58
PROCESSING COMPLETED FOR L70
L73

12 DUP REM L58 L70 (4 DUPLICATES REMOVED)

ANSWERS '1-7' FROM FILE HCAPLUS
ANSWERS '8-12' FROM FILE USPATFULL

=> file stnguide FILE 'STNGUIDE' ENTERED AT 15:34:29 ON 24 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 20, 2006 (20061020/UP).

=> d que stat 17

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10 NSPEC IS RC AT 11

CONNECT IS RC AT 11

CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

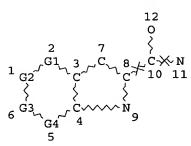
L7 45329 SEA FILE=REGISTRY SSS FUL L5

100.0% PROCESSED 758487 ITERATIONS

SEARCH TIME: 00.00.04

45329 ANSWERS

=> d que stat l14 L5 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

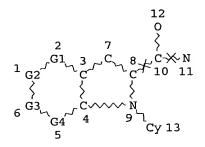
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5

L11 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L14 753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11

100.0% PROCESSED 45329 ITERATIONS

753 ANSWERS

SEARCH TIME: 00.00.02

=> d que stat 18

103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES

=> d que stat l15

L5

STR

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: NSPEC IS RC AT 10 IS RC NSPEC AT 11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

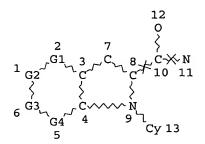
RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5

L8 103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES

L11 STR



VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: NSPEC IS RC ΑT 10 IS RC NSPEC AT11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

VAR G1=C/N

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L14 L15 753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11 O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14

=> d que stat 121 STR L5

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES:

IS RC AT 10 NSPEC IS RC 11 NSPEC AT

CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

45329 SEA FILE=REGISTRY SSS FUL L5 L7

L19 STR

NODE ATTRIBUTES:

NSPEC IS RC AΤ 2 NSPEC IS RC AT 3 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM GGCAT IS PCY AT 1 DEFAULT ECLEVEL IS LIMITED ECOUNT IS E7 C E2 N E0 O E0 S AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19

100.0% PROCESSED 45329 ITERATIONS

733 ANSWERS

SEARCH TIME: 00.00.02

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/NNODE ATTRIBUTES: NSPEC IS RC AT10 IS RC NSPEC AT11 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

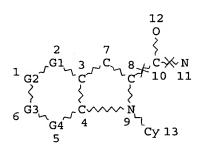
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

NONDER OF NODED ID IZ

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5 L11 STR



VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: NSPEC IS RC 10 AT NSPEC IS RC AT 11 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

1

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L14 753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11

L19 STR

4 O } Cy~C-≫N 1 2 3

NODE ATTRIBUTES:

NSPEC IS RC AT 2
NSPEC IS RC AT 3
CONNECT IS E1 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 1
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E7 C E2 N E0 O E0 S AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

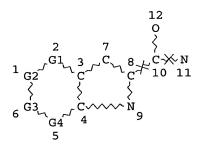
NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE

L21 733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19

L22 0 SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21

=> d que stat 139 L5 STR



VAR G2=C/N
VAR G3=C/N
VAR G4=C/N
NODE ATTRIBUTES:
NSPEC IS RC AT 10
NSPEC IS RC AT 11
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

VAR G1=C/N

RING(S) ARE ISOLATED OR EMBEDDED

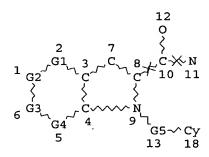
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5

L37 STR

C@14 N@15 S@16 O@17



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/16/17

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

NSPEC IS RC AT 14

NSPEC IS RC AT 15

NSPEC IS RC AT 16

NSPEC IS RC AT 17

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L39 3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37

100.0% PROCESSED 31774 ITERATIONS

SEARCH TIME: 00.00.11

=> d que stat 142

3990 ANSWERS

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

```
VAR G4=C/N
```

NODE ATTRIBUTES:

IS RC NSPEC AT10 NSPEC IS RC AΤ 11 CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

45329 SEA FILE=REGISTRY SSS FUL L5

L8103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES

L19

NODE ATTRIBUTES:

NSPEC IS RC AΤ 2 NSPEC IS RC AT3 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM GGCAT IS PCY AT 1 DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E7 C E2 N E0 O EO S AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

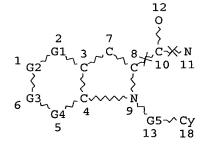
NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

L21 733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19

L37 STR

C @14 N @15 S @16 0@17



1

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/16/17

NODE ATTRIBUTES:

NSPEC IS RC AT 10 NSPEC IS RC AT11 NSPEC IS RC AT14

NSPEC IS RC AT 15
NSPEC IS RC AT 16
NSPEC IS RC AT 17
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

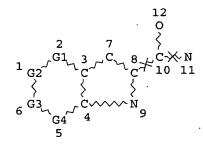
STEREO ATTRIBUTES: NONE

L39 3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37

L40 77 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L39
L41 82 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND L39
L42 82 SEA FILE=REGISTRY ABB=ON PLU=ON (L40 OR L41)

=> d que stat 153

L5 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5

L8 103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES

L11 STR

```
12
0
,C-≫N
10 11
Cy 13
```

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: AΤ NSPEC IS RC 10 IS RC NSPEC AT11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11 L14

L15 O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14

L19 STR

NODE ATTRIBUTES:

NSPEC IS RC AT 2 NSPEC IS RC ΑT 3 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM GGCAT IS PCY AT 1 DEFAULT ECLEVEL IS LIMITED ECOUNT IS E7 C E2 N E0 O E0 S AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19 L21

O SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21 L22

L37 STR 2, 3

S @16 C @14 N @15 0 @17

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N VAR G5=14/15/16/17 NODE ATTRIBUTES: NSPEC IS RC AΤ 10 NSPEC IS RC AT 11 NSPEC IS RC AΤ 14 NSPEC IS RC AΤ 15 NSPEC IS RC AΤ 16 NSPEC IS RC AT 17 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L39	3990	SEA	FILE=REGISTRY	SUB=L7	SSS FUL	L37
L40	77	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L8 AND L39
L41	82	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L21 AND L39
L42	82	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	(L40 OR L41)
L53	82	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L42 OR L22 OR L15

```
=> d que nos 154
L5
                STR
L7
          45329 SEA FILE=REGISTRY SSS FUL L5
L8
         103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES
L11
                STR
L14
            753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11
L15
              O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14
L19
L21
            733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19
L22
              O SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21
L37
                STR
L39
           3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37
L40
             77 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L39
L41
             82 SEA FILE=REGISTRY ABB=ON
                                          PLU=ON
                                                  L21 AND L39
L42
             82 SEA FILE=REGISTRY ABB=ON
                                          PLU=ON
                                                  (L40 OR L41)
             82 SEA FILE=REGISTRY ABB=ON PLU=ON L42 OR L22 OR L15
L53
L54
                ANALYZE PLU=ON L53 1- LC:
                                                   7 TERMS
```

7 TERMS

```
=> d 154 1-
```

TERM #	# OCC	# DOC	% DOC	LC
1	77	77	93.90	CA
2	77	77	93.90	CAPLUS
3	36	36	43.90	TOXCENTER
4	35	35	42.68	USPATFULL
5	33	33	40.24	CASREACT
6	5	5	6.10	USPAT2
7	4	4	4.88	CHEMCATS
*****	* END	OF L54*	**	

L54 ANALYZE L53 1- LC :

```
=> d que nos 158
               STR
         45329 SEA FILE=REGISTRY SSS FUL L5
L7
L8
        103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES
L11
               STR
           753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11
L14
             O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14
L15
               STR
L19
           733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19
L21
             O SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21
L22
L37
               STR
          3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37
L39
            77 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L39
L40
            82 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND L39
L41
            82 SEA FILE=REGISTRY ABB=ON PLU=ON (L40 OR L41)
L42
            82 SEA FILE=REGISTRY ABB=ON PLU=ON L42 OR L22 OR L15
L53
            11 SEA FILE=HCAPLUS ABB=ON PLU=ON L42 OR L53
L55
               QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
L56
               <2004 OR REVIEW/DT
             7 SEA FILE=HCAPLUS ABB=ON PLU=ON L55 AND L56
L58
```

=> d his 170

L56

(FILE 'TOXCENTER, USPATFULL, USPAT2, CASREACT, CHEMCATS' ENTERED AT 14:53:12 ON 24 OCT 2006)
L70 9 S L69 AND L56

```
=> d que nos 170
               STR
L5
         45329 SEA FILE=REGISTRY SSS FUL L5
L7
        103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES
L8
               STR
L11
           753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11
L14
             O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14
L15
               STR
L19
           733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19
L21
             O SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21
L22
               STR
L37
          3990 SEA FILE=REGISTRY SUB=L7 SSS FUL L37
L39
            77 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L39
L40
            82 SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND L39
L41
            82 SEA FILE=REGISTRY ABB=ON PLU=ON (L40 OR L41)
L42
            82 SEA FILE=REGISTRY ABB=ON PLU=ON L42 OR L22 OR L15
L53
```

QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY

<2004 OR REVIEW/DT

L69 L70 24 SEA L42 OR L53 9 SEA L69 AND L56

=> dup rem 158 170
DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
FILE 'HCAPLUS' ENTERED AT 15:34:25 ON 24 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 15:34:25 ON 24 OCT 2006 COPYRIGHT (C) 2006 ACS

FILE 'USPATFULL' ENTERED AT 15:34:25 ON 24 OCT 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:34:25 ON 24 OCT 2006 CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CASREACT' ENTERED AT 15:34:25 ON 24 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS) PROCESSING COMPLETED FOR L58 PROCESSING COMPLETED FOR L70

L73

12 DUP REM L58 L70 (4 DUPLICATES REMOVED)
ANSWERS '1-7' FROM FILE HCAPLUS
ANSWERS '8-12' FROM FILE USPATFULL

=> file stnguide FILE 'STNGUIDE' ENTERED AT 15:34:29 ON 24 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 20, 2006 (20061020/UP).

=> d ibib ed ab hitstr YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL' - CONTINUE? (Y)/N:y

```
L73 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER:
                        2004:1011968 HCAPLUS
DOCUMENT NUMBER:
                        142:6514
                        Preparation of thienylisoxazolylmethylazaindoles as
TITLE:
                        factor Xa and/or factor VIIa inhibitors
                        Nazare, Marc; Wehner, Volkmar; Will, David William;
INVENTOR(S):
                        Ritter, Kurt; Urmann, Matthias; Matter, Hans
                        Aventis Pharma Deutschland GmbH, Germany
PATENT ASSIGNEE(S):
                        Eur. Pat. Appl., 82 pp.
SOURCE:
                        CODEN: EPXXDW
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                              DATE
                                         APPLICATION NO.
                                                                DATE
     PATENT NO.
                        KIND
                                                               -----
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                       ----
```

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20041124 EP 2003-11304
                        A1
                                                               20030519 <--
    EP 1479680
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                        AU 2004-238500 20040505 <--
                       A1
                               20041125
    AU 2004238500
                                         CA 2004-2526084
                                                                 20040505 <--
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                               20041125
    CA 2526084
                               20041125 WO 2004-EP4754
                        A1
                                                                20040505 <--
    WO 2004101563
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
                                         EP 2004-731161
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    EP 1636226
                         Δ1
                               20060322
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            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                               20060606 BR 2004-10429
                                                                 20040505 <--
    BR 2004010429
                        Α
                                          CN 2004-80013936
                                                                 20040505 <--
    CN 1791601
                         Α
                               20060621
    US 2005009828
                        A1
                               20050113
                                          US 2004-849089
                                                                20040519 <--
                                          NO 2005-5911
                                                                 20051213 <--
    NO 2005005911
                        Α
                               20060210
                                          EP 2003-11304
                                                            A 20030519 <--
PRIORITY APPLN. INFO.:
                                                           P 20030930 <--
W 20040505
                                           US 2003-507141P
                                           WO 2004-EP4754
OTHER SOURCE(S):
                        CASREACT 142:6514; MARPAT 142:6514
ED
    Entered STN: 24 Nov 2004
    Title compds. [I; R = (substituted) mono- or bicyclic aryl, heterocyclyl;
AB
    R1 = H, (substituted) alkyl, aminocarbonylalkyl, mono- or bicyclic aryl,
    heterocyclyl, etc.; R2 = bond, alkylene; R3 = H, halo, NO2, cyano,
    perfluoroalkyl, (substituted) alkyl, Ph, etc.; R1R3 = atoms to form a
     (substituted) 6-8 membered ring containing 1-4 heteroatoms; R1NR2V = atoms to
     form a (substituted) 4-7 membered ring containing 1-4 heteroatoms; V =
     (substituted) 3-7 membered heterocyclyl, 6-14 membered aryl, 4-15 membered
```

heterocyclyl; G = (CH2)m, (CH2)mO(CH2)n, CH2SO2(CH2)n, (CH2) mNR10SO2NR10 (CH2) n, (CH2) mCH (OH) (CH2) n, etc.; M = H, (substituted) apriary Mariana

alkyl, 6-14 membered aryl, 4-15 membered heterocyclyl, cycloalkyl, etc.; m, n = 0-6; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl, perfluoroalkyl; D = atoms to form a (substituted) (aromatic) 4-8 membered ring containing 0-4 heteroatoms], were prepared Thus, 1-[5-(5-chlorothiophen-2-yl)isoxazol-3-ylmethyl]-1H-pyrrolo[2,3-b]pyridine-2-carboxylic acid (preparation given), N-ethylmorpholine, and TOTU were stirred together for 20 min. in DMF; 1-isopropylpiperidin-4-ylamine dihydrochloride was added followed by stirring for 4 h to give 1-[5-(5-chlorothiophen-2-yl)isoxazol-3-ylmethyl]-1H-pyrrolo[2,3-b]pyridine-2-carboxylic acid (1-isopropylpiperidin-4-yl) amide. This inhibited factor Xa with Ki = 0.006 μM .

IT 797060-39-0P 797060-40-3P 797060-41-4P 797060-42-5P 797060-43-6P 797060-44-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

 $\hbox{(claimed compound; preparation of thienylisoxazolylmethylazaindoles as factor}\\$

Xa and/or factor VIIa inhibitors)

RN 797060-39-0 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 797060-40-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

797060-41-4 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-(9CI) (CA INDEX NAME)

797060-42-5 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 797060-43-6 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 797060-44-7 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl](9CI) (CA INDEX NAME)

IT 797060-45-8P 797060-46-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thienylisoxazolylmethylazaindoles as factor Xa and/or factor VIIa inhibitors)

RN 797060-45-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 797060-42-5

CMF C25 H27 Cl N6 O3 S

CM 2

CRN 76-05-1

CMF C2 H F3 O2

ρa

797060-46-9 HCAPLUS RN

1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-CN isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 797060-44-7

CMF C25 H26 Cl N5 O4 S

CM 2

CRN 76-05-1 C2 H F3 O2 CMF

IT 797060-56-1P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thienylisoxazolylmethylazaindoles as factor Xa and/or factor VIIa inhibitors)

RN797060-56-1 HCAPLUS

1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, methyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 797060-55-0

CMF C26 H28 Cl N5 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib ed ab hitstr 2-7 YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL' - CONTINUE? (Y)/N:y

#L73 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER:

2001:661388 HCAPLUS

DOCUMENT NUMBER:

135:226878

TITLE:

Synthesis of N-benzyl-indolyl(benzyloxy)amido

derivatives as PDE-IV inhibitors

INVENTOR(S):

Labelle, Marc; Sturino, Claudio; Lachance, Nicolas;

MacDonald, Dwight

PATENT ASSIGNEE(S):

Merck Frosst Canada & Co., Can.

SOURCE:

PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
                                                                    DATE
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                                _____
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                                                                     ______
                          A2
                                20010907
                                            WO 2001-CA270
     WO 2001064639
                                                                    20010302 <--
                          A3
                                20020228
     WO 2001064639
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
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                                            US 2001-797083
     US 2002068756
                          A1
                                20020606
                                                                    20010301 <--
     US 6436965
                          B2
                                20020820
                                20010907
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                          AA
                                            CA 2001-2401667
                                                                    20010302 <--
     EP 1263728
                          A2
                                20021211
                                            EP 2001-913422
                                                                    20010302 <--
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     JP 2003525273
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                                20030826
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PRIORITY APPLN. INFO.:
                                                                P 20000302 <--
                                             US 2000-186571P
                                             WO 2001-CA270
                                                                W 20010302 <--
                         MARPAT 135:226878
OTHER SOURCE(S):
     Entered STN: 10 Sep 2001
ED
     Title compds. I [A, B, D, E = N or CR2 and the others = CR2; q = 0 - 1; p,
AB
    m = 0 - 2; R1 = H, (hydroxy)alkyl; R2 = H, halo, (halo)alkyl,
     hydroxyalkyl, CN, aromatic or nonarom. ring system containing 1 - 4 heteroatoms
     selected from O, S, N, alkoxy, oxyamide, etc.; X = cycloalkyl or Ar; Ar = cycloalkyl
     (un) substituted (Ph, thienyl, thiazolyl, pyridyl, oxazolyl, tetrazolyl,
     pyrimidinyl, pyrazinyl and pyridazinyl)]were prepared Over 150 compds. were
     disclosed. For instance, Me 2-aminobenzoate was alkylated with
     4-fluorobenzyl bromide (K2CO3, MEK, reflux, 8 h.). The resulting ester
     was saponified (NaOH, MeOHaq reflux, 2 h.), N-alkylated with Me bromoacetate
     (K2CO3, MeOHaq, reflux, 18 h.) and treated with CH2N2 to afford II.
     Diester II was cyclized (NaOMe, MeOH, reflux, 30 min.), O-alkylated with benzyl bromide (K2CO3, MEK, reflux, 2 h.), saponified (NaOH, EtOHaq,
     90°C, 40 min.) and finally coupled to 3-aminopyridine (SOC12,
     i-Pr2NEt, room temperature, 3 h.) to yield III. I are PDE-IV inhibitors (no
     data) useful for treating, e.g., inflammation, muscle spasm, chronic
     bronchitis, etc.
     359002-18-9P 359002-19-0P 359002-29-2P
IT
     359002-30-5P 359002-31-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (drug; synthesis of N-benzyl-indolyl(benzyloxy)amido derivs. as PDE-IV
        inhibitors)
RN
     359002-18-9 HCAPLUS
     1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, N-(3,4-difluorophenyl)-3-
CN
```

(phenylmethoxy) -1-(phenylmethyl) - (9CI) (CA INDEX NAME)

RN 359002-19-0 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, N-(6-methoxy-3-pyridinyl)-3-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 359002-29-2 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[4-(difluoromethoxy)phenyl]methyl]-N-(6-methoxy-3-pyridinyl)-3-(4pyridinylmethoxy)- (9CI) (CA INDEX NAME)

RN 359002-30-5 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[4-(difluoromethoxy)phenyl]methyl]-3-(4-pyridinylmethoxy)-N-1H-tetrazol-5-yl-(9CI) (CA INDEX NAME) 80

The first through the first of

RN 359002-31-6 HCAPLUS

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[4-CN

(difluoromethoxy) phenyl] methyl] -N-(2-methoxy-4-pyridinyl) -3-(4-

pyridinylmethoxy) - (9CI) (CA INDEX NAME)

1/73 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4

ACCESSION NUMBER: DOCUMENT NUMBER:

2001:12443 HCAPLUS

134:86539

TITLE:

Preparation of benzimidazolecarboxylic acid amino acid

amides as IkB kinase inhibitors.

INVENTOR (S):

Ritzeler, Olaf; Stilz, Hans Ulrich; Neises, Bernhard; Bock, William Jerome, Jr.; Walser, Armin; Flynn, Gary

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland GmbH, Germany

PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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APPLICATION NO. DATE
    PATENT NO.
                       KIND
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                               20010104 WO 2000-EP5340
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            ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
            LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
            SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
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            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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    DE 10006297
                         A1
                                          CA 2000-2377085
                                                                 20000609 <--
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                        AA
    CA 2377085
                               20020402
                                         BR 2000-12450
                                                                  20000609 <--
                        Α
    BR 2000012450
                               20020410
                                        EP 2000-938780
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    EP 1194425
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                               20050810
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                                        JP 2001-507019
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                               20030128
    JP 2003503400 T2
                                        EE 2001-619
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                               20030217
                         Α
    EE 200100619
    EE 200100619 A

NZ 516348 A

AU 769350 B2

AT 301651 E

RU 2261248 C2

NO 2001006154 A

HK 1047582 A1
                               20030630 NZ 2000-516348
                                                                 20000609 <--
                                        AU 2000-54042
                                                                 20000609 <--
                               20040122
                               20050815 AT 2000-938780
                                                                 20000609 <--
                               20050927 RU 2002-101485
                                                                 20000609 <--
                                                                  20011217 <--
                               20020219
                                         NO 2001-6154
                                           NO 2001-0154
HK 2002-108645
                        A1
                               20050304
                                                                  20021129 <--
    HK 1047582
                                           DE 1999-19928424 A 19990623 <--
PRIORITY APPLN. INFO.:
                                           DE 2000-10006297 A 20000212 <--
                                           WO 2000-EP5340 W 20000609 <--
                        MARPAT 134:86539
OTHER SOURCE(S):
    Entered STN: 05 Jan 2001
     Title compds. [I; 1 of R1-R4 = DNR8CHR9Z; D = CO, SO, SO2; R8 = H, alkyl;
AB
     R9 = amino acid residue, (substituted) aryl, heteroaryl, heterocyclyl,
     alkyl, etc.; Z = (substituted) aryl, heteroaryl, heterocyclyl, etc.; the
     remainder of R1-R4 = H, halo, alkyl, (substituted) heteroaryl,
     heterocyclyl, alkyl, cyano, aralkoxy, alkoxy, etc.; R5 = H, OH, O; R6 =
     (substituted) aryl, Ph, heteroaryl, heterocyclyl], were prepared Thus,
     2-pyrid-4-ylbenzimidazol-4-carboxylic acid (preparation given), H-Leu-OMe,
     TOTU, and (Me2CH) 2EtN were stirred in MeCN to give 98%
     2-pyrid-4-ylbenzimidazol-4-carbonylleucine Me ester. I inhibited
     IkB kinase with IC50 = 0.07-72 \mu M.
     313065-02-0P 313065-14-4P 313065-17-7P
     313065-60-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of benzimidazolecarboxylic acid amino acid amides as I \kappa B
        kinase inhibitors)
     313065-02-0 HCAPLUS
RN
     1H-Indole-2-carboxamide, 2,3-dihydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-5-
CN
     yl]carbonyl] - (9CI) (CA INDEX NAME)
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195-66-1865

RN 313065-14-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 2,3-dihydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 313065-17-7 HCAPLUS

CN 1H-Indole-2-carboxamide, octahydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

$$0 = C - N$$

$$H_2N - C$$

$$0$$

RN 313065-60-0 HCAPLUS

CN 1H-Indole-2-carboxamide, 2,3-dihydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-5-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

S NH₂ NH

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:308438 HCAPLUS

DOCUMENT NUMBER: 140:321242

TITLE: Preparation of pyrrolo[3,2-b]pyridines as p38 kinase

inhibitors

INVENTOR(S): Brookings, Daniel Christopher; Cubbon, Rachel Jane;

Davis, Jeremy Martin; Langham, Barry John

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 81 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

LANGUAGE: Engineering FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2004031188		WO 2003-GB4214	20030930 <
		BA, BB, BG, BR, BY, BZ	
CO CR. CU	. CZ. DE. DK. DM.	DZ, EC, EE, EG, ES, FI	, GB, GD, GE,
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		MG, MK, MN, MW, MX, MZ	
		SC, SD, SE, SG, SK, SL	
		UZ, VC, VN, YU, ZA, ZM	
		SL, SZ, TZ, UG, ZM, ZW	
KW. GII, GM, KG	PIT T.T TM AT	BE, BG, CH, CY, CZ, DE	. DK. EE. ES.
RG, RZ, FID	CP HII TR TT	LU, MC, NL, PT, RO, SE	. ST. SK. TR.
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		CA 2003-2500844	
		AU 2003-271870	
AU 20032/18/0	A1 20040423	EP 2003-753708	20030930 <
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JP 2006504712	T2 20060209	JP 2004-540940	20030930 <
	A1 20060608	US 2005-529413	
PRIORITY APPLN. INFO.:		GB 2002-22743	
		WO 2003-GB4214	W 20030930 <

OTHER SOURCE(S): MARPAT 140:321242

ED Entered STN: 15 Apr 2004

AB Title compds. I [A = (un)substituted N, C; Ra = H, halo, etc.; X, Y = N or (un)substituted C; L = C(O), C(S), (un)substituted C; n = 0-1; Alk1 = (unsubstituted)(hetero)aliphatic chain; L1 = bond, linker atom/group; Cy1 = (un)substituted cycloaliph., etc.; Ar = (hetero)aromatic, etc. with specific exceptions] are prepared For instance, 1-Benzenesulfonyl-4-phenyl-1,4-

IT

ВN

CN

dihydro-5H-pyrrolo[3,2-b]pyridin-5-one (preparation given) is treated with NaOH (2M, 2 h) and the resulting product alkylated with benzyl chloride (THF, NaH) to give II. Example compds. have IC50 values of around 2 pM and below for p38 kinase and are useful for the treatment of immune or inflammatory disorders.

677303-55-8P, 1-(3-Chloro-4-fluorobenzyl)-N-methoxy-N-methyl-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-57-0P, N-Methoxy-N-methyl-1-(3-methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-59-2P , 1-(3-Chlorobenzyl)-N-methoxy-N-methyl-5-oxo-4-phenyl-4,5-dihydro-1Hpyrrolo[3,2-b]pyridine-2-carboxamide 677303-60-5P, 1-(3-Chloro-4-fluorobenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2b]pyridine-2-carboxamide 677303-62-7P, 1-(3-Methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-64-9P, 1-(3-Chlorobenzyl)-5-oxo-4-phenyl-4,5-dihydro-1Hpyrrolo[3,2-b]pyridine-2-carboxamide 677303-68-3P, 1-(3-Chlorobenzyl)-N, N-dimethyl-5-oxo-4-phenyl-4, 5-dihydro-1H-pyrrolo[3,2b]pyridine-2-carboxamide 677303-69-4P, 1-(3-Chlorobenzyl)-Nmethyl-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-70-7P, 1-(3-Chlorobenzyl)-4-phenyl-2-[(pyrrolidin-1yl)carbonyl]-1,4-dihydro-5H-pyrrolo[3,2-b]pyridin-5-one 677303-71-8P 677303-77-4P, 1-(3-Chlorobenzyl)-4-(1Hindol-5-yl)-5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-83-2P, 1-(2-Cyanobenzyl)-5-oxo-4-phenyl-4,5-dihydro-1Hpyrrolo[3,2-b]pyridine-2-carboxamide 677303-85-4P, 1-(4-Fluoro-3-methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2b]pyridine-2-carboxamide 677303-86-5P, 1-(3-Chlorobenzyl)-4-(4methylphenyl)-5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-87-6P 677303-96-7P, (S)-2-[[2-(Hydroxymethyl)pyrrolidin-1-yl]carbonyl]-1-(3-methylbenzyl)-4-phenyl-1,4dihydro-5H-pyrrolo[3,2-b]pyridin-5-one RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(bicyclic heteroarom. compds. as kinase inhibitors)
677303-55-8 HCAPLUS
1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chloro-4-fluorophenyl)methyl]-4,5-dihydro-N-methoxy-N-methyl-5-oxo-4-phenyl- (9CI)

RN 677303-57-0 HCAPLUS

(CA INDEX NAME)

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 4,5-dihydro-N-methoxy-N-methyl-1-[(3-methylphenyl)methyl]-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-59-2 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N-methoxy-N-methyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-60-5 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chloro-4-fluorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{O} & \text{C1} \\ & \text{N} & \text{C-NH}_2 & \text{F} \\ & & \text{N-CH}_2 & \text{F} \end{array}$$

RN 677303-62-7 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 4,5-dihydro-1-[(3-methylphenyl)methyl]-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{O} \\ & & \parallel \\ & \text{C-NH}_2 \\ \hline & & \text{Me} \end{array}$$

RN 677303-64-9 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-68-3 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N,N-dimethyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-69-4 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N-methyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-70-7 HCAPLUS

CN Pyrrolidine, 1-[[1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl-1H-pyrrolo[3,2-b]pyridin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ C - N \end{array}$$

RN 677303-71-8 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxylic acid, 1-[(3-chlorophenyl)methyl]-

4,5-dihydro-5-oxo-4-phenyl-, hydrazide (9CI) (CA INDEX NAME)

RN 677303-77-4 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-4-(1H-indol-5-yl)-5-oxo-(9CI) (CA INDEX NAME)

RN 677303-83-2 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(2-cyanophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph & O \\ & & C-NH_2 \\ \hline & N-CH_2 \\ \hline & NC \\ \end{array}$$

RN 677303-85-4 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(4-fluoro-3-methylphenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-86-5 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-4-(4-methylphenyl)-5-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 677303-87-6 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxylic acid, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-4-(4-methylphenyl)-5-oxo-, hydrazide (9CI) (CA INDEX NAME)

RN 677303-96-7 HCAPLUS

CN 2-Pyrrolidinemethanol, 1-[[4,5-dihydro-1-[(3-methylphenyl)methyl]-5-oxo-4-phenyl-1H-pyrrolo[3,2-b]pyridin-2-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

Ph

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

73 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

2

VACCESSION NUMBER: 2000:316965 HCAPLUS

DOCUMENT NUMBER: 132:334446

TITLE: Preparation of amide group-containing indoles and

mono- or diazaindoles as cyclooxygenase-2 inhibitors

and anti-inflammatory agents

INVENTOR(S): Matsuoka, Koji; Takahashi, Tadakatsu; Maruyama,

Tensho; Ishizawa, Takenobu; Kato, Yasuharu

PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 29 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

LANGUAGE: J FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
JP 2000136182	A2	20000516	JP 1998-310209	19981030 <			
PRIORITY APPLN. INFO.:			JP 1998-310209	19981030 <			

OTHER SOURCE(S): MARPAT 132:334446

ED Entered STN: 16 May 2000

The compds. I [A1, A2 = CH, N; R = C:QNYZ, CO2R3; R1 = alkyl, amino; R2 = (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; Q = O, S, N:CN; Y, Z = H, (un)substituted alkyl, (un)substituted alkoxy, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heterocyclyl; YNZ may form (un)substituted ring (having addnl. O, N, and/or S)], their pharmacol. acceptable salts, or their hydrates are prepared Me 1-benzenesulfonyl-5-methylthio-1H-pyrrolo[2,3-b]pyridine-2-carboxylate was oxidized, treated with 4-fluorobenzyl bromide, and amidated with NMeH2 to give I (A1 = CH, A2 = N; R = CONHMe, R1 = Me, R2 4-FC6H4), which inhibited human cyclooxygenase-1 and 2 with IC50 of >20 and 0.4 μM, resp.

IT 268212-11-9P 268212-12-0P 268212-13-1P 268212-14-2P 268212-15-3P 268212-16-4P 268212-17-5P 268212-18-6P 268212-70-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indoles as cyclooxygenase-2 inhibitors and anti-inflammatory agents)

RN 268212-11-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-N-methyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 268212-12-0 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-ethyl-1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 268212-13-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-N-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline \\ N & & \\ \hline \\ O & & \\ N & & \\ \end{array}$$

RN 268212-14-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-N,N-dimethyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & \parallel \\ N & C-NMe_2 \\ \hline O & N & CH_2 \\ \end{array}$$

RN 268212-15-3 HCAPLUS

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-N-CN methoxy-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & & \\
Me - S & & \\
O & & N - CH_2
\end{array}$$

268212-16-4 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-N-CNmethoxy-N-methyl-5-(methylsulfonyl) - (9CI) (CA INDEX NAME)

268212-17-5 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-5-CN (methylsulfonyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & \parallel \\ O & \parallel & \parallel \\ O & N - CH_2 \end{array}$$

268212-18-6 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-5-CN(methylsulfonyl) -N-(2,2,2-trifluoroethyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & O & O \\
H & O & H \\
O & N & CH_2 & CH_2
\end{array}$$

- 1 1 LUARA 11 11

RN268212-70-0 HCAPLUS

CN (methylsulfonyl) - (9CI) (CA INDEX NAME)

ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2000:908698 HCAPLUS

DOCUMENT NUMBER:

134:42443

TITLE:

Preparation and use of benzimidazole derivatives for

treatment of illness.

INVENTOR (S):

Ritzeler, Olaf; Stilz, Hans Ulrich; Neises, Bernhard; Bock, William Jerome, Jr.; Walser, Armin; Flynn, Gary

Α.

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE:

Ger. Offen., 36 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
DE 19928424	A1 20001228	DE 1999-19928424	19990623 <				
CA 2377085	AA 20010104	CA 2000-2377085	20000609 <				
WO 2001000610	A1 20010104	WO 2000-EP5340	20000609 <				
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	CA, CH, CN, CR,				
CU, CZ, DE,	DK, DM, DZ, EE,	ES, FI, GB, GD, GE,	GH, GM, HR, HU,				
ID, IL, IN,	IS, JP, KE, KG,	KP, KR, KZ, LC, LK,	LR, LS, LT, LU,				
LV, MA, MD,	MG, MK, MN, MW,	MX, MZ, NO, NZ, PL,	PT, RO, RU, SD,				
SE, SG, SI,	SK, SL, TJ, TM,	TR, TT, TZ, UA, UG,	UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZW,	AT, BE, CH, CY,				
DE, DK, ES,	FI, FR, GB, GR,	IE, IT, LU, MC, NL,	PT, SE, BF, BJ,				
CF, CG, CI,	CM, GA, GN, GW,	ML, MR, NE, SN, TD,	TG				
BR 2000012450	A 20020402	BR 2000-12450	20000609 <				
EP 1194425	A1 20020410	BR 2000-12450 EP 2000-938780	20000609 <				
EP 1194425	B1 20050810						
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,				
IE, SI, LT,	LV, FI, RO						
JP 2003503400	T2 20030128	JP 2001-507019	20000609 <				
EE 200100619		EE 2001-619	20000609 <				
NZ 516348	A 20030630	NZ 2000-516348	20000609 <				
NZ 516348 AU 769350	B2 20040122	AU 2000-54042	20000609 <				
AT 301651	E 20050815	AT 2000-938780	20000609 <				
RU 2261248	C2 20050927	RU 2002-101485	20000609 <				
PT 1194425		PT 2000-938780	20000609 <				
ES 2246240	T3 20060216	ES 2000-938780	20000609 <				
US 6358978		US 2000-599390	20000622 <				
ZA 2001010127	A 20021105	ZA 2001-10127	20011210 <				
	A 20020219	NO 2001-6154	20011217 <				
HK 1047582	A1 20050304						

PRIORITY APPLN. INFO.:

DE 1999-19928424 A 19990623 <-DE 2000-10006297 A 20000212 <-WO 2000-EP5340 W 20000609 <--

OTHER SOURCE(S):

MARPAT 134:42443

ED Entered STN: 28 Dec 2000

Title compds., e.g. (I), were prepared (no data) for use in treating diseases which feature an intensified activity by transcription factor NFκB. An example is given of solid-phase synthesis of (II). In in vitro tests, I had IC50 of 1 μM for IκB-kinase, while inhibiting other kinase activities (protein kinases A and C, and casein kinase) 36, 63, and 70%, resp. In the same tests, II showed IC50 of 25 μM for IκB, and inhibited the other kinases 24, 7, and 17%, resp.

IT 313065-02-0P 313065-14-4P 313065-17-7P

313065-60-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and use of benzimidazole derivs. for treatment of illness)

RN 313065-02-0 HCAPLUS

CN 1H-Indole-2-carboxamide, 2,3-dihydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 313065-14-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 2,3-dihydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 313065-17-7 HCAPLUS

CN 1H-Indole-2-carboxamide, octahydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN313065-60-0 HCAPLUS

1H-Indole-2-carboxamide, 2,3-dihydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-5-CNyl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

173 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

1987:439778 HCAPLUS ACCESSION NUMBER:

107:39778 DOCUMENT NUMBER:

TITLE: Pyrrolopyridines

Dormoy, Jean Robert; Heymes, Alain SANOFI, Fr. INVENTOR(S):

PATENT ASSIGNEE(S):

Fr. Demande, 20 pp. SOURCE:

CODEN: FRXXBL

DOCUMENT TYPE: Patent French LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE	APPLICATION NO.	DATE
FR 2574406 A1. 19860613	FR 1984-19029	19841212 <
FR 2574406 Bİ 19870227		
EP 187631 A1 19860716	EP 1985-870178	19851211 <
EP 187631 B1 19900905		
R: AT, BE, CH, DE, FR, GB, IT, LI	[, LU, NL, SE	
AT 56212 E 19900915	AT 1985-870178	19851211 <
CA 1299183 A1 19920421	CA 1985-497380	19851211 <
DK 8505768 A 19860613	DK 1985-5768	19851212 <
JP 61155385 A2 19860715	JP 1985-280176	19851212 <
US 4831144 A 19890516	US 1988-141508	19880107 <
PRIORITY APPLN. INFO.:	FR 1984-19029 A	19841212 <

US 1985-806544

A2 19851209 <--

EP 1985-870178

A 19851211 <--

OTHER SOURCE(S): CASREACT 107:39778; MARPAT 107:39778

ED Entered STN: 08 Aug 1987

The title compds. [I; R = protecting group; R1 = H, Li, alkyl, CHO, CO2H, alkoxycarbonyl, etc.], useful as intermediates for anthelmintics, are prepared PhSO2Cl was added to a mixture of I (R = R1 = H), NaOH, and Bu4NHSO4 in CH2Cl2 over for 1 h. The temperature of the reaction mixture rose from 20° to 40°. The resulting mixture was then stirred for 1 h to give 83-85% I (R = PhSO2, R1 = H).

IT 109113-48-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for anthelmintics)

RN 109113-48-6 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, N,N-diethyl-1-(phenylsulfonyl)(9CI) (CA INDEX NAME)

=> d ibib ab hitstr 8-12
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL' - CONTINUE? (Y)/N:y

⅓3 ANSWER 8 OF 12 USPATFULL on STN

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DUPLICATE 2

CCESSION NUMBER:

2002:133898 USPATFULL

TITLE:

PDE IV inhibiting amides, compositions and methods of

treatment

INVENTOR(S):

Labelle, Marc, St. Lazare, CANADA Sturino, Claudio, Dorval, CANADA

Lachance, Nicolas, Pierrefonds, CANADA Macdonald, Dwight, L'ile Bizard, CANADA

	NUMBER	KIND DATE	
PATENT INFORMATION:	US 2002068756 US 6436965	A1 20020606 B2 20020820	<
APPLICATION INFO.:	US 2001-797083	A1 20010301	(9) <
	NUMBER	DATE	
PRIORITY INFORMATION: DOCUMENT TYPE: FILE SEGMENT:	US 2000-186571P Utility APPLICATION	20000302 (60)	<
LEGAL REPRESENTATIVE: NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT:		P O BOX 2000, RA	үнинд, иј, 070650907

11/360

AB Compounds represented by formula I: ##STR1##

as well as pharmaceutically acceptable salts and hydrates thereof are disclosed as useful for treating or preventing diseases and conditions mediated by PDE-IV.

Pharmaceutical compositions and methods of treatment are also included.

IT 359002-18-9P 359002-19-0P 359002-29-2P

359002-30-5P 359002-31-6P

(drug; synthesis of N-benzyl-indolyl(benzyloxy)amido derivs. as PDE-IV inhibitors)

RN 359002-18-9 USPATFULL

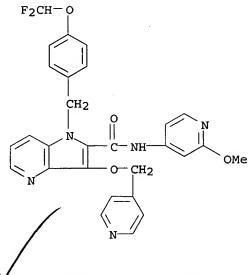
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, N-(3,4-difluorophenyl)-3-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 359002-19-0 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, N-(6-methoxy-3-pyridinyl)-3-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 359002-29-2 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[4-(difluoromethoxy)phenyl]methyl]-N-(6-methoxy-3-pyridinyl)-3-(4pyridinylmethoxy)- (9CI) (CA INDEX NAME)



ANSWER 9 OF 12 USPATFULL on STN L73

ACCESSION NUMBER: 2006:144693 USPATFULL

TITLE:

Bicyclic heteroaromatic compounds as kinase inhibitors Brookings, Daniel Christopher, c/o Celltech R&D Limited, 208 Bath Road, Slough, Berkshire, UNITED INVENTOR(S):

KINGDOM SL1 3WE

Cubbon, Rachel Jane, Slough Berkshire, UNITED KINGDOM Davis, Jeremy Martin, Wokingham Berkshire, UNITED

KINGDOM

Langham, Barry John, Reading Berkshire, UNITED KINGDOM

Celltech R&D Limited, Slough, Berkshire, UNITED PATENT ASSIGNEE(S):

KINGDOM, S11 3WE (non-U.S. corporation)

NUMBER KIND DATE ______ _____ 20060608 PATENT INFORMATION: US 2006122212 A1 APPLICATION INFO.: US 2003-529413 A1 20030930 (10) <--<--WO 2003-GB4214 20030930 20050623 PCT 371 date

> NUMBER DATE

PRIORITY INFORMATION: GB 2002-22743 20021001 <--

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

WOODCOCK WASHBURN LLP, ONE LIBERTY PLACE, 46TH FLOOR, LEGAL REPRESENTATIVE:

1650 MARKET STREET, PHILADELPHIA, PA, 19103, US

NUMBER OF CLAIMS: 21 EXEMPLARY CLAIM: 1

LINE COUNT: 3189

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A series of 5-6 fused ring bicyclic heteroaromatic derivatives, based in AB particular on the 5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine ring system, being inhibitors of p38 kinase, are accordingly of use in medicine, for example in the treatment and/or prevention of immune or inflammatory disorders.

677303-55-8P, 1-(3-Chloro-4-fluorobenzyl)-N-methoxy-N-methyl-5oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-57-0P, N-Methoxy-N-methyl-1-(3-methylbenzyl)-5-oxo-4-

```
phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
     677303-59-2P, 1-(3-Chlorobenzyl)-N-methoxy-N-methyl-5-oxo-4-
     phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
     677303-60-5P, 1-(3-Chloro-4-fluorobenzyl)-5-oxo-4-phenyl-4,5-
     dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-62-7P,
      1-(3-Methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-
     carboxamide 677303-64-9P, 1-(3-Chlorobenzyl)-5-oxo-4-phenyl-4,5-
     dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-68-3P,
      1-(3-Chlorobenzyl)-N, N-dimethyl-5-oxo-4-phenyl-4, 5-dihydro-1H-pyrrolo[3,2-
     b]pyridine-2-carboxamide 677303-69-4P, 1-(3-Chlorobenzyl)-N-
     methyl-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
      677303-70-7P, 1-(3-Chlorobenzyl)-4-phenyl-2-[(pyrrolidin-1-
      yl)carbonyl]-1,4-dihydro-5H-pyrrolo[3,2-b]pyridin-5-one
      677303-71-8P 677303-77-4P, 1-(3-Chlorobenzyl)-4-(1H-
      indol-5-yl)-5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
      677303-83-2P, 1-(2-Cyanobenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-
      pyrrolo[3,2-b]pyridine-2-carboxamide 677303-85-4P,
      1-(4-Fluoro-3-methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-
      b]pyridine-2-carboxamide 677303-86-5P, 1-(3-Chlorobenzyl)-4-(4-
      methylphenyl)-5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
      677303-87-6P 677303-96-7P, (S)-2-[[2-
      (Hydroxymethyl)pyrrolidin-1-yl]carbonyl]-1-(3-methylbenzyl)-4-phenyl-1,4-
      dihydro-5H-pyrrolo[3,2-b]pyridin-5-one
        (bicyclic heteroarom. compds. as kinase inhibitors)
     677303-55-8 USPATFULL
RN
     1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chloro-4-
CN
       fluorophenyl)methyl]-4,5-dihydro-N-methoxy-N-methyl-5-oxo-4-phenyl-
             (CA INDEX NAME)
```

RN 677303-57-0 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 4,5-dihydro-N-methoxy-N-methyl-1[(3-methylphenyl)methyl]-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-59-2 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N-methoxy-N-methyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

677303-60-5 USPATFULL RN

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chloro-4-CN fluorophenyl) methyl] -4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN677303-62-7 USPATFULL

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 4,5-dihydro-1-[(3-CN methylphenyl)methyl]-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{O} \\ & & \text{I} \\ & \text{O} \\ & \text{N} \\ & \text{C-NH}_2 \\ & \text{Me} \end{array}$$

RN677303-64-9 USPATFULL

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-CN dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN677303-68-3 USPATFULL

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-CNdihydro-N, N-dimethyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-69-4 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N-methyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-70-7 USPATFULL

CN Pyrrolidine, 1-[[1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl-1H-pyrrolo[3,2-b]pyridin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 677303-71-8 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxylic acid, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl-, hydrazide (9CI) (CA INDEX NAME)

RN 677303-77-4 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-

dihydro-4-(1H-indol-5-yl)-5-oxo- (9CI) (CA INDEX NAME)

RN 677303-83-2 USPATFULL

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(2-cyanophenyl)methyl]-4,5-CN dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

677303-85-4 USPATFULL RN

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(4-fluoro-3-CNmethylphenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

677303-86-5 USPATFULL RN

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-CN dihydro-4-(4-methylphenyl)-5-oxo- (9CI) (CA INDEX NAME)

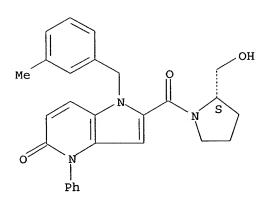
RN 677303-87-6 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxylic acid, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-4-(4-methylphenyl)-5-oxo-, hydrazide (9CI) (CA INDEX NAME)

RN 677303-96-7 USPATFULL

2-Pyrrolidinemethanol, 1-[[4,5-dihydro-1-[(3-methylphenyl)methyl]-5-oxo-4-phenyl-1H-pyrrolo[3,2-b]pyridin-2-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L73 ANSWER 10 OF 12

USPATFULL on STN

ACCESSION NUMBER:

2005:11693 USPATFULL

TITLE: INVENTOR(S): Azaindole-derivatives as factor Xa inhibitors

Nazare,

Nazare, Marc, Idstein, GERMANY, FEDERAL REPUBLIC OF

Wehner, Volkmar, Sandberg, GERMANY, FEDERAL REPUBLIC OF

act 36クジが AC

Will, David William, Kriftel, GERMANY, FEDERAL REPUBLIC

and the second of the second

Ritter, Kurt, Frankfurt am Main, GERMANY, FEDERAL

REPUBLIC OF

Urmann, Matthias, Eschborn, GERMANY, FEDERAL REPUBLIC

Matter, Hans, Langenselbold, GERMANY, FEDERAL REPUBLIC

Aventis Pharma Deutschland, Frankfurt am Main, GERMANY, PATENT ASSIGNEE(S):

FEDERAL REPUBLIC OF (non-U.S. corporation)

NUMBER KIND DATE -----US 2005009828 A1 20050113 US 2004-849089 A1 20040519 (10)

NUMBER DATE

EP 2003-11304 20030519 PRIORITY INFORMATION:

US 2003-507141P 20030930 (60)

Utility DOCUMENT TYPE: APPLICATION FILE SEGMENT:

ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE LEGAL REPRESENTATIVE:

202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 4713 LINE COUNT:

PATENT INFORMATION:

APPLICATION INFO.:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to compounds of the formula I ##STR1##

wherein R.sup.0, R.sup.1, R.sup.2, R.sup.3, Q, V, G and M are as defined herein. The compounds of the formula I are valuable pharmacologically active compounds. They exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of cardiovascular disorders like thromboembolic diseases or restenoses. They are reversible inhibitors of the blood clotting enzymes factor Xa (FXa) and/or factor VIIa (FVIIa), and can in general be applied in conditions in which an undesired activity of factor Xa and/or factor VIIa is present or for the cure or prevention of which an inhibition of factor Xa and/or factor VIIa is intended. The invention furthermore relates to processes for the preparation of compounds of the formula I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical preparations comprising them.

797060-39-0P 797060-40-3P 797060-41-4P

797060-42-5P 797060-43-6P 797060-44-7P

(claimed compound; preparation of thienylisoxazolylmethylazaindoles as factor

Xa and/or factor VIIa inhibitors)

797060-39-0 USPATFULL RN

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[[5-(5-chloro-2-thienyl)-3isoxazolyl]methyl]-N-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

797060-40-3 USPATFULL RN

1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl], methyl ester (9CI) (CA INDEX NAME)

797060-41-4 USPATFULL RN

1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-(9CI) (CA INDEX NAME)

RN 797060-42-5 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 797060-43-6 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 797060-44-7 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-(9CI) (CA INDEX NAME)

IT 797060-45-8P 797060-46-9P

(preparation of thienylisoxazolylmethylazaindoles as factor Xa and/or factor VIIa inhibitors)

RN 797060-45-8 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 797060-42-5

CMF C25 H27 C1 N6 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 797060-46-9 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 797060-44-7

CMF C25 H26 Cl N5 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 797060-56-1P

(preparation of thienylisoxazolylmethylazaindoles as factor Xa and/or factor VIIa inhibitors)

RN

797060-56-1 USPATFULL
1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, methyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

797060-55-0 CRN CMF C26 H28 Cl N5 O4 S

CM 2

76-05-1 CRN C2 H F3 O2 CMF

<---

300,000 July

L73 ANSWER 11 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2002:57810 USPATFULL

TITLE:

Substituted benzimidazoles

INVENTOR(S): Ritzeler, Olaf, Frankfurt am Main, GERMANY, FEDERAL

REPUBLIC OF

Stilz, Hans Ulrich, Frankfurt, GERMANY, FEDERAL

REPUBLIC OF

Neises, Bernhard, Offenburg, GERMANY, FEDERAL REPUBLIC

OF

Bock, Jr., William Jerome, Tucson, AZ, United States

Walser, Armin, Tucson, AZ, United States Flynn, Gary A., Tucson, AZ, United States

Habermann, Jorg, Bad Soden, GERMANY, FEDERAL REPUBLIC

OF

Jahne, Gerhard, Frankurt am Main, GERMANY, FEDERAL

REPUBLIC OF

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Frankfurt, GERMANY,

FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND DATE		
PATENT INFORMATION: APPLICATION INFO.:	US 6358978 US 2000-599390	B1 20020319 20000622	(9)	<
	NUMBER	DATE		

PRIORITY INFORMATION: DE 1999-19928424 19990623

DE 2000-10006297 20000212 <--

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Raymond, Richard L. ASSISTANT EXAMINER: Truong, Tamthom N.

LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett, & Dunner, L.L.P.

NUMBER OF CLAIMS: 28 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 3420

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds of formula I ##STR1##

are suitable for the production of pharmaceuticals for the prophylaxis and therapy of disorders in whose course an increased activity of NFkB is involved.

IT 313065-02-0P 313065-14-4P 313065-17-7P

313065-60-0P

(preparation and use of benzimidazole derivs. for treatment of illness)

RN 313065-02-0 USPATFULL

CN 1H-Indole-2-carboxamide, 2,3-dihydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 313065-14-4 USPATFULL

CN 1H-Indole-2-carboxamide, 2,3-dihydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 313065-17-7 USPATFULL

CN 1H-Indole-2-carboxamide, octahydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 313065-60-0 USPATFULL

CN 1H-Indole-2-carboxamide, 2,3-dihydro-1-[[2-(4-pyridinyl)-1H-benzimidazol-5-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L73 ANSWER 12 OF 12 USPATFULL on STN

ACCESSION NUMBER:

89:39083 USPATFULL

Shiao 10/849,089. - - - - - - - 10/26/2006 ...

TITLE:

1H-pyrrolo [3,2-c]pyrrolidines protected in 1-position

useful as intermediates

INVENTOR(S):

Dormoy, Jean-Robert, Sisteron, France

Heymes, Alain, Sisteron, France

PATENT ASSIGNEE(S):

SANOFI, Paris, France (non-U.S. corporation)

KIND DATE NUMBER -----

PATENT INFORMATION:

US 4831144 19890516 <--US 1988-141508 19880107 (7) <--

APPLICATION INFO.:

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1985-806544, filed

on 9 Dec 1985, now abandoned

DATE NUMBER -----

PRIORITY INFORMATION:

FR 1984-19029

19841212

DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Lee, Mary C.

ASSISTANT EXAMINER: LEGAL REPRESENTATIVE: Dentz, Bernard I. Bacon & Thomas

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

٦

LINE COUNT:

754

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to a process for fixing an electrophilic group in the 2-position of 1H-pyrrolo[3,2-c]pyridine N-protected in the 1-position, process which consists in protecting the 1-position in question with a labile protecting group, reacting the compound so obtained with a lithiation agent selected from a lithium amide and an alkyl lithium at a temperature between -80° C. and -20° C. and in the presence of tetramethylethylenediamine to obtain the corresponding 2-lithio derivative and then condensing the metal derivative so obtained at a temperature between -80° C. and room-temperature with a reagent capable of giving rise to an electrophilic group to form N-protected 1H-pyrrolo[3,2-c]pyridine substituted in the 2-position by an electrophilic group.

IT 109113-48-6P

(preparation of, as intermediate for anthelmintics)

RN109113-48-6 USPATFULL

1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, N,N-diethyl-1-(phenylsulfonyl)-CN(9CI) (CA INDEX NAME)

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=> d que nos 159
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L7
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L8
        103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES
L11
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L14
L15
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L59
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=> d his 171

(FILE 'TOXCENTER, USPATFULL, USPAT2, CASREACT, CHEMCATS' ENTERED AT 14:53:12 ON 24 OCT 2006) 15 S L69 NOT L70 L71

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=> d que nos 171
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L7
        103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES
L8
L11
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L14
             O SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14
L15
               STR
L19
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L21
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L22
L37
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L39
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L40
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L41
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L56
               <2004 OR REVIEW/DT
            24 SEA L42 OR L53
L69
             9 SEA L69 AND L56
L70
            15 SEA L69 NOT L70
L71
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=> dup rem 159 171 DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'. ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE FILE 'HCAPLUS' ENTERED AT 15:36:07 ON 24 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

त्र क्रुकेटी (१९८०) हे ।

FILE 'TOXCENTER' ENTERED AT 15:36:07 ON 24 OCT 2006 COPYRIGHT (C) 2006 ACS

FILE 'CASREACT' ENTERED AT 15:36:07 ON 24 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CHEMCATS' ENTERED AT 15:36:07 ON 24 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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COPYRIGHT (C) 2006 American Chemical Society (ACS)
PROCESSING COMPLETED FOR L59
PROCESSING COMPLETED FOR L71
L74 16 DUP REM L59 L71 (3 DUPLICATES REMOVED)

ANSWERS '1-4' FROM FILE HCAPLUS
ANSWERS '5-16' FROM FILE CHEMCATS

=> file stnguide FILE 'STNGUIDE' ENTERED AT 15:36:12 ON 24 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 20, 2006 (20061020/UP).

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, CHEMCATS' - CONTINUE? (Y)/N:Y
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174 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER:

2006:976769 HCAPLUS

DOCUMENT NUMBER:

145:356777

TITLE:

Benzazole derivatives and their preparation, compositions, and methods of use as β -secretase

inhibitors

INVENTOR(S):

Mjalli, Adnan M.; Jones, David; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Zhu, Jeff; Rao, Mohan;

Andrews, Robert C.; Ren, Tan

Andrews, Robert C.; Ren, Tan Transtech Pharma, Inc., USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 268pp.

ooong.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
						- :		0001										
WO	WO 2006099379																	
	W:	ΑE,	AG,	ΑL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	ΚP,	KR,	
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
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		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	KZ,	MD,	RU,	ТJ,	TM											
US	US 2006223849				A1	.1 20061005			US 2006-374723						20060314			
PRIORITY APPLN. INFO.:								1	US 2	005-	6613	49P		P 2	0050	314		

ED Entered STN: 21 Sep 2006

AB The invention is directed

The invention is directed to benzazole compds. of formula I that inhibit β -site amyloid precursor protein-cleaving enzyme (BACE) and that may be useful in the treatment or prevention of diseases in which BACE is involved, such as Alzheimer's disease. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which BACE is involved. Compds. of formula I wherein A is O, S, and NH and derivs.; L1, L6, and L7 are independently CH2, O, NH and derivs., CO, CONH and derivs., NHCO and derivs., NHCONH and derivs., NHCO2 and derivs., NHSO2 and derivs., etc.; Q1 and Q6 are independently a bond, alkylene, alkenylene, and alkynylene; G1 is heterocyclylene, cycloalkylene, heterocyclylene, (hetero)arylene, fused arylcycloalkenylene, etc.; G6 is H, heterocyclyl, cycloalkyl, (hetero)aryl, fused arylcycloalkyl, fused cycloalkyl (hetero) aryl, etc.; R1 - R4 are independently H, NH2, carboxy, CN, halo, NO2, OH, alkyl, (alkylene)aryl, etc.; and their pharmaceutically acceptable salts, esters, and prodrugs thereof are claimed. Example compound II was prepared by amidation of 2,3-diaminobenzoic acid Me ester with isoquinoline-3-carboxylic acid; the resulting 2-amino-3-[(isoquinoline-3carbonyl)amino]benzoic acid Me ester underwent cyclization to give 2-(isoquinolin-3-yl)-1H-benzimidazole-4-carboxylic acid Me ester, which

CN

underwent hydrolysis to give the corresponding benzimidazole-4-carboxylic acid, which underwent amidation with 4-phenyl-1H-imidazol-2-ylamine to give compound II. All the invention compds. were evaluated for their β -secretase inhibitory activity. Several example compds. exhibited EC50 values of less than or equal to 2.0 μM .

910118-58-0P IT

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of benzazole derivs. as $\beta\text{-secretase}$ inhibitors useful in treatment and prevention of diseases)

910118-58-0 HCAPLUS RN

INDEX NAME NOT YET ASSIGNED

L74 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER:

2006:76452 HCAPLUS 144:170972

DOCUMENT NUMBER: TITLE:

Preparation of octahydropyrrolo[2,3-c]pyridines as

inhibitors of matrix metalloproteinase

INVENTOR(S):

Swinnen, Dominique; Bombrun, Agnes

PATENT ASSIGNEE(S):

Applied Research Systems ARS Holding N.V., Neth.

Antilles

SOURCE:

PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIN	D 1	DATE		į	APPL	ICAT:	DATE						
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WO 2006	WO 2006008303			A1 20060126			1	WO 2005-EP53501						20050720			
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	ΚP,	KR,	KZ,	
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	
	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	
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	IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

EP 2004-103483 A 20040721 US 2004-589621P P 20040721

OTHER SOURCE(S): CASREACT 144:170972; MARPAT 144:170972

ED Entered STN: 27 Jan 2006

The title octahydropyrrolo[2,3-c]pyridine derivs. I [wherein R1 = (hetero)aryl or (hetero)cycloalkyl; R2 = H, SO2, alkyl, alkenyl, alkynyl, acyl, etc.; R3-R6 = independently H, halo, or alkyl], or isomers, enantiomers, diastereomers, racemates, or pharmaceutically acceptable salts thereof were prepared as inhibitors of matrix metalloproteinase (MMP). For example, racemic compound II was prepared in a multi-step synthesis. II showed inhibitory activity against MMP-2, MMP-9, and MMP-12 with IC50 of 0.05, 0.041, and 0.05 μM, resp. The compds. are useful for the prophylaxis and/or treatment of autoimmune disorders, cancer, inflammation, cardiovascular diseases, neurodegenerative diseases, respiratory diseases, or fibrosis, including multiple sclerosis, arthritis, emphysema, chronic obstructive pulmonary disease, liver, and pulmonary fibrosis (no data). Formulations containing I as active ingredients were described.

IT 874306-79-3P 874306-80-6P 874306-81-7P 874306-82-8P 874306-83-9P 874306-84-0P 874306-85-1P 874306-86-2P 874306-87-3P 874306-88-4P 874306-89-5P 874306-90-8P 874306-91-9P 874306-92-0P 874306-93-1P 874306-94-2P 874306-95-3P 874306-96-4P 874306-97-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of octahydropyrrolo[2,3-c]pyridines as MMP inhibitors)

RN 874306-79-3 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-benzoyloctahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-80-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-acetyloctahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-81-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-6-(3-methyl-1-oxobutyl)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-82-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-(3-cyclopentyl-1-oxopropyl)octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

RN 874306-83-9 HCAPLUS

CN 6H-Pyrrolo[2,3-c]pyridine-6-carboxylic acid, octahydro-2-[(hydroxyamino)carbonyl]-1-[(4-methoxyphenyl)sulfonyl]-, 2-methoxyethylester, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-84-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-6-(1-oxododecyl)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Me
$$(CH_2)_{10}$$
 N R N OH OH OME

RN 874306-85-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-(cyclopentylcarbonyl)octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-86-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-6-(1-oxo-3-phenylpropyl)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

RN874306-87-3 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-CN methoxyphenyl)sulfonyl]-6-(methylsulfonyl)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

874306-88-4 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1,6-bis[(4-CN methoxyphenyl)sulfonyl]-, (2R, 3aR, 7aS)-rel- (9CI) (CA INDEX NAME)

874306-89-5 HCAPLUS RN.

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-6-CN (methylsulfonyl) -1-[[4-(4-pyridinyloxy)phenyl]sulfonyl]-,
(2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

874306-90-8 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-([1,1'-biphenyl]-4-CNylcarbonyl)octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R, 3aR, 7aS) - rel - (9CI) (CA INDEX NAME)

RN 874306-91-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-([1,1'-biphenyl]-4-ylsulfonyl)octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-92-0 HCAPLUS

CN 6H-Pyrrolo[2,3-c]pyridine-6-acetamide, N,N-diethyloctahydro-2[(hydroxyamino)carbonyl]-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel(9CI) (CA INDEX NAME)

874306-93-1 HCAPLUS RN

6H-Pyrrolo[2,3-c]pyridine-6-acetamide, N-ethyloctahydro-2-CN[(hydroxyamino)carbonyl]-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

874306-94-2 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2,6-dicarboxamide, hexahydro-N2-hydroxy-1-[(4-CNmethoxyphenyl)sulfonyl]-N6-phenyl-, (2R, 3aR, 7aS)-rel- (9CI) (CA INDEX NAME)

RN 874306-95-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-96-4 HCAPLUS

CN 6H-Pyrrolo[2,3-c]pyridine-6-carboxylic acid, octahydro-2-[(hydroxyamino)carbonyl]-1-[(4-methoxyphenyl)sulfonyl]-, phenylmethyl ester, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

RN 874306-97-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-acetyl-4,5,6,7-tetrahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

IT 874307-03-6P 874307-07-0P 874307-11-6P
874307-15-0P 874307-21-8P 874307-26-3P
874307-28-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Reactant or reagent)
 (intermediate; preparation of octahydropyrrolo[2,3-c]pyridines as MMP
 inhibitors)

RN 874307-03-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-benzoyloctahydro-1-[(4-methoxyphenyl)sulfonyl]-N-(phenylmethoxy)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

•

RN 874307-07-0 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-acetyloctahydro-1-[(4-methoxyphenyl)sulfonyl]-N-(phenylmethoxy)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

874307-15-0 HCAPLUS RN

6H-Pyrrolo[2,3-c]pyridine-6-acetamide, N-ethyloctahydro-1-[(4-CN methoxyphenyl) sulfonyl] -2-[[(phenylmethoxy)amino]carbonyl]-, (2R, 3aR, 7aS) -rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

874307-21-8 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2,6-dicarboxamide, hexahydro-1-[(4-CN methoxyphenyl)sulfonyl]-N6-phenyl-N2-(phenylmethoxy)-, (2R,3aR,7aS)-rel-(9CI) (CA INDEX NAME)

RN 874307-26-3 HCAPLUS

6H-Pyrrolo[2,3-c]pyridine-6-carboxylic acid, octahydro-1-[(4-CNmethoxyphenyl) sulfonyl] -2-[[(phenylmethoxy)amino]carbonyl] -, phenylmethyl ester, (2R, 3aR, 7aS) -rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

874307-28-5 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-acetyl-4,5,6,7-tetrahydro-1-[(4-CNmethoxyphenyl)sulfonyl]-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L74 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:468246 HCAPLUS

DOCUMENT NUMBER:

144:488656

TITLE:

Preparation of 1H-imidazo[4,5-b]pyridine-2-

carboxamides and related compounds as D1 dopamine

receptor inhibitors

INVENTOR(S):

Gmeiner, Peter; Schlotter, Karin; Huebner, Harald;

Schmidt, Dirk; Buchholz, Monika Schwarz Pharma A.-G., Germany

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.			KIN	D	DATE			APPL	ICAT	ION 1	. OI		Di	ATE		
WO	2006	0509	76		A1	-	2006	0518	,	WO 2	 005-1	EP12	127		20	0051	111
							AU,			-			_				
		•	•	•	•		DE,	•	•	•	•		•	•	•		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU,	ΤJ,	TM										
DE	DE 102004054634			A1		2006	0518		DE 2	004-	1020	0405	4634	2	0041	112	
	PRIORITY APPLN. INFO.:								DE 2	004-	1020	0405	4634	A 2	0041	112	
OTHER SOURCE(S):			MAR	TAG	144:	4886	56						•				

OTHER SOURCE(S): MARPAT 14-ED Entered STN: 19 May 2006

AB Title compds. I [A = aromatic 6-membered ring with provisos; B = aromatic 5-membered ring with provisos; Q1 = N, S, O, etc.; Q2 = CH, CR1, etc.; Q3 = N, CN, CR1; R1 = OH, alkyl, alkyloxy, etc.] and their pharmaceutically acceptable salts were prepared For example, coupling of amine II and 3H-imidazo[4,5-b]pyridine-2-carboxylic acid afforded claimed

imidazolpyridinylcarboxamide III in 36% yield. In D1 dopamine receptor inhibition assays, 4-examples of compds. I exhibited Ki values ranging from 440-1500 nM.

IT 887307-43-9P 887307-45-1P 887307-63-3P

887307-67-7P 887307-70-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazolpyridinylcarboxamides and related compds. as D1 dopamine receptor inhibitors)

RN 887307-43-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 887307-45-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[4-[4-(2,3-dichlorophenyl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 887307-63-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[4-[4-(2,3-dihydro-7-benzofuranyl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & O \\$$

RN 887307-67-7 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[4-[4-(3,4-dihydro-2H-1-benzopyran-8-yl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX

NAME)

887307-70-2 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-(phenylsulfonyl)-N-[4-[4-CN (2,3,4,5-tetrahydro-1-benzoxepin-9-yl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

FERENCE COUNT:

CORPORATE SOURCE:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN ANSWER 4 OF 16

9

2006:64500 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 144:205149

Design, synthesis, and biological activity of novel TITLE:

factor Xa inhibitors: Improving metabolic stability by

S1 and S4 ligand modification

Komoriya, Satoshi; Kobayashi, Shozo; Osanai, Ken; AUTHOR (S):

Yoshino, Toshiharu; Nagata, Tsutomu; Haginoya,

Noriyasu; Nakamoto, Yumi; Mochizuki, Akiyoshi; Nagahara, Takayasu; Suzuki, Makoto; Shimada, Takashi; Watanabe, Kengo; Isobe, Yumiko; Furugoori, Taketoshi Tokyo R&D Center, Daiichi Pharmaceutical Co. Ltd,

16-13, Kita-Kasai 1-Chome, Edogawa-ku, Tokyo,

134-8630, Japan

SOURCE: Bioorganic & Medicinal Chemistry (2006), 14(5),

1309-1330

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal English LANGUAGE: ED Entered STN: 24 Jan 2006

Serine protease factor Xa (fXa) inhibitor I showed good ex vivo anti-fXa AB activity upon oral administration in rats. However, it has been revealed that I had low metabolic stability against human liver microsomes. To improve the metabolic stability, we attempted to modify the S1 and S4

ligands of I. These modifications resulted in a compound which exhibited selective anti-fXa activity and excellent anti-coagulation activity.

IT 875573-41-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(factor Xa inhibitors with improved metabolic stability)

RN 875573-41-4 HCAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[[1-(phenylsulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => d ide 5
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, CHEMCATS' - CONTINUE? (Y)/N:y

L74 ANSWER 5 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2005:3866708 CHEMCATS

Catalog Name

(CO): Ambinter Stock Screening Collection

Publication Date

(PD): 3 Jul 2005

Order Number

(ON): 1R-1070

Chemical Name

(CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-chlorophenyl)-1-(phenylsulfonyl)-

CAS Registry No.

(RN): 477872-25-6

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure

=> d ide 6-16
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, CHEMCATS' - CONTINUE? (Y)/N:y

L74 ANSWER 6 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2005:3866707 CHEMCATS

Catalog Name (CO): Ambinter Stock Screening Collection

Publication Date (PD): 3 Jul 2005 Order Number (ON): 1R-1067

Chemical Name (CN): Morpholine, 4-[[1-(phenylsulfonyl)-1H-pyrrolo[2,3-

b]pyridin-2-yl]carbonyl]-

CAS Registry No. (RN): 477872-24-5
Supplementary Term (ST): CHEMICAL LIBRARY
Structure :

L74 ANSWER 7 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2005:3866706 CHEMCATS

Catalog Name (CO): Ambinter Stock Screening Collection

Publication Date (PD): 3 Jul 2005 Order Number (ON): 1R-1066

Chemical Name (CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-chloro-2-methylphenyl)-1-(phenylsulfonyl)-

CAS Registry No. (RN): 477872-23-4 Supplementary Term (ST): CHEMICAL LIBRARY

Structure :

O=S-Ph O-NH-C-NH-C-NH-C1

L74 ANSWER 8 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2005:3866705 CHEMCATS

Catalog Name (CO): Ambinter Stock Screening Collection

Publication Date (PD): 3 Jul 2005 Order Number (ON): 1R-1063

Chemical Name (CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-methoxyphenyl)-1-(phenylsulfonyl)-

CAS Registry No. (RN): 477872-22-3

Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L74 ANSWER 9 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2005:1905446 CHEMCATS Catalog Name (CO): Interchim Intermediates

Publication Date (PD): 18 Jan 2005 Order Number (ON): 1R-1070

Chemical Name (CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-chlorophenyl)-1-(phenylsulfonyl)-

CAS Registry No. (RN): 477872-25-6

Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L74 ANSWER 10 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2005:1905445 CHEMCATS
Catalog Name (CO): Interchim Intermediates

Publication Date (PD): 18 Jan 2005 Order Number (ON): 1R-1067

Chemical Name (CN): Morpholine, 4-[[1-(phenylsulfonyl)-1H-pyrrolo[2,3-

b]pyridin-2-yl]carbonyl]-

CAS Registry No. (RN): 477872-24-5
Supplementary Term (ST): CHEMICAL LIBRARY

Structure :

L74 ANSWER 11 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2005:1905444 CHEMCATS

Catalog Name

(CO): Interchim Intermediates

Publication Date

(PD): 18 Jan 2005

Order Number

(ON): 1R-1066

Chemical Name

(CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-chloro-2-methylphenyl)-1-(phenylsulfonyl)-

CAS Registry No.

(RN): 477872-23-4

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure

L74 ANSWER 12 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2005:1905443 CHEMCATS

Catalog Name

(CO): Interchim Intermediates

Publication Date

(PD): 18 Jan 2005

Order Number

(ON): 1R-1063

Chemical Name

(CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-methoxyphenyl)-1-(phenylsulfonyl)-

CAS Registry No.

(RN): 477872-22-3

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure

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L74 ANSWER 13 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2000:936515 CHEMCATS

Catalog Name (CO): Bionet Screening Compounds

Publication Date (PD): 27 Mar 2006

Order Number (ON): 1R-1063

Chemical Name (CN): N-(4-methoxyphenyl)-1-(phenylsulfonyl)-1H-pyrrolo[2,3-

b]pyridine-2-carboxamide

CAS Registry No. (RN): 477872-22-3

Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L74 ANSWER 14 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2000:581548 CHEMCATS

Catalog Name (CO): Bionet Screening Compounds

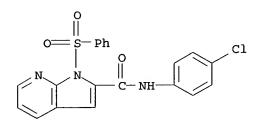
Publication Date (PD): 27 Mar 2006 Order Number (ON): 1R-1070

Chemical Name (CN): N-(4-chlorophenyl)-1-(phenylsulfonyl)-1H-pyrrolo[2,3-

b]pyridine-2-carboxamide

CAS Registry No. (RN): 477872-25-6 Supplementary Term (ST): CHEMICAL LIBRARY

Structure :



L74 ANSWER 15 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2000:581545 CHEMCATS

Catalog Name (CO): Bionet Screening Compounds

Publication Date (PD): 27 Mar 2006 Order Number (ON): 1R-1067

Chemical Name (CN): morpholino[1-(phenylsulfonyl)-1H-pyrrolo[2,3-

b]pyridin-2-yl]methanone

CAS Registry No. (RN): 477872-24-5 Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L74 ANSWER 16 OF 16 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2000:581544 CHEMCATS

Catalog Name

(CO): Bionet Screening Compounds (PD): 27 Mar 2006

Publication Date Order Number

(ON): 1R-1066

Chemical Name

(CN): N-(4-chloro-2-methylphenyl)-1-(phenylsulfonyl)-1H-

pyrrolo[2,3-b]pyridine-2-carboxamide

CAS Registry No.

(RN): 477872-23-4

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure

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L5 STR
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VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

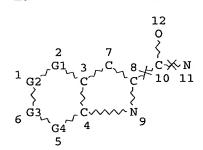
STEREO ATTRIBUTES: NONE

L23 8608 SEA FILE=BEILSTEIN SSS FUL L5

100.0% PROCESSED 121484 ITERATIONS (8 INCOMPLETE) 8608 ANSWERS

SEARCH TIME: 00.01.02

=> d que stat 124 L5 STR



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VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

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DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

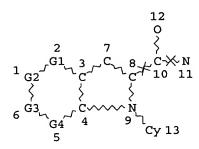
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L11 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NSPEC

NODE ATTRIBUTES:

NSPEC IS RC AT

IS RC AT 11

CONNECT IS E1 RC AT 12

DEPARTMENT TO AMON

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L23 8608 SEA FILE=BEILSTEIN SSS FUL L5

10

L24 96 SEA FILE=BEILSTEIN SUB=L23 SSS FUL L11

100.0% PROCESSED 8608 ITERATIONS (8 INCOMPLETE) 96 ANSWERS

SEARCH TIME: 00.00.08

=> d que stat 125 L5 STR

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10
NSPEC IS RC AT 11
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE L19 STR

 $\begin{array}{c}
4\\0\\\\\\\\Cy\sim C \times N\\1 & 2 & 3
\end{array}$

NODE ATTRIBUTES:

NSPEC IS RC AT 2
NSPEC IS RC AT 3
CONNECT IS E1 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 1
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E7 C E2 N E0 O E0 S AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE

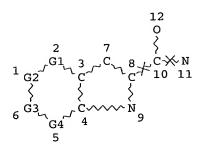
L23 8608 SEA FILE=BEILSTEIN SSS FUL L5

L25 29 SEA FILE=BEILSTEIN SUB=L23 SSS FUL L19

100.0% PROCESSED 8608 ITERATIONS (8 INCOMPLETE) 29 ANSWERS

SEARCH TIME: 00.00.05

=> d que stat 126 L5 STR



VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10 NSPEC IS RC AT 11 CONNECT IS E1 RC AT 12

DEPART MINURE TO ATOM

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L11 STR

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE L19 STR

4 O } Cy~ C-≫N 1 2 3

NODE ATTRIBUTES:

NSPEC IS RC AT 2 NSPEC IS RC AT 3

CONNECT IS E1 RC AT 4

DEFAULT MLEVEL IS ATOM

GGCAT IS PCY AT 1

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E7 C E2 N E0 O E0 S AT 1

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE

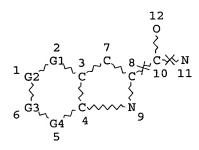
L23 8608 SEA FILE=BEILSTEIN SSS FUL L5

L24 96 SEA FILE=BEILSTEIN SUB=L23 SSS FUL L11 L25 29 SEA FILE=BEILSTEIN SUB=L23 SSS FUL L19

L26 8 SEA FILE=BEILSTEIN ABB=ON PLU=ON L24 AND L25

=> d que stat 144

L5 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

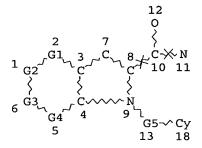
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L23 8608 SEA FILE=BEILSTEIN SSS FUL L5

L37 STR

C@14 N@15 S@16 O@17



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/16/17

NODE ATTRIBUTES:

ATNSPEC IS RC 10 NSPEC IS RC AT 11 NSPEC IS RC AT 14 NSPEC IS RC AΤ 15 NSPEC IS RC AT 16 IS RC AT 17 NSPEC CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

10/16 TO 10/

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

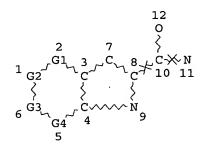
TAA CIO OFF

610 SEA FILE=BEILSTEIN SUB=L23 SSS FUL L37

100.0% PROCESSED 5234 ITERATIONS (8 INCOMPLETE) 610 ANSWERS

SEARCH TIME: 00.00.05

=> d que stat 145 L5 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10 NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE L19 STR

```
NODE ATTRIBUTES:
```

IS RC AT 2 NSPEC IS RC ATNSPEC 3 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM IS PCY AT DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E7 C E2 N E0 O E0 S AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED 4

NUMBER OF NODES IS

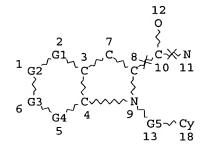
STEREO ATTRIBUTES: NONE

8608 SEA FILE=BEILSTEIN SSS FUL L5

29 SEA FILE=BEILSTEIN SUB=L23 SSS FUL L19 L25

L37

C @14 N @15 S @16 0@17



VAR G1=C/N VAR G2=C/N VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/16/17

NODE ATTRIBUTES:

NSPEC IS RC AT 10 IS RC NSPEC AΤ 11 IS RC **NSPEC** AT14 IS RC NSPEC AΤ 15 IS RC NSPEC AT 16 NSPEC IS RC AT17 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

610 SEA FILE=BEILSTEIN SUB=L23 SSS FUL L37 L44

8 SEA FILE=BEILSTEIN ABB=ON PLU=ON L25 AND L44 L45

=> fil beilst

FILE 'BEILSTEIN' ENTERED AT 15:38:13 ON 24 OCT 2006 COPYRIGHT (c) 2006 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE LAST UPDATED ON JUNE 16, 2006

FILE COVERS 1771 TO 2006.

*** FILE CONTAINS 9,606,495 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

- * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.
- * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE
- * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE
- * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.
- * FOR PRICE INFORMATION SEE HELP COST

NEW

- * PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

=> s l26 or l45 L75 8 L26 OR L45

=> d ide 1

L75 ANSWER 1 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 5203985 Molec. Formula (MF): C20 H13 N5 O9 Molecular Weight (MW): 467.35 31217, 14140 Lawson Number (LN): Compound Type (CTYPE): heterocyclic Constitution ID (CONSID): 4651404 Tautomer ID (TAUTID): 5034535 Beilstein Citation (BSO): 6-27 1992/08/28 Entry Date (DED): Update Date (DUPD): 1992/08/28

Field Availability:

Code	Name	Occurrence
=======	=======================================	========
BRN	Beilstein Records	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1

Melting Point MP RSTR Related Structure

1.0/2.

1

This substance also occurs in Reaction Documents:

Code Name Occurrence RX Reaction Documents RXPRO Substance is Reaction Product

=> d rx 1

L75 ANSWER 1 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Reaction:

ВX

Reaction ID (.ID): 1821561

Reactant BRN (.RBRN): 4470693, 1588666

Reactant (.RCT): 5-nitrosalicylaldehyde benzylamine Schiff

base, 2-chloro-1,3,5-trinitro-benzene

Product BRN (.PBRN): 5203985 Product (.PRO): C20H13N5O9

No. of React. Details (.NVAR): 1

Reaction Details:

ВX

Reaction RID (.RID): 1821561.1 Reaction Classification (.CL): Preparation Reagent (.RGT): Triethylamine Solvent (.SOL): benzene

Time (.TIM): 7 day(s)

Other Conditions (.COND): Ambient temperature

Note(s) (.COM): Yield given

Reference(s): 1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.; Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9, 18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>, 484-493; BABS-5632319

=> d ide 2

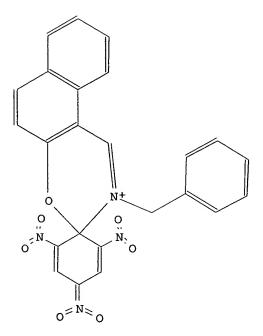
L75 ANSWER 2 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 5201172 Molec. Formula (MF): C24 H16 N4 O7 Molecular Weight (MW): 472.41

Lawson Number (LN): 31234, 14140 Compound Type (CTYPE): heterocyclic

Constitution ID (CONSID): 4651380 Tautomer ID (TAUTID): 5024792 6-27 1992/08/28 Beilstein Citation (BSO):

Entry Date (DED):
Update Date (DUPD): 1992/08/28



Field Availability:

Code	Name	Occurrence
=======		=========
BRN	Beilstein Records	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
RSTR	Related Structure	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
=======	:======================================	=========
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d rx 2

L75 ANSWER 2 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Reaction:

RX

1821657

Reaction ID (.ID):
Reactant BRN (.RBRN): 5271343, 1588666

10/26/2006

Shiao 10/849-089 " Shiao 1/949

Reactant (.RCT):

1-Benzyliminomethyl-naphthol-(2),

2-chloro-1,3,5-trinitro-benzene

Product BRN (.PBRN):

C24H16N4O7

Product (.PRO):

5201172

No. of React. Details (.NVAR):

Reaction Details:

Reaction RID (.RID): 1821657.1 Reaction Classification (.CL): Preparation Reagent (.RGT): Triethylamine

Solvent (.SOL): benzene Time (.TIM): 7 day(s)

Other Conditions (.COND): Ambient temperature

Note(s) (.COM): Yield given

Reference(s):

Entry Date (DED):

Update Date (DUPD):

1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.; Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9, 18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>, 484-493; BABS-5632319

1992/08/28

1992/08/28

=> d ide 3

L75 ANSWER 3 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 5198492 Molec. Formula (MF): C20 H13 Br N4 O7 Molecular Weight (MW): 501.25 31217, 14140 Lawson Number (LN): Compound Type (CTYPE): heterocyclic Constitution ID (CONSID): 4603161 Tautomer ID (TAUTID): 4926699 Beilstein Citation (BSO): 6-27

searched by D. Arnold 571-272-2532

Field Availability:

Code	Name	Occurrence
=======	=======================================	==========
BRN	Beilstein Records	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
RSTR	Related Structure	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
=======		=========
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d rx 3

L75 ANSWER 3 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID):

1821625

10/26/2006

```
Reactant BRN (.RBRN):
                             5012083, 1588666
```

Reactant (.RCT): 2-(benzylimino-methyl)-4-bromo-phenol,

2-chloro-1,3,5-trinitro-benzene

Product BRN (.PBRN): 5198492 Product (.PRO): C20H13BrN4O7

No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 1821625.1 Reaction Classification (.CL): Preparation Reagent (.RGT): Triethylamine benzene Solvent (.SOL):

7 day(s) Time (.TIM):

Other Conditions (.COND): Ambient temperature

Note(s) (.COM): Yield given

Reference(s):

1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.; Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9, 18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>, 484-493; BABS-5632319

=> d ide 4

L75 ANSWER 4 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 5194486 Molec. Formula (MF): C20 H16 N4 O7 Molecular Weight (MW): 424.37 Lawson Number (LN): 31234, 2836 Compound Type (CTYPE): heterocyclic Constitution ID (CONSID): 4598518 Tautomer ID (TAUTID): 4927149 Beilstein Citation (BSO): 6-27 Entry Date (DED): 1992/08/28 Update Date (DUPD): 1992/08/28

Field Availability:

Code	Name	Occurrence
=======	:======================================	========
BRN	Beilstein Records	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
CDEN	Density (Crystal)	1
CRYPH	Crystal Phase	1
CSG	Crystal Space Group	1
CSYS	Crystal System	1
GEO	Interatomic Distanc and Angle	1
IR	Infrared Spectrum	1
MP	Melting Point	1
RSTR	Related Structure	1
UVS	UV and Visible Spectrum	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
======		=========
RX	Reaction Documents	4
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	3

=> d rx 4

L75 ANSWER 4 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

```
Reaction:
ВX
     Reaction ID (.ID):
                                      3008806
     Reactant BRN (.RBRN):
                                      5179110
     Reactant (.RCT):
                                      2-<<isopropyl-(2,4,6-trinitro-phenyl)-
                                      amino>-methylene>-2H-naphthalen-1-one
     Product BRN (.PBRN):
                                      5194486, 5176613
     Product (.PRO):
                                      C20H16N4O7, isopropyl-<2-(2,4,6-trinitro-
                                      phenoxy) -naphthalen-1-ylmethylene>-amine
     No. of React. Details (.NVAR):
Reaction Details:
ВX
     Reaction RID (.RID):
                                      3008806.1
     Reaction Classification (.CL):
                                      Chemical behaviour
     Solvent (.SOL):
                                      bis-(2-methoxy-ethyl) ether
     Temperature (.T):
                                      25 Cel
     Other Conditions (.COND):
                                      \delta H, \delta S, \delta G
     Subject Studied (.SUBJ):
                                      Equilibrium constant, Thermodynamic data
     Reference(s):
     1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.;
        Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9,
        18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>,
        484-493; BABS-5632319
Reaction:
ВX
     Reaction ID (.ID):
                                      3007874
     Reactant BRN (.RBRN):
                                      5176613
     Reactant (.RCT):
                                      isopropyl-<2-(2,4,6-trinitro-phenoxy)-
                                      naphthalen-1-ylmethylene>-amine
     Product BRN (.PBRN):
                                      5194486, 5179110
     Product (.PRO):
                                      C20H16N4O7, 2-<<isopropyl-(2,4,6-trinitro-
                                      phenyl) -amino>-methylene>-2H-naphthalen-1-
     No. of React. Details (.NVAR):
Reaction Details:
RX
     Reaction RID (.RID):
                                      3007874.1
     Reaction Classification (.CL):
                                      Chemical behaviour
     Solvent (.SOL):
                                      bis-(2-methoxy-ethyl) ether
     Temperature (.T):
                                      25 Cel
     Other Conditions (.COND):
                                      \delta H, \delta S, \delta G
     Subject Studied (.SUBJ):
                                      Equilibrium constant, Thermodynamic data
     Reference(s):

    Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.;

        Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9,
        18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>,
        484-493; BABS-5632319
Reaction:
RX
     Reaction ID (.ID):
                                      1821654
     Reactant BRN (.RBRN):
                                      5256551, 1588666
     Reactant (.RCT):
                                      1-(isopropylimino-methyl)-naphthalen-2-ol,
```

```
2-chloro-1,3,5-trinitro-benzene
     Product BRN (.PBRN):
                                     5194486
                                     C20H16N4O7
     Product (.PRO):
     No. of React. Details (.NVAR):
Reaction Details:
     Reaction RID (.RID):
                                     1821654.1
     Reaction Classification (.CL): Preparation
                                     Triethylamine
     Reagent (.RGT):
                                     benzene
     Solvent (.SOL):
                                     7 day(s)
     Timė (.TIM):
                                     Ambient temperature
     Other Conditions (.COND):
                                     Yield given
     Note(s) (.COM):
     Reference(s):
     1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.;
        Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9,
        18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>,
        484-493; BABS-5632319
Reaction:
RX
                                     3014862
     Reaction ID (.ID):
                                     5194486
     Reactant BRN (.RBRN):
                                      C20H16N4O7
     Reactant (.RCT):
                                      5179110, 5176613
     Product BRN (.PBRN):
                                      2-<<isopropyl-(2,4,6-trinitro-phenyl)-
     Product (.PRO):
                                      amino>-methylene>-2H-naphthalen-1-one,
                                      isopropyl-<2-(2,4,6-trinitro-phenoxy)-
                                      naphthalen-1-ylmethylene>-amine
     No. of React. Details (.NVAR):
Reaction Details:
     Reaction RID (.RID):
                                      3014862.1
     Reaction Classification (.CL): Chemical behaviour
     Solvent (.SOL):
                                      bis-(2-methoxy-ethyl) ether
                                      25 Cel
     Temperature (.T):
     Other Conditions (.COND):
                                      \delta H, \delta S, \delta G
                                     Equilibrium constant, Thermodynamic data
     Subject Studied (.SUBJ):
     Reference(s):
     1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.;
        Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9,
        18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>,
        484-493; BABS-5632319
=> d ide 5
L75 ANSWER 5 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN
     Beilstein Records (BRN):
                                      5193735
                                      C20 H14 N4 O7
     Molec. Formula (MF):
     Molecular Weight (MW):
                                      422.35
                                      31216, 14140
     Lawson Number (LN):
     Compound Type (CTYPE):
                                      heterocyclic
     Constitution ID (CONSID):
                                      4589044
```

Page 98

4920110

6-27

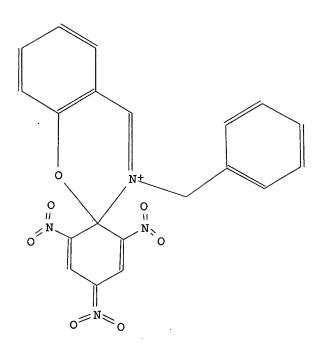
Tautomer ID (TAUTID):

Beilstein Citation (BSO):

Entry Date (DED): Update Date (DUPD):

75

1992/08/28 1992/08/28



Field Availability:

Code	Name	Occurrence
=======		=========
BRN	Beilstein Records	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
RSTR	Related Structure	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
=======		=========
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	. 1

=> d rx 5

Shian 10/26/2006 23.7

L75 ANSWER 5 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID): 1821478

Reactant BRN (.RBRN): 2211337, 1588666

Reactant (.RCT): N-salicylidene-benzylamine,

2-chloro-1,3,5-trinitro-benzene

Product BRN (.PBRN): 5193735 Product (.PRO): C20H14N4O7

No. of React. Details (.NVAR): 1

Reaction Details:

ŘХ

Reaction RID (.RID): 1821478.1 Reaction Classification (.CL): Preparation Reagent (.RGT): Triethylamine

Solvent (.SOL): benzene Time (.TIM): 7 day(s)

Ambient temperature Other Conditions (.COND):

Note(s) (.COM): Yield given

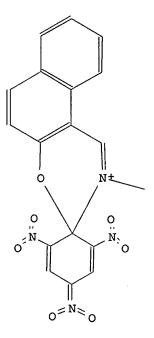
Reference(s):

1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.; Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9, 18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>, 484-493; BABS-5632319

=> d ide 6

L75 ANSWER 6 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 5190225 Molec. Formula (MF): C18 H12 N4 O7 Molecular Weight (MW): 396.32 Lawson Number (LN): Compound Type (CTYPE): 31234, 2817 heterocyclic Constitution ID (CONSID): 4592752 Tautomer ID (TAUTID): 4925211 Beilstein Citation (BSO): 6-27 1992/08/28 Entry Date (DED): Update Date (DUPD): 1992/08/28



Field Availability:

Code	Name	Occurrence
	:======================================	
BRN	Beilstein Records	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	. 2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer IĎ	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
RSTR	Related Structure	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
======		========
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

=> d rx 6 .

L75 ANSWER 6 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Reaction:

RX

Reaction ID (.ID):

1821492

Reactant BRN (.RBRN): 2614214, 1588666

Reactant (.RCT): N-(2-hydroxynaphthylmethylidene)methylamin

e, 2-chloro-1,3,5-trinitro-benzene

Product BRN (.PBRN): 5190225

Product (.PRO): C18H12N4O7 No. of React. Details (.NVAR): 1

Reaction Details:

РX

Reaction RID (.RID): 1821492.1
Reaction Classification (.CL): Preparation
Reagent (.RGT): Triethylamine

Solvent (.SOL): benzene

Time (.TIM):

7 day(s)

Other Conditions (.COND): Ambient temperature

Note(s) (.COM): Yield given

Reference(s):

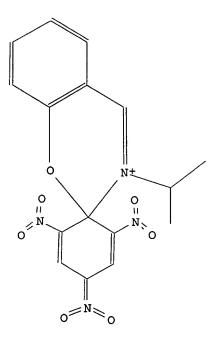
 Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.; Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9, 18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>, 484-493; BABS-5632319

=> d ide 7

L75 ANSWER 7 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 5184431 Molec. Formula (MF): C16 H14 N4 O7 Molecular Weight (MW): 374.31 31216, 2836 Lawson Number (LN): Compound Type (CTYPE): heterocyclic Constitution ID (CONSID): 4565460 Tautomer ID (TAUTID): 4908601 Beilstein Citation (BSO): 6-27 1992/08/28

Entry Date (DED): 1992/08/28 Update Date (DUPD): 1992/08/28



Field Availability:

Name	Occurrence
Reilstein Pecords	1
	1
Autonomname	1
Molecular Formula	1
Formular Weight	1
Lawson Number	2
Compound Type	1
Constitution ID	1
Tautomer ID	1
Beilstein Citation	1
Entry Date	. 1
Update Date	1
Infrared Spectrum	1
Melting Point	1
Related Structure	1
UV and Visible Spectrum	1
	Beilstein Records Chemical Name Autonomname Molecular Formula Formular Weight Lawson Number Compound Type Constitution ID Tautomer ID Beilstein Citation Entry Date Update Date Infrared Spectrum Melting Point

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
=======		========
RX	Reaction Documents	3
RXREA	Substance is Reaction Reactant	1
RXPRO	Substance is Reaction Product	2

=> d rx 7

L75 ANSWER 7 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

```
Reaction:
RX
     Reaction ID (.ID):
                                     2998525
     Reactant BRN (.RBRN):
                                     5154948
                                     isopropyl-<2-(2,4,6-trinitro-phenoxy)-
     Reactant (.RCT):
                                     benzylidene>-amine
     Product BRN (.PBRN):
                                     5184431
                                     C16H14N4O7
     Product (.PRO):
     No. of React. Details (.NVAR): 1
Reaction Details:
RX
     Reaction RID (.RID):
                                     2998525.1
     Reaction Classification (.CL): Chemical behaviour
                                     bis-(2-methoxy-ethyl) ether
     Solvent (.SOL):
                                     25 Cel
     Temperature (.T):
     Other Conditions (.COND):
                                     δΗ, δS, δG
     Subject Studied (.SUBJ):
                                    Equilibrium constant, Thermodynamic data
     Reference(s):
     1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.;
        Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9,
        18(2), <1982>, 425-432, Zh.org.Khim., CODEN: ZORKAE, 18(2), <1982>,
        484-493; BABS-5632319
Reaction:
RX
     Reaction ID (.ID):
                                     1821484
     Reactant BRN (.RBRN):
                                     2500602, 1588666
     Reactant (.RCT):
                                     2-(isopropylimino-methyl)-phenol,
                                     2-chloro-1,3,5-trinitro-benzene
                                     5184431
     Product BRN (.PBRN):
                                     C16H14N4O7
     Product (.PRO):
     No. of React. Details (.NVAR): 1
Reaction Details:
RX
                                     1821484.1
     Reaction RID (.RID):
     Reaction Classification (.CL): Preparation
                                     Triethylamine
     Reagent (.RGT):
                                     benzene
     Solvent (.SOL):
                                     7 day(s)
     Time (.TIM):
                                     Ambient temperature
     Other Conditions (.COND):
                                     Yield given
     Note(s) (.COM):
     Reference(s):
     1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.;
        Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9,
        18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>,
        484-493; BABS-5632319
Reaction:
RX
     Reaction ID (.ID):
                                     3011068
     Reactant BRN (.RBRN):
                                     5184431
                                     C16H14N4O7
     Reactant (.RCT):
     Product BRN (.PBRN):
                                     5154948
                                     isopropyl-<2-(2,4,6-trinitro-phenoxy) -</pre>
     Product (.PRO):
                                     benzylidene>-amine
     No. of React. Details (.NVAR): 1
```

Reaction Details:

RX

Reaction RID (.RID): 3011068.1

Reaction Classification (.CL): Chemical behaviour

Solvent (.SOL): bis-(2-methoxy-ethyl) ether

Temperature (.T): 25 Cel Other Conditions (.COND): δH , δS , δG

Equilibrium constant, Thermodynamic data Subject Studied (.SUBJ):

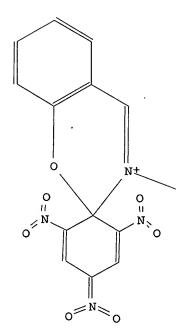
Reference(s):

1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.; Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9, 18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>, 484-493; BABS-5632319

=> d ide 8

L75 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 5180159 Molec. Formula (MF): C14 H10 N4 O7 Molecular Weight (MW): 346.26 Lawson Number (LN): 31216, 2817 Compound Type (CTYPE): heterocyclic Constitution ID (CONSID): 4564446 Tautomer ID (TAUTID): 4906214 Beilstein Citation (BSO): 6-27 Entry Date (DED): 1992/08/28 Update Date (DUPD): 1992/08/28



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	2
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
IR	Infrared Spectrum	1
MP	Melting Point	1
RSTR	Related Structure	1

This substance also occurs in Reaction Documents:

	Code	Name Occurren	ce
	========		==
•	RX	Reaction Documents	1
	RXPRO	Substance is Reaction Product	1

=> d rx 8

L75 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Reaction:

Reaction ID (.ID): 1821480 Reactant BRN (.RBRN):

2324695, 1588666

N-salicylidene methylamine, Reactant (.RCT): 2-chloro-1,3,5-trinitro-benzene

5180159 Product BRN (.PBRN): C14H10N4O7 Product (.PRO):

No. of React. Details (.NVAR): 1

Reaction Details:

RX

Reaction RID (.RID): 1821480.1 Reaction Classification (.CL): Preparation Triethylamine Reagent (.RGT): Solvent (.SOL): benzene

7 day(s) Time (.TIM): Ambient temperature Other Conditions (.COND):

Yield given Note(s) (.COM):

Reference(s):

1. Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.; Furmanova, N. G.; Kompan, O. E.; et al., J.Org.Chem.USSR (Engl.Transl.), CODEN: JOCYA9, 18(2), <1982>, 425-432, Zh.Org.Khim., CODEN: ZORKAE, 18(2), <1982>, 484-493; BABS-5632319

=> d que 129

L29 1 SEA FILE=BABS ABB=ON PLU=ON 5632319/BABSAN

=> d que 148

L29 1 SEA FILE=BABS ABB=ON PLU=ON 5632319/BABSAN L47 1 SEA FILE=BABS ABB=ON PLU=ON 5632319/AN L48 1 SEA FILE=BABS ABB=ON PLU=ON L47 OR L29

=> d ibib ed ab 148
YOU HAVE REQUESTED DATA FROM FILE 'BABS' - CONTINUE? (Y)/N:y

L48 ANSWER 1 OF 1 BABS COPYRIGHT 2006 BEILSTEIN MDL on STN

ACCESSION NUMBER:

5632319 BABS

TITLE:

ACYLOTROPIC TAUTOMERISM. XIV. STRUCTURE AND

TAUTOMERISM IN 0-2,4,6-TRINITROARYL DERIVATIVES OF

O-HYDROXYALDEHYDES AND THEIR IMINES

AUTHOR (S):

Olekhnovich, L. P.; Mikhailov, I. E.; Minkin, V. I.;

Furmanova, N. G.; Kompan, O. E.; et al.

SOURCE:

J.Orq.Chem.USSR (Engl.Transl.) (1982), 18(2), 425-432

CODEN: JOCYA9

SOURCE:

Zh.Org.Khim. (1982), 18(2), 484-493

CODEN: ZORKAE

DOCUMENT TYPE:

Journal

LANGUAGE:

English; Russian

SUMMARY LANGUAGE:

English

ED 20041015

The 2,4,6-trinitrophenyl derivatives of aromatic o-hydroxy aldehydes, AB which according to IR spectroscopy exist as benzenoid O-isomers in the crystalline state, are present in solutions in tautomeric equilibrium with bipolar spirocyclic \$s complexes of the Meisenheimer type. The position of the equilibrium, which is sensitive to the polarity of the solvent, was studied by electronic spectroscopy and PMR spectra. Unlike the hydroxy aldehydes, the 2,4,6-trinitrophenyl derivatives of their alkylimines in the crystalline state and in solutions are more stable in the form ofthe bipolar spiro \$s complexes. In addition to solvatochromism, they exhibit thermochromism when the temperature of the solution is varied.X-ray crystallographic analysis of the 2',4',6'-trinitrophenyl derivative of N-isopropyl-2-hydroxy-1-naphthaldehyde imine indicates that it has a spirocyclic structure in the crystalline state. The geometric characteristaics and interatomic distances in the spiro unit indicate a preference for isomerization of the spiro \$s complex into the O-aryl isomer.

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 15:40:52 ON 24 OCT 2006
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COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Oct 20, 2006 (20061020/UP).

=> d que stat 131

L5 STR

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

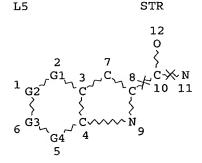
STEREO ATTRIBUTES: NONE

L31 215 SEA FILE=CHEMINFORMRX SSS FUL L5 (1481 REACTIONS)

100.0% DONE 22392 VERIFIED 1481 HIT RXNS 215 DOCS

SEARCH TIME: 00.00.37

=> d que stat 133



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

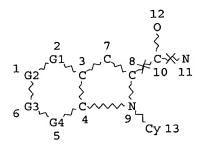
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L11

STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

STR

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L31 215 SEA FILE=CHEMINFORMRX SSS FUL L5 (1481 REACTIONS)

L33 5 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L11 (17 REACTIONS)

100.0% DONE 1481 VERIFIED 17 HIT RXNS 5 DOCS

SEARCH TIME: 00.00.06

=> d que stat 135

L5

12 0 0 3 1 G2 7 1 G2 8 C N C 10 11 6 G3 C N 6 G3 G4 4

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10
NSPEC IS RC AT 11
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE L19 STR

0 ⟨ Cy ~ C → N 1 2 3

NODE ATTRIBUTES:

NSPEC IS RC AT 2
NSPEC IS RC AT 3
CONNECT IS E1 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 1
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E7 C E2 N E0 O E0 S AT 1

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE

L31 215 SEA FILE=CHEMINFORMRX SSS FUL L5 (1481 REACTIONS)

L35 1 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L19 (1 REACTIONS)

100.0% DONE 1481 VERIFIED 1 HIT RXNS 1 DOCS

SEARCH TIME: 00.00.01

VAR G1=C/N VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC

AT 10 NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

STR

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L11

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT10

NSPEC IS RC AT11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L19

0 $Cy \sim C \rightarrow N$

NODE ATTRIBUTES:

NSPEC IS RC

TA2 NSPEC IS RC AT

CONNECT IS E1 RC AT

DEFAULT MLEVEL IS ATOM

IS PCY AT GGCAT

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E7 C E2 N E0 O E0 S AT

يراكث كالما

```
GRAPH ATTRIBUTES:
```

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE

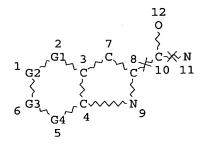
L31 215 SEA FILE=CHEMINFORMRX SSS FUL L5 (1481 REACTIONS)

L33 5 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L11 (17 REACTIONS)
L35 1 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L19 (1 REACTIONS)

L36 0 SEA FILE=CHEMINFORMRX ABB=ON PLU=ON L33 AND L35

=> d que stat 150

L5 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

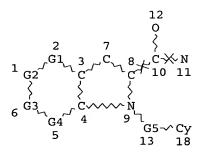
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L31 215 SEA FILE=CHEMINFORMRX SSS FUL L5 (1481 REACTIONS)

L37 STR

C@14 N@15 S@16 O@17



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/16/17

77.00

```
NODE ATTRIBUTES:
```

NSPEC IS RC AΤ 10 NSPEC IS RC AT 11 AT NSPEC IS RC 14 AT 15 NSPEC IS RC NSPEC IS RC AΤ 16 **NSPEC** IS RC AΤ 17 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 18

11 /2007 -

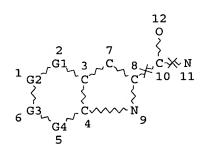
STEREO ATTRIBUTES: NONE

L50 11 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L37 (56 REACTIONS)

100.0% DONE 872 VERIFIED 56 HIT RXNS 11 DOCS

SEARCH TIME: 00.00.05

=> d que stat 151 L5 STR



VAR G2=C/N
VAR G3=C/N
VAR G4=C/N
NODE ATTRIBUTES:
NSPEC IS RC AT 10
NSPEC IS RC AT 11
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

VAR G1=C/N

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE L19 STR

4 O } Cy~~ C-≫ N 1 2 3

```
NODE ATTRIBUTES:
```

NSPEC IS RC AT 2
NSPEC IS RC AT 3
CONNECT IS E1 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 1
DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E7 C E2 N E0 O E0 S AT 1

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 4

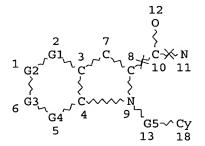
STEREO ATTRIBUTES: NONE

L31 215 SEA FILE=CHEMINFORMRX SSS FUL L5 (1481 REACTIONS)

L35 1 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L19 (1 REACTIONS)

L37 STF

C@14 N@15 S@16 O@17



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/16/17

NODE ATTRIBUTES:

NSPEC IS RC AT 10 NSPEC IS RC AT 11 NSPEC IS RC AT 14

NSPEC IS RC AT 14 NSPEC IS RC AT 15

NSPEC IS RC AT 16

NSPEC IS RC AT 17

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L50 11 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L37 (56 REACTIONS)

L51 0 SEA FILE=CHEMINFORMRX ABB=ON PLU=ON L35 AND L50

=> fil toxcenter uspatall casreact chemcats

FILE 'TOXCENTER' ENTERED AT 15:43:34 ON 24 OCT 2006

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=> d que 170 STR L512 0 ^ŁC-≫Ν 10 11

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: IS RC AΤ 10 NSPEC NSPEC . IS RC AT 11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

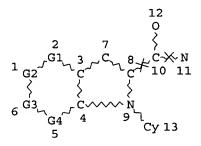
RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L7 45329 SEA FILE=REGISTRY SSS FUL L5

L8 103939 SEA FILE=REGISTRY ABB=ON PLU=ON NC4-NC5/ES

L11 STR



```
VAR G1=C/N
VAR G2=C/N
VAR G3=C/N
VAR G4=C/N
NODE ATTRIBUTES:
NSPEC IS RC AT 10
NSPEC IS RC AT 11
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L14 753 SEA FILE=REGISTRY SUB=L7 SSS FUL L11

. .

L15 0 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L14

L19 STR

NODE ATTRIBUTES:

NSPEC IS RC AT 2
NSPEC IS RC AT 3
CONNECT IS E1 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS PCY AT 1
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E7 C E2 N E0 O E0 S AT 1

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 4

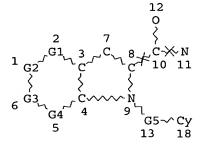
STEREO ATTRIBUTES: NONE

L21 733 SEA FILE=REGISTRY SUB=L7 SSS FUL L19

L22 0 SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND L21

L37 STR

C@14 N@15 S@16 O@17



VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N VAR G5=14/15/16/17

```
NODE ATTRIBUTES:
```

```
NSPEC IS RC AT 10
      IS RC
               AT 11
NSPEC
               AT 14
NSPEC
     IS RC
     IS RC
               AT 15
NSPEC
                  16
     IS RC
                ΑT
NSPEC
                  17
                ΑT
NSPEC IS RC
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L39	3990	SEA FILE=REGISTRY SUB=L7 SSS FUL L37
L40	77	SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND L39
L41	82	SEA FILE=REGISTRY ABB=ON PLU=ON L21 AND L39
L42	82	SEA FILE=REGISTRY ABB=ON PLU=ON (L40 OR L41)
L53	82	SEA FILE=REGISTRY ABB=ON PLU=ON L42 OR L22 OR L15
L56		QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
		<2004 OR REVIEW/DT
L69	24	SEA L42 OR L53
L70	9	SEA L69 AND L56

=> s 153 and 160-166

- 'PA' IS NOT A VALID FIELD CODE
- 'SO' IS NOT A VALID FIELD CODE
- 'SO' IS NOT A VALID FIELD CODE
- 'AU' IS NOT A VALID FIELD CODE
- 'CS' IS NOT A VALID FIELD CODE
- 'SO' IS NOT A VALID FIELD CODE
- 'PA' IS NOT A VALID FIELD CODE
- 5 L53 AND (L60 OR L61 OR L62 OR L63 OR L64 OR L65 OR L66)

=> save temp 176 shi089mulsin/a ANSWER SET L76 HAS BEEN SAVED AS 'SHI089MULSIN/A'

=> file stnquide

FILE 'STNGUIDE' ENTERED AT 15:44:35 ON 24 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Oct 20, 2006 (20061020/UP).

=> => d his ful

(FILE 'HOME' ENTERED AT 13:31:25 ON 24 OCT 2006)

FILE 'ZCAPLUS' ENTERED AT 13:31:37 ON 24 OCT 2006 E US2004-849089/APPS

FILE 'STNGUIDE' ENTERED AT 13:32:24 ON 24 OCT 2006

FILE 'HCAPLUS' ENTERED AT 13:32:28 ON 24 OCT 2006 D IBIB ED AB IND

FILE 'STNGUIDE' ENTERED AT 13:32:28 ON 24 OCT 2006

FILE 'WPIX' ENTERED AT 13:34:21 ON 24 OCT 2006
L2 1 SEA ABB=ON PLU=ON US2004-849089/APPS,APTS
SAVE TEMP L2 SHI089WPIAPP/A
D IALL CODE

FILE 'STNGUIDE' ENTERED AT 13:35:08 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:37:11 ON 24 OCT 2006

FILE 'HCAPLUS' ENTERED AT 13:37:15 ON 24 OCT 2006
L3 TRA PLU=ON L1 1- RN : 34 TERMS

FILE 'REGISTRY' ENTERED AT 13:37:18 ON 24 OCT 2006 L4 34 SEA ABB=ON PLU=ON L3 SAVE TEMP L4 SHI089REGAPP/A D SCAN

FILE 'STNGUIDE' ENTERED AT 13:37:54 ON 24 OCT 2006

FILE 'LREGISTRY' ENTERED AT 13:39:32 ON 24 OCT 2006 L5 STR

FILE 'REGISTRY' ENTERED AT 13:42:34 ON 24 OCT 2006 L6 50 SEA SSS SAM L5 D QUE STAT

FILE 'STNGUIDE' ENTERED AT 13:43:28 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:43:59 ON 24 OCT 2006 D QUE STAT

L7 45329 SEA SSS FUL L5

SAVE TEMP L7 SHI089PSET1/A

L*** DEL 2055 S NC5-NC6/ES

L*** DEL 0 S L8 AND L4

L8 103939 SEA ABB=ON PLU=ON NC4-NC5/ES L9 14 SEA ABB=ON PLU=ON L4 NOT L8

D SCAN

L10 740 SEA ABB=ON PLU=ON L7 AND L8

FILE 'LREGISTRY' ENTERED AT 13:48:25 ON 24 OCT 2006 L11 STR L5

FILE 'REGISTRY' ENTERED AT 13:49:12 ON 24 OCT 2006 38 SEA SUB=L7 SSS SAM L11 L12 D QUE STAT

FILE 'STNGUIDE' ENTERED AT 13:50:46 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:52:10 ON 24 OCT 2006 23 SEA ABB=ON PLU=ON L4 NOT L7 L13 D SCAN

D OUE STAT L12

753 SEA SUB=L7 SSS FUL L11 T.14 SAVE TEMP L14 SHI089RSET1/A

0 SEA ABB=ON PLU=ON L8 AND L14 0 SEA ABB=ON PLU=ON L14 AND L4 20 SEA ABB=ON PLU=ON L4 AND L8 L151.16

L17 D SCAN

> FILE 'STNGUIDE' ENTERED AT 13:55:53 ON 24 OCT 2006 D SCAN

> FILE 'REGISTRY' ENTERED AT 13:58:40 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 13:58:48 ON 24 OCT 2006 SAVE TEMP L15 SHI089RSET2/A

FILE 'STNGUIDE' ENTERED AT 13:59:31 ON 24 OCT 2006

. FILE 'LREGISTRY' ENTERED AT 13:59:45 ON 24 OCT 2006 L*** DEL STR L11

FILE 'LREGISTRY' ENTERED AT 14:00:21 ON 24 OCT 2006 L18 STR

FILE 'REGISTRY' ENTERED AT 14:03:38 ON 24 OCT 2006

FILE 'LREGISTRY' ENTERED AT 14:03:57 ON 24 OCT 2006 L19 STR L18

FILE 'REGISTRY' ENTERED AT 14:04:22 ON 24 OCT 2006 36 SEA SUB=L7 SSS SAM L19 L20 D QUE STAT

FILE 'STNGUIDE' ENTERED AT 14:05:31 ON 24 OCT 2006

FILE 'REGISTRY' ENTERED AT 14:06:44 ON 24 OCT 2006 D QUE STAT

733 SEA SUB=L7 SSS FUL L19 L21

SAVE TEMP L21 SHI089RSET3/A

O SEA ABB=ON PLU=ON L14 AND L21 L22 SAVE TEMP L22 SHI089RSET4/A

> FILE 'STNGUIDE' ENTERED AT 14:08:16 ON 24 OCT 2006 D SAVED

FILE 'BEILSTEIN' ENTERED AT 14:10:12 ON 24 OCT 2006 D QUE L7

L23 8608 SEA SSS FUL L5

> SAVE TEMP L23 SHI089BEIP/A D QUE L14

```
L24
             96 SEA SUB=L23 SSS FUL L11
               SAVE TEMP L24 SHI089BEIR1/A
               D QUE STAT
               D QUE L21
             29 SEA SUB=L23 SSS FUL L19
L25
               SAVE TEMP L25 SHI089BEIR2/A
L26
              8 SEA ABB=ON PLU=ON L24 AND L25
               SAVE TEMP L26 SHI089BEIR3/A
              8 SEA ABB=ON PLU=ON L26 NOT RN/FA
L27
              0 SEA ABB=ON PLU=ON L27 NOT BABSAN/FA
L28
                SELECT L27 1-8 BABSAN
     FILE 'BABS' ENTERED AT 14:16:41 ON 24 OCT 2006
              1 SEA ABB=ON PLU=ON 5632319/BABSAN
L29
                SAVE TEMP L29 SHI089BAB/A
                D SCAN
     FILE 'STNGUIDE' ENTERED AT 14:17:11 ON 24 OCT 2006
     FILE 'CHEMINFORMRX' ENTERED AT 14:18:12 ON 24 OCT 2006
               D QUE STAT L7
             12 SEA SSS SAM L5 (
L30
                                  66 REACTIONS)
            215 SEA SSS FUL L5 ( 1481 REACTIONS)
L31
                SAVE TEMP L31 SHI089CHMP/A
               D QUE STAT L14
              0 SEA SUB=L31 SSS SAM L11 ( 0 REACTIONS)
L32
               D QUE STAT
              5 SEA SUB=L31 SSS FUL L11 ( 17 REACTIONS)
L33
               SAVE TEMP L33 SHI089CHMR1/A
               D QUE STAT L21
                                           0 REACTIONS)
L34
              0 SEA SUB=L31 SSS SAM L19 (
               D QUE STAT
              1 SEA SUB=L31 SSS FUL L19 (
L35
                                            1 REACTIONS)
               SAVE TEMP L35 SHI089CHMR2/A
              O SEA ABB=ON PLU=ON L33 AND L35
L36
               SAVE TEMP L36 SHI089CHMR3/A
     FILE 'STNGUIDE' ENTERED AT 14:22:16 ON 24 OCT 2006
               D SAVED
               D QUE STAT L7
               D QUE STAT L14
               D COST
     FILE 'LREGISTRY' ENTERED AT 14:26:15 ON 24 OCT 2006
L37
               STR L11
     FILE 'REGISTRY' ENTERED AT 14:28:52 ON 24 OCT 2006
             50 SEA SUB=L7 SSS SAM L37
L38
               D QUE STAT
     FILE 'STNGUIDE' ENTERED AT 14:29:26 ON 24 OCT 2006
    FILE 'REGISTRY' ENTERED AT 14:32:10 ON 24 OCT 2006
               D QUE STA
L39
          3990 SEA SUB=L7 SSS FUL L37
               SAVE TEMP L39 SHI089RSET5/A
               D QUE L8
L40
             77 SEA ABB=ON PLU=ON L8 AND L39
               D QUE L21
             82 SEA ABB=ON PLU=ON L21 AND L39
L41
```

82 SEA ABB=ON PLU=ON (L40 OR L41) SAVE TEMP L42 SHI089RSET6/A

FILE 'BEILSTEIN' ENTERED AT 14:34:34 ON 24 OCT 2006 D OUE STAT L39

32 SEA SUB=L23 SSS SAM L37 L43 L44 610 SEA SUB=L23 SSS FUL L37

SAVE TEMP L44 SHI089BEIR4/A

D QUE STAT L42 D QUE L24 D QUE L25

8 SEA ABB=ON PLU=ON L25 AND L44 L45 SAVE TEMP L45 SHI089BEIR5/A

O SEA ABB=ON PLU=ON L45 NOT BABSAN/FA L46 SELECT L45 1- BABSAN

FILE 'BABS' ENTERED AT 14:38:27 ON 24 OCT 2006

1.47 1 SEA ABB=ON PLU=ON 5632319/AN L48

1 SEA ABB=ON PLU=ON L47 OR L29 SAVE TEMP L48 SHI089BAB2/A

FILE 'CHEMINFORMRX' ENTERED AT 14:39:36 ON 24 OCT 2006 D QUE L39

L49

0 SEA SUB=L31 SSS SAM L37 (0 REACTIONS) 11 SEA SUB=L31 SSS FUL L37 (56 REACTIONS) L50 SAVE TEMP L50 SHI089CHMR4/A

L51 O SEA ABB=ON PLU=ON L35 AND L50 SAVE TEMP L51 SHI089CHMR5/A

D QUE L35

L55

FILE 'STNGUIDE' ENTERED AT 14:41:36 ON 24 OCT 2006 D SAVED

FILE 'BEILSTEIN' ENTERED AT 14:42:22 ON 24 OCT 2006 8 SEA ABB=ON PLU=ON L26 OR L45 L52

FILE 'REGISTRY' ENTERED AT 14:43:14 ON 24 OCT 2006 D OUE L42

L53 82 SEA ABB=ON PLU=ON L42 OR L22 OR L15 ANALYZE PLU=ON L53 1- LC : 7 TERMS L54 D 1-

FILE 'HCAPLUS' ENTERED AT 14:45:16 ON 24 OCT 2006 D OUE L53 11 SEA ABB=ON PLU=ON L42 OR L53

FILE 'STNGUIDE' ENTERED AT 14:45:51 ON 24 OCT 2006

FILE 'ZCAPLUS' ENTERED AT 14:45:54 ON 24 OCT 2006 QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY<2004 L56 OR REVIEW/DT

OUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 L57

FILE 'HCAPLUS' ENTERED AT 14:46:36 ON 24 OCT 2006

7 SEA ABB=ON PLU=ON L55 AND L56 1.58 SAVE TEMP L58 SHI089HCA1B/A

4 SEA ABB=ON PLU=ON L55 NOT L58 L59 SAVE TEMP L59 SHI089HCA1A/A

FILE 'ZCAPLUS' ENTERED AT 14:47:42 ON 24 OCT 2006

10/26/1335

```
QUE ABB=ON PLU=ON NAZARE, M?/AU
1.60
               QUE ABB=ON PLU=ON WEHNER, V?/AU
L61
                QUE ABB=ON PLU=ON WILL, D?/AU
L62
                QUE ABB=ON PLU=ON RITTER, K?/AU
L63
                QUE ABB=ON PLU=ON MATTER, H?/AU
L64
                QUE ABB=ON PLU=ON URMANN, M?/AU
L65
                QUE ABB=ON PLU=ON (AVENTIS OR SANOFI)/CS,SO,PA
L66
     FILE 'HCAPLUS' ENTERED AT 14:50:16 ON 24 OCT 2006
              4 SEA ABB=ON PLU=ON L55 AND (L60 OR L61 OR L62 OR L63 OR L64
L67
                OR L65 OR L66)
                SAVE TEMP L67 SHI089HCAIN1/A
     FILE 'STNGUIDE' ENTERED AT 14:50:55 ON 24 OCT 2006
     FILE 'REGISTRY' ENTERED AT 14:50:57 ON 24 OCT 2006
             25 SEA ABB=ON PLU=ON L4 NOT L53
L68
               D SCAN
     FILE 'STNGUIDE' ENTERED AT 14:51:24 ON 24 OCT 2006
     FILE 'TOXCENTER, USPATFULL, USPAT2, CASREACT, CHEMCATS' ENTERED AT
     14:53:12 ON 24 OCT 2006
             24 SEA ABB=ON PLU=ON L42 OR L53
L69
              9 SEA ABB=ON PLU=ON L69 AND L56
L70
                SAVE TEMP L70 SHI089MULS1B/A
             15 SEA ABB=ON PLU=ON L69 NOT L70
L71
                SAVE TEMP L71 SHI089MULS1A/A
     FILE 'STNGUIDE' ENTERED AT 14:55:24 ON 24 OCT 2006
               D SAVED
     FILE 'REGISTRY' ENTERED AT 14:56:20 ON 24 OCT 2006
              9 SEA ABB=ON PLU=ON L53 AND L4
L72
               D SCAN
     FILE 'STNGUIDE' ENTERED AT 14:57:13 ON 24 OCT 2006
                D QUE STAT L7
                D QUE STAT L14
                D QUE STAT L8
                D QUE STAT L15
                D QUE STAT L21
                D QUE STAT L22
                D OUE STAT L39
                D QUE STAT L42
                D QUE STAT L53
                D OUE NOS L54
                D L54 1-
                D OUE NOS L58
                D OUE NOS L70
     FILE 'HCAPLUS, TOXCENTER, USPATFULL, USPAT2, CASREACT' ENTERED AT
     15:34:25 ON 24 OCT 2006
             12 DUP REM L58 L70 (4 DUPLICATES REMOVED)
L73
```

ANSWERS '1-7' FROM FILE HCAPLUS

ANSWERS '8-12' FROM FILE USPATFULL

FILE 'STNGUIDE' ENTERED AT 15:34:29 ON 24 OCT 2006

FILE 'HCAPLUS, USPATFULL' ENTERED AT 15:34:38 ON 24 OCT 2006

D IBIB ED AB HITSTR

FILE 'STNGUIDE' ENTERED AT 15:34:39 ON 24 OCT 2006

FILE 'HCAPLUS, USPATFULL' ENTERED AT 15:35:01 ON 24 OCT 2006

D IBIB ED AB HITSTR 2-7

FILE 'STNGUIDE' ENTERED AT 15:35:03 ON 24 OCT 2006

FILE 'HCAPLUS, USPATFULL' ENTERED AT 15:35:29 ON 24 OCT 2006
D IBIB AB HITSTR 8-12

FILE 'STNGUIDE' ENTERED AT 15:35:31 ON 24 OCT 2006

D QUE NOS L59

D QUE NOS L71

FILE 'HCAPLUS, TOXCENTER, CASREACT, CHEMCATS' ENTERED AT 15:36:07 ON 24 OCT 2006

L74

L75

16 DUP REM L59 L71 (3 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE HCAPLUS
ANSWERS '5-16' FROM FILE CHEMCATS

FILE 'STNGUIDE' ENTERED AT 15:36:12 ON 24 OCT 2006

FILE 'HCAPLUS, CHEMCATS' ENTERED AT 15:36:30 ON 24 OCT 2006
D IBIB ED AB HITSTR 1-4

FILE 'STNGUIDE' ENTERED AT 15:36:33 ON 24 OCT 2006

FILE 'CHEMCATS' ENTERED AT 15:36:45 ON 24 OCT 2006

FILE 'STNGUIDE' ENTERED AT 15:36:57 ON 24 OCT 2006

FILE 'HCAPLUS, CHEMCATS' ENTERED AT 15:37:16 ON 24 OCT 2006
D IDE 5

FILE 'STNGUIDE' ENTERED AT 15:37:16 ON 24 OCT 2006

FILE 'HCAPLUS, CHEMCATS' ENTERED AT 15:37:31 ON 24 OCT 2006 D IDE 6-16

FILE 'STNGUIDE' ENTERED AT 15:37:31 ON 24 OCT 2006

D QUE STAT L23

D QUE STAT L24

D QUE STAT L25

D QUE STAT L26

D QUE STAT L44

D QUE STAT L45

FILE 'BEILSTEIN' ENTERED AT 15:38:13 ON 24 OCT 2006

8 SEA ABB=ON PLU=ON L26 OR L45

D IDE 1

D RX 1

D IDE 2

D RX 2

D IDE 3

D RX 3

D IDE 4

D RX 4

D IDE 5

- D RX 5 D IDE 6
- D RX 6
- D IDE 7
- D RX 7
- D IDE 8
- D RX 8 D QUE L29
- D QUE L48

FILE 'BABS' ENTERED AT 15:40:44 ON 24 OCT 2006 D IBIB ED AB L48

FILE 'BEILSTEIN' ENTERED AT 15:40:46 ON 24 OCT 2006

FILE 'STNGUIDE' ENTERED AT 15:40:52 ON 24 OCT 2006

- D QUE STAT L31
- D QUE STAT L33
- D QUE STAT L35
- D QUE STAT L36
- D QUE STAT L50
- D QUE STAT L51

FILE 'TOXCENTER, USPATFULL, USPAT2, CASREACT, CHEMCATS' ENTERED AT 15:43:34 ON 24 OCT 2006

D QUE L70

L76 5 SEA ABB=ON PLU=ON L53 AND (L60 OR L61 OR L62 OR L63 OR L64 OR L65 OR L66)

SAVE TEMP L76 SHI089MULSIN/A

FILE 'STNGUIDE' ENTERED AT 15:44:35 ON 24 OCT 2006 D SAVED

FILE 'REGISTRY' ENTERED AT 15:49:35 ON 24 OCT 2006
D QUE L53
SAVE TEMP L53 SHI089REGFIN/A

FILE 'STNGUIDE' ENTERED AT 15:50:10 ON 24 OCT 2006 D SAVED

FILE HOME

FILE ZCAPLUS

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FILE COVERS 1907 - 24 Oct 2006 VOL 145 ISS 18 FILE LAST UPDATED: 23 Oct 2006 (20061023/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

10/26/200€



This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE HCAPLUS

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FILE COVERS 1907 - 24 Oct 2006 VOL 145 ISS 18 FILE LAST UPDATED: 23 Oct 2006 (20061023/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE STNGUIDE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Oct 20, 2006 (20061020/UP).

FILE WPIX

FILE LAST UPDATED: 23 OCT 2006 <20061023/UP>
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200668 <200668/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

- >>> YOU ARE IN THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX <<<
- >>> FOR DETAILS ON THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX PLEASE VISIT:

http://www.stn-international.de/stndatabases/details/dwpi r.html <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

http://www.stn-international.de/training_center/patents/stn_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://scientific.thomson.com/support/patents/coverage/latestupdates/

PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE http://www.stn-international.de/stndatabases/details/ipc_reform.html and http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf

>>> FOR DETAILS ON THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX PLEASE SEE

http://www.stn-international.de/stndatabases/details/dwpi r.html <<<

>>> YOU ARE IN THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX <<<

A preliminary version of the Database Summary Sheet is available at: http://www.stn-international.de/stndatabases/details/wpi.pdf

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 OCT 2006 HIGHEST RN 911100-17-9 DICTIONARY FILE UPDATES: 23 OCT 2006 HIGHEST RN 911100-17-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE LREGISTRY
LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE BEILSTEIN
FILE LAST UPDATED ON JUNE 16, 2006

FILE COVERS 1771 TO 2006.
FILE CONTAINS 9,606,495 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

- * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.
- * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE
- * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE
- * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.
- * FOR PRICE INFORMATION SEE HELP COST

* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.

* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE BABS

The Allerton

13.4 E

FILE LAST UPDATED: 25 SEP 2006

<20060925/UP>

FILE COVERS 1980 TO DATE.

FILE CHEMINFORMRX

FILE LAST UPDATED: 19 SEP 2006

<20060919/UP>

>>> CAS Registry Numbers are available for substances prior to 1995 <<<

FILE TOXCENTER

FILE COVERS 1907 TO 24 Oct 2006 (20061024/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The MEDLINE file segment has been updated with 2006 MEDLINE data and features. See HELP RLOAD for details.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

See http://www.nlm.nih.gov/mesh/

http://www.nlm.nih.gov/pubs/techbul1/nd05/nd05 med data changes.html http://www.nlm.nih.gov/pubs/techbull/nd05/nd05 2006 MeSH.html for a description of changes.

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 24 Oct 2006 (20061024/PD) FILE LAST UPDATED: 24 Oct 2006 (20061024/ED) HIGHEST GRANTED PATENT NUMBER: US7127745 HIGHEST APPLICATION PUBLICATION NUMBER: US2006236437 CA INDEXING IS CURRENT THROUGH 24 Oct 2006 (20061024/UPCA) ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 24 Oct 2006 (20061024/PD) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2006 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 24 Oct 2006 (20061024/PD) FILE LAST UPDATED: 24 Oct 2006 (20061024/ED) HIGHEST GRANTED PATENT NUMBER: US2006139723 HIGHEST APPLICATION PUBLICATION NUMBER: US2006236276 CA INDEXING IS CURRENT THROUGH 24 Oct 2006 (20061024/UPCA) ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 24 Oct 2006 (20061024/PD) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2006 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006

FILE CASREACT

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FILE CONTENT: 1840 - 22 Oct 2006 VOL 145 ISS 17

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE CHEMCATS

FILE LAST UPDATED 21 OCTOBER 2006 (20061021/UP)

For details on recent updates in CHEMCATS, enter NEWS FILE at an arrow prompt. For the list of suppliers currently in the file, enter HELP SPA, HELP SPBC, HELP SPDH, HELP SPIN, HELP SPOP, and HELP SPQZ. For the list of current catalogs, enter HELP CTA, HELP CTBC, HELP CTDH, HELP CTIN, HELP CTOP, and HELP CTQZ.

This database is provided on an "as is" basis. Please consult the suppliers for current information regarding pricing, regional availability, available quantities, purities, etc. THERE ARE NO WARRANTIES OF ANY KIND, EITHER EXPRESSED OR IMPLIED. ACS is not liable for any loss of profit, goodwill or any other damages arising out of the use of this database.

CHEMCATS now contains more than 10 million records. See HELP CONTENT and NEWS FILE for details.

10/25/2005

5

o c

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/NNODE ATTRIBUTES: NSPEC IS RC AT 10 IS RC AT 11 NSPEC CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

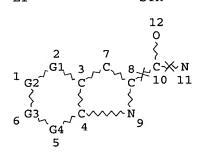
STEREO ATTRIBUTES: NONE

L2 45329 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 758487 ITERATIONS SEARCH TIME: 00.00.04

45329 ANSWERS

=> d que stat 134 L1 STR



VAR G1=C/N
VAR G2=C/N
VAR G3=C/N
VAR G4=C/N
NODE ATTRIBUTES:
NSPEC IS RC AT 10
NSPEC IS RC AT 11
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL 18 LIMITED

GRAPH ATTRIBUTES:

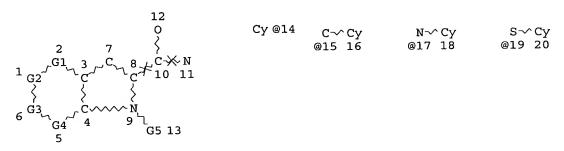
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L2 45329 SEA FILE=REGISTRY SSS FUL L1

L32 STR



O~^Cy @21 22

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/17/19/21

NODE ATTRIBUTES:

NSPEC IS RC AT 10 NSPEC IS RC AT 11

NSPEC IS RC AT 15

NSPEC IS RC AT 17

NSPEC IS RC AT 19

NSPEC IS RC AT 21

CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L34 4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32

100.0% PROCESSED 45329 ITERATIONS 4740 ANSWERS

SEARCH TIME: 00.00.02

=> d que stat 145 L1 STR

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

IS RC NSPEC AT10 IS RC AT11 NSPEC

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

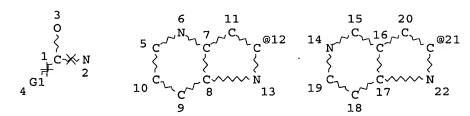
RING(S) ARE ISOLATED OR EMBEDDED

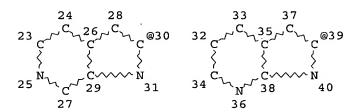
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L2 45329 SEA FILE=REGISTRY SSS FUL L1

L42 STR





VAR G1=12/21/30/39

NODE ATTRIBUTES:

NSPEC IS RC AT 1 AT IS RC 2 NSPEC

CONNECT IS E1 RC AT

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

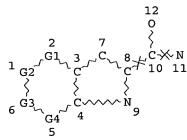
L45 1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42

100.0% PROCESSED 8121 ITERATIONS

1247 ANSWERS

SEARCH TIME: 00.00.01

=> d que stat 146 L1 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

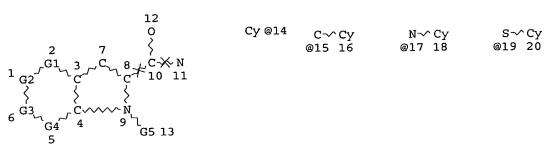
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L2 45329 SEA FILE=REGISTRY SSS FUL L1

L32 STR



0√Cy @21 22 VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/17/19/21

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

NSPEC IS RC AT 15

NSPEC IS RC AT 17

NSPEC IS RC AT 19

NSPEC IS RC AT 21

CONNECT IS E1 RC AT 1

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

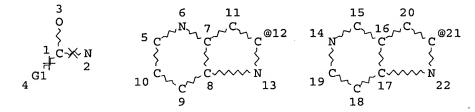
RING(S) ARE ISOLATED OR EMBEDDED

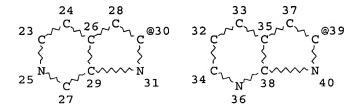
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L34 4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32

L42 STR





VAR G1=12/21/30/39

NODE ATTRIBUTES:

NSPEC IS RC AT 1

NSPEC IS RC AT 2

CONNECT IS E1 RC AT 3

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

L45 1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42

L46 93 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L45

=> d que nos 147

```
STR
T.1
       45329 SEA FILE=REGISTRY SSS FUL L1
L2
              STR
L32
         4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32
L34
              STR
L42
         1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
L45
           93 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L45
L46
              ANALYZE PLU=ON L46 1- LC : 7 TERMS
L47
=> d 147 1-
         ANALYZE L46 1- LC :
                                  7 TERMS
L47
TERM # # OCC # DOC % DOC LC
_____
              87 93.55 CA
87 93.55 CAPLUS
42 45 16 CASPEA
   1 87
          87
    2
                 42 45.16 CASREACT
          42
    3
                35 37.63 USPATFULL
         35
         33
                33 35.48 TOXCENTER
    6
7
    5
          5 5 5.38 USPAT2
4 4.30 CHEMCA
                     4.30 CHEMCATS
****** END OF L47***
=> d que nos 151
              STR
        45329 SEA FILE=REGISTRY SSS FUL L1
L2
              STR
L32
         4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32
L34
              STR
L42
          1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
           93 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L45
            13 SEA FILE=HCAPLUS ABB=ON PLU=ON L46
              OUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
L49
               <2004 OR REVIEW/DT
            7 SEA FILE=HCAPLUS ABB=ON PLU=ON L48 AND L49
L51
=> d his 183
     (FILE 'USPATFULL, USPAT2, TOXCENTER, CASREACT, CHEMCATS' ENTERED AT
     13:14:58 ON 25 OCT 2006)
           11 S L82 AND L49
L83
=> d que nos 183
              STR
L1
         45329 SEA FILE=REGISTRY SSS FUL L1
L2
               STR
L32
          4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32
L34
               STR
L42
          1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
L45
            93 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L45
L46
               QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
L49
               <2004 OR REVIEW/DT
            27 SEA L46
L82
            11 SEA L82 AND L49
L83
```

=> d que stat 114

```
L13
                STR
                  12
                 ⊬c≪n
                  10 11
```

5

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/NNODE ATTRIBUTES: IS RC AΤ 10 NSPEC NSPEC IS RC AT 11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

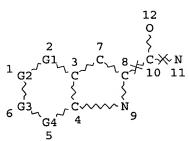
STEREO ATTRIBUTES: NONE

8608 SEA FILE=BEILSTEIN SSS FUL L13

100.0% PROCESSED 121484 ITERATIONS (8 INCOMPLETE) 8608 ANSWERS

SEARCH TIME: 00.01.02

=> d que stat 190 L13 STR



VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/NNODE ATTRIBUTES: NSPEC IS RC AΤ 10 NSPEC IS RC AT11 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

```
GRAPH ATTRIBUTES:
```

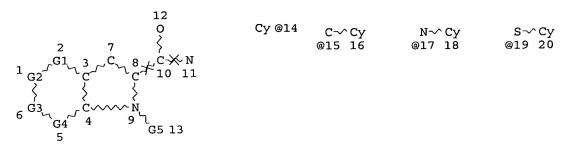
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L14 8608 SEA FILE=BEILSTEIN SSS FUL L13

L32 STR



O√Cy @21 22

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/17/19/21

NODE ATTRIBUTES:

NSPEC IS RC ΑT 10 NSPEC IS RC AT11 IS RC NSPEC AT15 **NSPEC** IS RC AT17 IS RC AT19 NSPEC IS RC AΤ NSPEC CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L90 698 SEA FILE=BEILSTEIN SUB=L14 SSS FUL L32

100.0% PROCESSED 8608 ITERATIONS (8 INCOMPLETE) 698 ANSWERS

SEARCH TIME: 00.00.08

=> d que stat 191 L13 STR

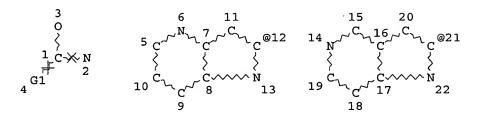
VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N NODE ATTRIBUTES: NSPEC IS RC AT 10 NSPEC IS RC ΑT 11 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM

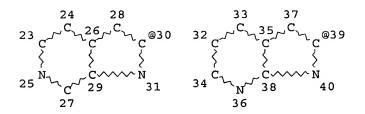
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L14 8608 SEA FILE=BEILSTEIN SSS FUL L13 L42 STR





VAR G1=12/21/30/39
NODE ATTRIBUTES:
NSPEC IS RC AT 1
NSPEC IS RC AT 2
CONNECT IS E1 RC AT 3
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 40

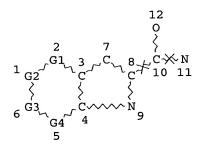
STEREO ATTRIBUTES: NONE

L91 86 SEA FILE=BEILSTEIN SUB=L14 SSS FUL L42

100.0% PROCESSED 1043 ITERATIONS 86 ANSWERS

SEARCH TIME: 00.00.02

=> d que stat 192 L13 STI



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

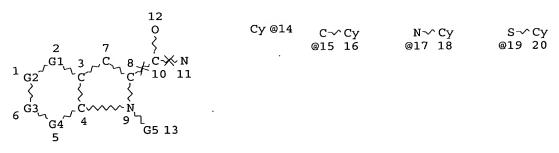
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L14 8608 SEA FILE=BEILSTEIN SSS FUL L13

L32



O√Cy @21 22

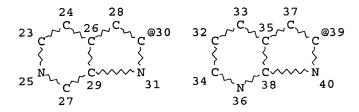
VAR G1=C/N

```
VAR G2=C/N
VAR G3=C/N
VAR G4=C/N
VAR G5=14/15/17/19/21
NODE ATTRIBUTES:
        IS RC
                  AΤ
                       10
NSPEC
NSPEC
        IS RC
                       11
        IS RC
                  AΤ
                       15
NSPEC
        IS RC
                       17
NSPEC
        IS RC
                       19
NSPEC
        IS RC
                   AΤ
                       21
NSPEC
CONNECT IS E1
               RC AT
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE L42 STR



VAR G1=12/21/30/39 NODE ATTRIBUTES:

NSPEC IS RC AT 1 NSPEC IS RC AT 2

CONNECT IS E1 RC AT 3

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

L90 698 SEA FILE=BEILSTEIN SUB=L14 SSS FUL L32 L91 86 SEA FILE=BEILSTEIN SUB=L14 SSS FUL L42

L92 10 SEA FILE=BEILSTEIN ABB=ON PLU=ON L90 AND L91

=> d his 192-195

```
(FILE 'BEILSTEIN' ENTERED AT 14:01:05 ON 25 OCT 2006)
```

SAVE TEMP L91 SHI089BEIRB/A

L92 10 S L90 AND L91

SAVE TEMP L92 SHI089BEIRC/A

L93 10 S L92 NOT L29

L94 1 S L93 NOT BABSAN/FA SELECT L92 1- BABSAN

FILE 'BABS' ENTERED AT 14:08:26 ON 25 OCT 2006

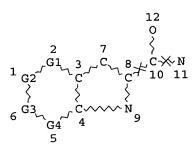
L95 1 S E1

=> d que stat 195

L95 1 SEA FILE=BABS ABB=ON PLU=ON 6410903/BABSAN

=> d que stat 131

L30 STR



VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L31 215 SEA FILE=CHEMINFORMRX SSS FUL L30 (1481 REACTIONS)

100.0% DONE 22392 VERIFIED 1481 HIT RXNS 215 DOCS

SEARCH TIME: 00.00.37

=> d que stat 197 L30 STR

```
12
0
0
2
7
$
1 G2 G1 3 C 8 C N
C T10 11
$
6 G3 C Y 9
6 G4 4 9
```

VAR G1=C/N
VAR G2=C/N
VAR G3=C/N
VAR G4=C/N
NODE ATTRIBUTES:
NSPEC IS RC AT 10
NSPEC IS RC AT 11
CONNECT IS E1 RC AT 12
DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

. G5 13

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L31 215 SEA FILE=CHEMINFORMRX SSS FUL L30 (1481 REACTIONS)
L32 STR

O√Cy @21 22

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/17/19/21

NODE ATTRIBUTES:

NSPEC IS RC AT 10 NSPEC IS RC AT 11 NSPEC IS RC AT15 NSPEC IS RC AΤ 17 NSPEC IS RC ΑT 19 NSPEC IS RC AT 21 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L97 13 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L32 (73 REACTIONS)

100.0% DONE 1481 VERIFIED 73 HIT RXNS 13 DOCS

SEARCH TIME: 00.00.20

=> d que stat 199 L30 S

12 0 0 2 7 1 G2 G1 3 C 8 C N 1 G2 C N 1 G2 C N 10 11 3 C N C N 10 11

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L31 215 SEA FILE=CHEMINFORMRX SSS FUL L30 (1481 REACTIONS)

L42 STR

VAR G1=12/21/30/39 NODE ATTRIBUTES: NSPEC IS RC AT

NSPEC IS RC AT 1
NSPEC IS RC AT 2
CONNECT IS E1 RC AT 3
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 40

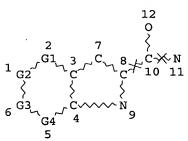
STEREO ATTRIBUTES: NONE

L99 3 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L42 (16 REACTIONS)

100.0% DONE 170 VERIFIED 16 HIT RXNS 3 DOCS

SEARCH TIME: 00.00.02

=> d que stat 1100 L30 STR



VAR G1=C/N VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

NSPEC IS RC AT 10

C~Cy

@15 16

N√ Cy

@17 18

S-√Cy

@19 20

AT 11 NSPEC IS RC CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

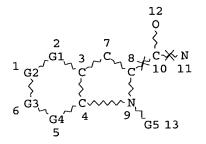
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

215 SEA FILE=CHEMINFORMRX SSS FUL L30 (1481 REACTIONS) L31

Cy @14

L32



0~ Cv @21 22

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/17/19/21

NODE ATTRIBUTES:

NSPEC IS RC AT 10 AT 11 NSPEC IS RC AT 15 NSPEC IS RC IS RC AT 17 NSPEC 19 ATNSPEC IS RC AT 21 IS RC NSPEC CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

STR L42

VAR G1=12/21/30/39

NODE ATTRIBUTES:

NSPEC IS RC AT

NSPEC IS RC AT 2

CONNECT IS E1 RC AT 3

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 40

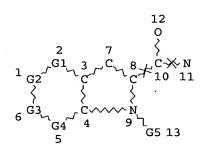
STEREO ATTRIBUTES: NONE

L97 13 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L32 (73 REACTIONS)

L99 3 SEA FILE=CHEMINFORMRX SUB=L31 SSS FUL L42 (16 REACTIONS)

O SEA FILE=CHEMINFORMRX ABB=ON PLU=ON L97 AND L99 L100

=> d que stat 1103 L32 STR



Cy @14 C√Cy N√ Cy S~Cy @15 16 @17 18 @19 20

0~Cy @21 22

VAR G1=C/N VAR G2=C/N

VAR G3=C/N

```
VAR G4=C/N
VAR G5=14/15/17/19/21
NODE ATTRIBUTES:
NSPEC
       IS RC
                      10
NSPEC
        IS RC
                  AΤ
                      11
NSPEC
       IS RC
                  AT
                      15
       IS RC
                  AT
                      17
NSPEC
        IS RC
                  ΑT
                      19
NSPEC
NSPEC
       IS RC
                  AT
                      21
CONNECT IS E1 RC AT
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
```

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

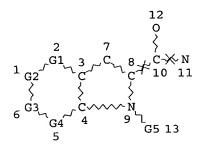
347 SEA FILE=WPIX SSS FUL L32 L103

100.0% PROCESSED 50428 ITERATIONS

SEARCH TIME: 00.00.38

347 ANSWERS

=> d que stat 1105 L32 STR



Cy @14 C√ Cy N√ Cy S√Cy @17 18 @19 20 **@15** 16

0~Cy @21 22

VAR G1=C/N VAR G2=C/N VAR G3=C/N VAR G4=C/N VAR G5=14/15/17/19/21 NODE ATTRIBUTES: NSPEC IS RC AΤ 10 IS RC NSPEC AT IS RC NSPEC AT 15 NSPEC IS RC AT17 19 NSPEC IS RC AΤ

NSPEC IS RC AT 21 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

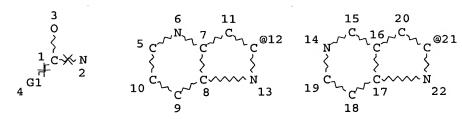
11

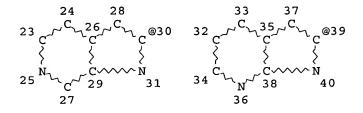
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

L42 STR





VAR G1=12/21/30/39

NODE ATTRIBUTES:

IS RC NSPEC ΑT 1 IS RC NSPEC 2 ATCONNECT IS E1 RC AT

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

347 SEA FILE=WPIX SSS FUL L32 L103

49 SEA FILE=WPIX SUB=L103 SSS FUL L42 L105

49 ANSWERS 55 ITERATIONS 100.0% PROCESSED

SEARCH TIME: 00.00.01

=> d his 1105-1114

	(FILE	'WPI	۲,	ENTE	ERED	AT	14	:27:	:58	ON	25	OCT	2006)
L105		49	S	L42	SSS	FU.	៤ នា	JB=I	103	3			
			S	AVE 1	CEMP	L1	05 8	SHI)89V	VPIS	32 <i>/1</i>	A.	
			SI	ELECT	r L1	03	SDCi	1 1-	-				
L106		72	S	E2-E	E348	/DCI	Ŋ						
L107		72	S	L103	3/DC	R							
L108		10	S	L106	5-L1	07	DIVA	L10	1				
			SI	ELECT	r Ll	05	1- 9	SDC	1				
L109		5	S	E349	9-E3	97/1	DCN						
L110		5	S	L105	5/DC	R							
L111		10	S	L108	3-L1	10							
L112		14	S	(L11	11 0	R L	106	OR	L10	07)	ANI	D L69	9-L75

```
L113
              3 S L112 AND L111
                SAVE TEMP L113 SHI089WPIINV/A
              8 S L111 AND L50
L114
=> d que nos 1114
L32
                STR
L42
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(L108 OR L109 OR L110) L111

L114

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L94 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN): 9636957

9-benzyl-2,9-dihydro-2,4,6,9-tetraaza-Chemical Name (CN):

fluoren-1-one

9-benzyl-2,9-dihydro-2,4,6,9-tetraaza-Autonom Name (AUN):

fluoren-1-one

C16 H12 N4 O Molec. Formula (MF): Molecular Weight (MW):

276.30

30496, 14140 Lawson Number (LN): Compound Type (CTYPE): heterocyclic

Constitution ID (CONSID): 8123090 Tautomer ID (TAUTID): 9032336 Entry Date (DED): 2004/07/21 Update Date (DUPD): 2004/07/21

Field Availability:

Code	Name	Occurrence
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CN	Chemical Name	1
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MF	Molecular Formula	1
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19

=> dup rem 151 183 195 1114 DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'. ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE FILE 'HCAPLUS' ENTERED AT 16:21:26 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 16:21:26 ON 25 OCT 2006 CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:21:26 ON 25 OCT 2006 CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 16:21:26 ON 25 OCT 2006 COPYRIGHT (C) 2006 ACS

FILE 'CASREACT' ENTERED AT 16:21:26 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE 'WPIX' ENTERED AT 16:21:26 ON 25 OCT 2006 COPYRIGHT (C) 2006 THE THOMSON CORPORATION PROCESSING COMPLETED FOR L51 PROCESSING COMPLETED FOR L83 PROCESSING COMPLETED FOR L95 PROCESSING COMPLETED FOR L114

L161 17 DUP REM L51 L83 L95 L114 (10 DUPLICATES REMOVED) ANSWERS '1-7' FROM FILE HCAPLUS ANSWERS '8-13' FROM FILE USPATFULL

ANSWERS '14-17' FROM FILE WPIX

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 16:21:34 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION. LAST RELOADED: Oct 25, 2006 (20061025/UP).

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L161 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2004:308438 HCAPLUS

DOCUMENT NUMBER: 140:321242

TITLE: Preparation of pyrrolo[3,2-b]pyridines as p38 kinase

inhibitors

INVENTOR(S): Brookings, Daniel Christopher; Cubbon, Rachel Jane;

Davis, Jeremy Martin; Langham, Barry John

PATENT ASSIGNEE(S): Celltech R & D Limited, UK

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                                                    KIND
                                                                   DATE
                                                                                          APPLICATION NO.
                                                                                                                                               DATE
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                                                                    _____
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                                                                                          WO 2003-GB4214
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      2004031188
      A1
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      RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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PRIORITY APPLN. INFO.:
                                                                                              GB 2002-22743
                                                                                                                                         W 20030930 <--
                                                                                              WO 2003-GB4214
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OTHER SOURCE(S): MARPAT 140:321242

ED Entered STN: 15 Apr 2004

Title compds. I [A = (un)substituted N, C; Ra = H, halo, etc.; X, Y = N or (un)substituted C; L = C(0), C(S), (un)substituted C; n = 0-1; Alk1 = (unsubstituted) (hetero)aliphatic chain; L1 = bond, linker atom/group; Cy1 = (un)substituted cycloaliph., etc.; Ar = (hetero)aromatic, etc. with specific exceptions] are prepared For instance, 1-Benzenesulfonyl-4-phenyl-1,4-dihydro-5H-pyrrolo[3,2-b]pyridin-5-one (preparation given) is treated with NaOH (2M, 2 h) and the resulting product alkylated with benzyl chloride (THF, NaH) to give II. Example compds. have IC50 values of around 2 pM and below for p38 kinase and are useful for the treatment of immune or inflammatory disorders.

IT 677303-55-8P, 1-(3-Chloro-4-fluorobenzyl)-N-methoxy-N-methyl-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-57-0P, N-Methoxy-N-methyl-1-(3-methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-59-2P, 1-(3-Chlorobenzyl)-N-methoxy-N-methyl-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-60-5P,

1-(3-Chloro-4-fluorobenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2b]pyridine-2-carboxamide 677303-62-7P, 1-(3-Methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-64-9P, 1-(3-Chlorobenzyl)-5-oxo-4-phenyl-4,5-dihydro-1Hpyrrolo[3,2-b]pyridine-2-carboxamide 677303-68-3P, 1-(3-Chlorobenzyl)-N, N-dimethyl-5-oxo-4-phenyl-4, 5-dihydro-1H-pyrrolo[3,2b]pyridine-2-carboxamide 677303-69-4P, 1-(3-Chlorobenzyl)-Nmethyl-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-70-7P, 1-(3-Chlorobenzyl)-4-phenyl-2-[(pyrrolidin-1yl)carbonyl]-1,4-dihydro-5H-pyrrolo[3,2-b]pyridin-5-one 677303-71-8P 677303-77-4P, 1-(3-Chlorobenzyl)-4-(1Hindol-5-yl)-5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-83-2P, 1-(2-Cyanobenzyl)-5-oxo-4-phenyl-4,5-dihydro-1Hpyrrolo[3,2-b]pyridine-2-carboxamide 677303-85-4P, 1-(4-Fluoro-3-methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2b]pyridine-2-carboxamide 677303-86-5P, 1-(3-Chlorobenzyl)-4-(4methylphenyl)-5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-87-6P 677303-96-7P, (S)-2-[[2-(Hydroxymethyl)pyrrolidin-1-yl]carbonyl]-1-(3-methylbenzyl)-4-phenyl-1,4dihydro-5H-pyrrolo[3,2-b]pyridin-5-one RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (bicyclic heteroarom. compds. as kinase inhibitors) 677303-55-8 HCAPLUS RN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chloro-4-CN fluorophenyl)methyl]-4,5-dihydro-N-methoxy-N-methyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

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RN 677303-57-0 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 4,5-dihydro-N-methoxy-N-methyl-1-[(3-methylphenyl)methyl]-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-59-2 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N-methoxy-N-methyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-60-5 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chloro-4-fluorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-62-7 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 4,5-dihydro-1-[(3-methylphenyl)methyl]-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-64-9 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-68-3 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N,N-dimethyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

Shi-h sayade a a

$$\begin{array}{c|c} & \text{Ph} & \text{O} \\ & \parallel & \\ \text{O} & \text{N} & \text{C-NMe}_2 \\ & \text{N-CH}_2 & \\ \end{array}$$

RN 677303-69-4 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N-methyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-70-7 HCAPLUS

CN Pyrrolidine, 1-[[1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl-1H-pyrrolo[3,2-b]pyridin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 677303-71-8 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxylic acid, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl-, hydrazide (9CI) (CA INDEX NAME)

RN 677303-77-4 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-

Shiao 10/849, ...

dihydro-4-(1H-indol-5-yl)-5-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & & \\ N & & \\ N & & \\ N & & \\ O & & \\ CH_2 & & \\ \end{array}$$

677303-83-2 HCAPLUS RN

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(2-cyanophenyl)methyl]-4,5-CN dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph & O \\ & \parallel \\ C-NH_2 \\ \hline & N-CH_2 \\ \end{array}$$

677303-85-4 HCAPLUS RN

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(4-fluoro-3-CN methylphenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

677303-86-5 HCAPLUS RN

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-4-(4-methylphenyl)-5-oxo- (9CI) (CA INDEX NAME) CN

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RN 677303-87-6 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxylic acid, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-4-(4-methylphenyl)-5-oxo-, hydrazide (9CI) (CA INDEX NAME)

RN 677303-96-7 HCAPLUS

CN 2-Pyrrolidinemethanol, 1-[[4,5-dihydro-1-[(3-methylphenyl)methyl]-5-oxo-4-phenyl-1H-pyrrolo[3,2-b]pyridin-2-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L161 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2
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ACCESSION NUMBER:
                            142:6514
DOCUMENT NUMBER:
                            Preparation of thienylisoxazolylmethylazaindoles as
TITLE:
                            factor Xa and/or factor VIIa inhibitors
                           Nazare, Marc; Wehner, Volkmar; Will, David William; Ritter, Kurt; Urmann, Matthias; Matter, Hans
INVENTOR(S):
                            Aventis Pharma Deutschland GmbH, Germany
PATENT ASSIGNEE(S):
                            Eur. Pat. Appl., 82 pp.
SOURCE:
                            CODEN: EPXXDW
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DOCUMENT TYPE:
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LANGUAGE:
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OTHER SOURCE(S):
      Entered STN: 24 Nov 2004
      Title compds. [I; R = (substituted) mono- or bicyclic aryl, heterocyclyl;
      R1 = H, (substituted) alkyl, aminocarbonylalkyl, mono- or bicyclic aryl,
      heterocyclyl, etc.; R2 = bond, alkylene; R3 = H, halo, NO2, cyano,
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      (substituted) 6-8 membered ring containing 1-4 heteroatoms; R1NR2V = atoms to
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      heterocyclyl; G = (CH2)m, (CH2)mO(CH2)n, CH2SO2(CH2)n,
      (CH2) mNR10SO2NR10(CH2)n, (CH2)mCH(OH) (CH2)n, etc.; M = H, (substituted)
      alkyl, 6-14 membered aryl, 4-15 membered heterocyclyl, cycloalkyl, etc.;
      m, \hat{n} = 0-6; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl, perfluoroalkyl; D =
```

585 F 4 12 0 9 2 1

atoms to form a (substituted) (aromatic) 4-8 membered ring containing 0-4 heteroatoms], were prepared Thus, 1-[5-(5-chlorothiophen-2-yl)isoxazol-3-ylmethyl]-1H-pyrrolo[2,3-b]pyridine-2-carboxylic acid (preparation given), N-ethylmorpholine, and TOTU were stirred together for 20 min. in DMF; 1-isopropylpiperidin-4-ylamine dihydrochloride was added followed by stirring for 4 h to give 1-[5-(5-chlorothiophen-2-yl)isoxazol-3-ylmethyl]-1H-pyrrolo[2,3-b]pyridine-2-carboxylic acid (1-isopropylpiperidin-4-yl) amide. This inhibited factor Xa with Ki = 0.006 $\mu \rm M$.

TT 797060-39-0P 797060-40-3P 797060-41-4P 797060-42-5P 797060-43-6P 797060-44-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of thienylisoxazolylmethylazaindoles as factor

Xa and/or factor VIIa inhibitors)

RN 797060-39-0 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 797060-40-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN

797060-41-4 HCAPLUS
1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-(9CI) (CA INDEX NAME)

RN 797060-42-5 HCAPLUS

1H-Pyrrolo[2,3-b]pyridine-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-CN isoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 797060-43-6 HCAPLUS

ρQ

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 797060-44-7 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-(9CI) (CA INDEX NAME)

797060-45-8P 797060-46-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of thienylisoxazolylmethylazaindoles as factor Xa and/or factor VIIa inhibitors)

797060-45-8 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-CN isoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]-, trifluoroacetate (CA INDEX NAME)

CM 1

797060-42-5 CRN

C25 H27 Cl N6 O3 S CMF

2 CM

76-05-1 CRN CMF C2 H F3 O2 RN 797060-46-9 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 797060-44-7

CMF C25 H26 Cl N5 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F- C- CO₂H

IT 797060-56-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thienylisoxazolylmethylazaindoles as factor Xa and/or factor VIIa inhibitors)

RN 797060-56-1 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, methyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

797060-55-0 CRN

C26 H28 Cl N5 O4 S CMF

$$\begin{array}{c|c} O & & O & & Pr-i \\ \hline O & & & C-NH & & N \\ \hline MeO-C & & & & N \\ \hline & & & & CH_2 & & O \\ \hline & & & & & Cl \\ \hline \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L161 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER:

2003:448666 HCAPLUS

DOCUMENT NUMBER:

139:133446

TITLE:

Unexpected ring transformation to pyrrolo[3.2b]pyridine derivatives. Fused azolium salts. 22

AUTHOR (S):

Riedl, Zsuzsanna; Koever, Peter; Soos, Tibor; Hajos, Gyoergy; Egyed, Orsolya; Fabian, Laszlo; Messmer,

Andras

CORPORATE SOURCE:

Chemical Research Center, Institute of Chemistry, Hungarian Academy of Sciences, Budapest, H-1525, Hung.

Journal of Organic Chemistry (2003), 68(14), SOURCE:

> 5652-5659 CODEN: JOCEAH; ISSN: 0022-3263

American Chemical Society PUBLISHER:

DOCUMENT TYPE:

Journal English

LANGUAGE: OTHER SOURCE(S):

CASREACT 139:133446

Entered STN: 12 Jun 2003 ED

2-Arylsulfanyl and 2-benzylsulfanylpyridinium N-arylimides, easily prepared AB from 3-aryltetrazolopyridinium salts, with aryl and benzylthiolates,

resp., reacted with various dipolarophiles yielding cycloadducts that underwent transformation to give tetrahydropyrrolo[3,2-b]pyridines, e,g, I, in good yields. A similar rearrangement was also observed in the case of parent derivs. being unsubstituted in position 2. The absence of any significant solvent effect, comparison of the sulfur and non-sulfur analogs, as well as the stereoselective nature of the observed ring transformation seem to support a sigmatropic mechanism. Structure elucidation of the products has been carried out by single-crystal X-ray diffraction and 1H NMR expts.

569338-60-9P TΤ

> RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(crystal structure; unexpected ring transformation of pyrazolo[2,3-a]pyridines to pyrrolo[3.2-b]pyridine derivs. via 1,5-sigmatropic rearrangement)

RN 569338-60-9 HCAPLUS

11 76 1,116

Pyrrolo[3',4':4,5]pyrrolo[3,2-b]pyridine-6,8(4aH,7H)-dione, CN 5-(4-chlorophenyl)-5,5a,8a,8b-tetrahydro-7-phenyl-2-[(phenylmethyl)thio]-, (4aR,5aS,8aR,8bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

569338-80-3P 569338-82-5P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(unexpected ring transformation of pyrazolo[2,3-a]pyridines to pyrrolo[3.2-b]pyridine derivs. via 1,5-sigmatropic rearrangement)

RN 569338-80-3 HCAPLUS

Pyrrolo[3',4':4,5]pyrrolo[3,2-b]pyridine-6,8(4aH,7H)-dione, CN 5-(4-chlorophenyl)-2-[(4-chlorophenyl)thio]-5,5a,8a,8b-tetrahydro-7-phenyl-, (4aR,5aS,8aR,8bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 569338-82-5 HCAPLUS
CN Pyrrolo[3',4':4,5]pyrrolo[3,2-b]pyridine-6,8(4aH,7H)-dione,
5-(4-chlorophenyl)-5,5a,8a,8b-tetrahydro-2-[(4-methylphenyl)thio]-7-phenyl, (4aR,5aS,8aR,8bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Ph-} & \text{CH}_2 - \text{S} & & & \\ & & & & \\ \end{array}$$

RN 569338-70-1 HCAPLUS

CN Pyrrolo[3',4':4,5]pyrrolo[3,2-b]pyridine-6,8(5H,7H)-dione, 5-(4-chlorophenyl)-7-phenyl- (9CI) (CA INDEX NAME)

RN 569338-83-6 HCAPLUS

CN Pyrrolo[3',4':4,5]pyrrolo[3,2-b]pyridine-6,8(4aH,7H)-dione, 5-(4-fluorophenyl)-5,5a,8a,8b-tetrahydro-2-[(4-methylphenyl)thio]-7-phenyl-, (4aR,5aS,8aR,8bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 569338-84-7 .HCAPLUS

Pyrrolo[3',4':4,5]pyrrolo[3,2-b]pyridine-6,8(4aH,7H)-dione, 5,5a,8a,8b-tetrahydro-5-[4-(1-methylethyl)phenyl]-2-[(4-methylphenyl)thio]-7-phenyl-, (4aR,5aS,8aR,8bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 569338-90-5 HCAPLUS

CN Pyrrolo[3',4':4,5]pyrrolo[3,2-b]pyridine-6,8(5H,7H)-dione,
5-(4-chlorophenyl)-2-[(4-chlorophenyl)thio]-7-phenyl- (9CI) (CA INDEX NAME)

RN 569338-91-6 HCAPLUS

CN Pyrrolo[3',4':4,5]pyrrolo[3,2-b]pyridine-6,8(5H,7H)-dione, 5-(4-chlorophenyl)-2-[(4-methylphenyl)thio]-7-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS 18 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L161 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2001:661388 HCAPLUS

DOCUMENT NUMBER: 135:226878

TITLE: Synthesis of N-benzyl-indolyl (benzyloxy) amido

derivatives as PDE-IV inhibitors

INVENTOR(S): Labelle, Marc; Sturino, Claudio; Lachance, Nicolas;

MacDonald, Dwight

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE A	PPLICATION NO.	DATE			
WO 2001064639	A2 20010907 W	O 2001-CA270	20010302 <			
WO 2001064639	A3 20020228					
W: AE, AG, AL,	AM, AT, AU, AZ, BA,	BB, BG, BR, BY, BZ,	CA, CH, CN,			
CO, CR, CU,	CZ, DE, DK, DM, DZ,	EE, ES, FI, GB, GD,	GE, GH, GM,			
HR, HU, ID,	IL, IN, IS, JP, KE,	KG, KR, KZ, LC, LK,	LR, LS, LT,			
	MD, MG, MK, MN, MW,		• • •			
	SI, SK, SL, TJ, TM,					
YU, ZA, ZW		,,,				
·	LS, MW, MZ, SD, SL,	SZ. TZ. UG. ZW. AT.	BE. CH. CY.			
	FI, FR, GB, GR, IE,					
	CI, CM, GA, GN, GW,					
	A1 20020606 U					
US 6436965		5 2001 757005	20010301			
		N 2001-2401667	20010302 <			
CA 2401007	AA 20010907 C A2 20021211 E	D 2001-2401007	20010302 <			
	DE, DK, ES, FR, GB,		SE, MC, PI,			
	LV, FI, RO, MK, CY,					
	T2 20030826 J					
PRIORITY APPLN. INFO.:		S 2000-186571P				
		O 2001-CA270	W 20010302 <			
OTHER SOURCE(S): MARPAT 135:226878						
ED Entered STN: 10 Sep						
AB Title compds. I [A,	B, D, $E = N$ or $CR2$ a	nd the others = CR2	; q = 0 - 1; p,			

m = 0 - 2; R1 = H, (hydroxy)alkyl; R2 = H, halo, (halo)alkyl,

10/26/2006

hydroxyalkyl, CN, aromatic or nonarom. ring system containing 1 - 4 heteroatoms selected from O, S, N, alkoxy, oxyamide, etc.; X = cycloalkyl or Ar; Ar = (un)substituted (Ph, thienyl, thiazolyl, pyridyl, oxazolyl, tetrazolyl, pyrimidinyl, pyrazinyl and pyridazinyl)]were prepared Over 150 compds. were disclosed. For instance, Me 2-aminobenzoate was alkylated with 4-fluorobenzyl bromide (K2CO3, MEK, reflux, 8 h.). The resulting ester was saponified (NaOH, MeOHaq reflux, 2 h.), N-alkylated with Me bromoacetate (K2CO3, MeOHaq, reflux, 18 h.) and treated with CH2N2 to afford II. Diester II was cyclized (NaOMe, MeOH, reflux, 30 min.), O-alkylated with benzyl bromide (K2CO3, MEK, reflux, 2 h.), saponified (NaOH, EtOHaq, 90°C, 40 min.) and finally coupled to 3-aminopyridine (SOCl2, i-Pr2NEt, room temperature, 3 h.) to yield III. I are PDE-IV inhibitors (no data) useful for treating, e.g., inflammation, muscle spasm, chronic bronchitis, etc.

IT 359002-18-9P 359002-19-0P 359002-29-2P 359002-30-5P 359002-31-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of N-benzyl-indolyl(benzyloxy)amido derivs. as PDE-IV inhibitors)

RN 359002-18-9 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, N-(3,4-difluorophenyl)-3-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 359002-19-0 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, N-(6-methoxy-3-pyridinyl)-3-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 359002-29-2 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[4-(difluoromethoxy)phenyl]methyl]-N-(6-methoxy-3-pyridinyl)-3-(4pyridinylmethoxy)- (9CI) (CA INDEX NAME)

RN 359002-30-5 HCAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[4(difluoromethoxy)phenyl]methyl]-3-(4-pyridinylmethoxy)-N-1H-tetrazol-5-yl(9CI) (CA INDEX NAME)

RN 359002-31-6 HCAPLUS
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[4-(difluoromethoxy)phenyl]methyl]-N-(2-methoxy-4-pyridinyl)-3-(4-pyridinylmethoxy)- (9CI) (CA INDEX NAME)

L161 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 6

ACCESSION NUMBER:

2000:316965 HCAPLUS

DOCUMENT NUMBER: TITLE:

132:334446
Preparation of amide group-containing indoles and mono- or diazaindoles as cyclooxygenase-2 inhibitors

APPLICATION NO.

DATE

and anti-inflammatory agents

INVENTOR (S):

Matsuoka, Koji; Takahashi, Tadakatsu; Maruyama,

Tensho; Ishizawa, Takenobu; Kato, Yasuharu

PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan

CODEN: JKXXAF

KIND DATE

SOURCE:

Jpn. Kokai Tokkyo Koho, 29 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

	JP 2000136182	A2	20000516	JP 1998-3102)9 1	9981030 <	ς – –	
	PRIORITY APPLN. INFO.:			JP 1998-3102)9 1	9981030 <	:	
	OTHER SOURCE(S):	MARPAT	132:334446	;				
	ED Entered STN: 16 M	ay 2000						
	AB The compds. I [A1,	A2 = CH	, N; $R = C$	QNYZ, CO2R3; R	l = alkyl, a	mino; R2	=	
	(un) substituted ar	yl, (un)	substituted	l cycloalkyl, (1	un) substitut	ed		
heterocyclyl; $Q = O$, S, N:CN; Y, $Z = H$, (un)substituted alkyl,								
	(un) substituted al	koxy, (u	n) substitut	ed cycloalkyl,	(un)substit	uted aryl	L,	
	(un) substituted he	terocycl	yl; YNZ may	form (un)subs	cituted ring	(having		
	addnl. O, N, and/o	r S)], t	heir pharma	col. acceptable	e salts, or	their		
hydrates are prepared Me 1-benzenesulfonyl-5-methylthio-1H-pyrrolo[2,3								
b]pyridine-2-carboxylate was oxidized, treated with 4-fluorobenzyl								
	bromide, and amida	ted with	NMeH2 to	give I $(A1 = CH)$, A2 = N; R	= CONHMe,	,	
	R1 = Me, R2 4-FC6H	4), whic	h inhibited	l human cycloox	ygenase-1 an	d 2 with		
	IC50 of >20 and 0.							
	IT 268212-11-9P 26821	2-12-0P	268212-13-3	LP				
	268212-14-2P 26821	2-15-3P	268212-16-4	l P				

268212-17-5P 268212-18-6P 268212-70-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indoles as cyclooxygenase-2 inhibitors and anti-inflammatory agents)

RN 268212-11-9 HCAPLUS

29

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-N-methyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 268212-12-0 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-ethyl-1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 268212-13-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)-N-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ N \end{array}$$

$$\begin{array}{c} R \\ \downarrow \\ O \end{array}$$

$$\begin{array}{c} R \\ \downarrow \\ N \end{array}$$

RN 268212-14-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-N,N-dimethyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & \parallel \\ C-NMe_2 & \\ \hline O & N-CH_2 \end{array}$$

268212-15-3 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-N-CN methoxy-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \parallel & & \\ N & & \\ \hline O & & \\ N & & \\ \end{array}$$

268212-16-4 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-N-CN methoxy-N-methyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

268212-17-5 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-5-CN(methylsulfonyl) - (9CI) (CA INDEX NAME)

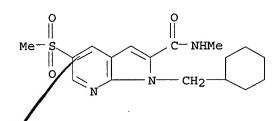
$$\begin{array}{c|c} O & O & O \\ \parallel & \parallel & \parallel \\ N - C - NH_2 & O \end{array}$$

268212-18-6 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[(4-fluorophenyl)methyl]-5-CN (methylsulfonyl) -N-(2,2,2-trifluoroethyl) - (9CI) (CA INDEX NAME)

RN 268212-70-0 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-(cyclohexylmethyl)-N-methyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



L161 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 7

ACCESSION NUMBER:

1994:508763 HCAPLUS

DOCUMENT NUMBER:

121:108763

TITLE:

Preparation of condensed pyridine derivatives as inhibitors of the biological effects of oxygen free

radicals

INVENTOR(S):

Bachy, Andre; Fraisse, Laurent; Keane, Peter; Mendes,

Etienne; Vernieres, Jean Claude; Simiand, Jacques

PATENT ASSIGNEE(S):

SOURCE:

Elf Sanofi SA, Fr.

Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
EP 587473 EP 587473		A1 B1	19940316 19981111	EP 1993-402095	19930825 <
R: AT,	BE, CH,	DE, DE	(, ES, FR,	GB, GR, IE, IT, LI, L	U, NL, PT, SE
FR 2695126		A1	19940304	FR 1992-10329	19920827 <
FR 2695126		B1 -	19941110		
US 5360799		Α	19941101	US 1993-109073	19930819 <
AU 9344747		A1	19940303	AU 1993-44747	19930820 <
AU 659027		B2	19950504		
AT 173258		E	19981115	AT 1993-402095	19930825 <
ES 2125315		Т3	19990301	ES 1993-402095	19930825 <
CA 2104883		AA	19940228	CA 1993-2104883	19930826 <
NO 9303051		Α	19940228	NO 1993-3051	19930826 <
HU 64957		A2	19940328	HU 1993-2425	19930826 <
HU 217623		В	20000328		
JP 06184145		A2	19940705	JP 1993-211451	19930826 <
FI 103889		B1	19991015	FI 1993-3756	19930826 <
US 5468750		A	19951121	US 1994-273943	19940712 <

FI 9602714 A 19960701 FI 1996-2714 19960701 <-FI 103277 B1 19990531

PRIORITY APPLN. INFO.:

FR 1992-10329 A 19920827 <-US 1993-109073 A3 19930819 <-FI 1993-3756 A 19930826 <--

OTHER SOURCE(S): MARPAT 121:108763

ED Entered STN: 03 Sep 1994

AB Title compds. [I; R1 = OH, alkyl, alkoxy, Ph, PhCH2, PhCH2O, (substituted) amino, aminoalkyl; R2 = OH, SH, alkoxy, alkylthio, (substituted) amino; R3 = H, alkyl, alkylthio, alkoxy, Ph, PhCH2; A = S, N; R = null, H, (substituted) alkyl; B = (substituted) Ph, pyridyl, or thienyl nucleus], were prepared Thus, aminoacetate II was stirred 10 h with KOCMe3 in PhMe/HOCMe3 to give title compound III. I inhibited the toxic effects of KCN in mice with IC50 = 2-30 mg/kg i.v.

IT 156565-83-2P 156565-99-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inhibitor of biol. effects of free radicals)

RN 156565-83-2 HCAPLUS

CN 1H-Pyrrolo[3,2-c]quinoline-2-carboxamide, 8-chloro-3-hydroxy-1-(phenylmethyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ C-N(Pr-n)_2 \end{array}$$

RN 156565-99-0 HCAPLUS

CN 1H-Pyrrolo[3,2-c]quinoline-2-carboxamide, 8-(diethylamino)-3-hydroxy-1-(phenylmethyl)-N,N-dipropyl-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Ph-CH}_2 & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

● HCl

L161 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:439778 HCAPLUS

DOCUMENT NUMBER: 107:39778

TITLE: Pyrrolopyridines

INVENTOR(S): Dormoy, Jean Robert; Heymes, Alain

PATENT ASSIGNEE(S): SANOFI, Fr.

0/ 3/ 000 Shiao 10/849,0895 thiao 10/849.00 10/26/2006

SOURCE:

Fr. Demande, 20 pp.

CODEN: FRXXBL

DOCUMENT TYPE:

Patent French

LANGUAGE:

Frenc

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
FR 2574406	A1	19860613	FR 1984-19029	-	19841212 <
FR 2574406	B1	19870227			
EP 187631	A1	19860716	EP 1985-870178		19851211 <
EP 187631	B1	19900905			
R: AT, BE, CH,	DE, FR	, GB, IT, LI	, LU, NL, SE		
AT 56212	E	19900915	AT 1985-870178		19851211 <
CA 1299183	A1	19920421	CA 1985-497380		19851211 <
DK 8505768	Α	19860613	DK 1985-5768		19851212 <
JP 61155385	A2	19860715	JP 1985-280176		19851212 <
US 4831144	Α	19890516	US 1988-141508		19880107 <
PRIORITY APPLN. INFO.:			FR 1984-19029	Α	19841212 <
			US 1985-806544	A2	19851209 <
			EP 1985-870178	Α	19851211 <
OTHER COIDCE (C).	CACDEA	CT 107.20770	. MADDAT 107.20770		

OTHER SOURCE(S): CASREACT 107:39778; MARPAT 107:39778

ED Entered STN: 08 Aug 1987

AB The title compds. [I; R = protecting group; R1 = H, Li, alkyl, CHO, CO2H, alkoxycarbonyl, etc.], useful as intermediates for anthelmintics, are prepared PhSO2Cl was added to a mixture of I (R = R1 = H), NaOH, and Bu4NHSO4 in CH2Cl2 over for 1 h. The temperature of the reaction mixture rose from 20° to 40°. The resulting mixture was then stirred for 1 h to give 83-85% I (R = PhSO2, R1 = H).

IT 109113-48-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for anthelmintics)

RN 109113-48-6 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, N,N-diethyl-1-(phenylsulfonyl)(9CI) (CA INDEX NAME)

=> d ibib ab hitstr 8-13

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX' - CONTINUE? (Y) /N:y

L161 ANSWER 8 OF 17 USPATFULL on STN

DUPLICATE 4

ACCESSION NUMBER:

2002:133898 USPATFULL

TITLE:

PDE IV inhibiting amides, compositions and methods of

treatment

INVENTOR(S):

Labelle, Marc, St. Lazare, CANADA

Sturino, Claudio, Dorval, CANADA Lachance, Nicolas, Pierrefonds, CANADA Macdonald, Dwight, L'ile Bizard, CANADA

	NUMBER	KIND	DATE		
PATENT INFORMATION: APPLICATION INFO.:	US 2002068756 US 6436965 US 2001-797083	A1 B2 A1	20020606 20020820 20010301	(9)	<
	NUMBER	DAT	ГЕ		
PRIORITY INFORMATION: DOCUMENT TYPE: FILE SEGMENT:	US 2000-186571P Utility APPLICATION	20000	0302 (60)		<
LEGAL REPRESENTATIVE: NUMBER OF CLAIMS: EXEMPLARY CLAIM:	MERCK AND CO INC, 18 1	P O BO	OX 2000, R	AHWAY, NJ,	070650907
LINE COUNT: CAS INDEXING IS AVAILAB AB Compounds repres	2355 SLE FOR THIS PATENT Sented by formula		STR1##		

as well as pharmaceutically acceptable salts and hydrates thereof are disclosed as useful for treating or preventing diseases and conditions mediated by PDE-IV.

Pharmaceutical compositions and methods of treatment are also included.

IT 359002-18-9P 359002-19-0P 359002-29-2P

359002-30-5P 359002-31-6P

(drug; synthesis of N-benzyl-indolyl(benzyloxy)amido derivs. as PDE-IV inhibitors)

RN 359002-18-9 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, N-(3,4-difluorophenyl)-3-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 359002-19-0 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, N-(6-methoxy-3-pyridinyl)-3-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 359002-29-2 USPATFULL

CN lH-Pyrrolo[3,2-b]pyridine-2-carboxamide, l-[[4-(difluoromethoxy)phenyl]methyl]-N-(6-methoxy-3-pyridinyl)-3-(4pyridinylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & O \\ \parallel & & \\ R - C - NH & & N \end{array}$$

RN 359002-30-5 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[4-(difluoromethoxy)phenyl]methyl]-3-(4-pyridinylmethoxy)-N-1H-tetrazol-5yl- (9CI) (CA INDEX NAME)

RN 359002-31-6 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[4-(difluoromethoxy)phenyl]methyl]-N-(2-methoxy-4-pyridinyl)-3-(4pyridinylmethoxy)- (9CI) (CA INDEX NAME)

L161 ANSWER 9 OF 17 USPATFULL on STN

ACCESSION NUMBER:

PATENT ASSIGNEE(S):

2006:144693 USPATFULL

TITLE:

Bicyclic heteroaromatic compounds as kinase inhibitors

Brookings, Daniel Christopher, c/o Celltech R&D INVENTOR(S): Limited, 208 Bath Road, Slough, Berkshire, UNITED KINGDOM SE1 3WE

Cubbon, Rachel Jane, Slough Berkshire, UNITED KINGDOM

Davis, Jeremy Martin, Wokingham Berkshire, UNITED

Langham, Barry John, Reading Berkshire, UNITED KINGDOM

Celltech R&D Limited, Slough, Berkshire, UNITED

KINGDOM, S11 3WE (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006122212	A1	20060608	
APPLICATION INFO.:	US 2003-529413	A1	20030930	(10) <
	WO 2003-GB4214		20030930	<
			20050623	PCT 371 date

NUMBER DATE

PRIORITY INFORMATION:

20021001 GB 2002-22743

DOCUMENT TYPE:

Utility APPLICATION

FILE SEGMENT: LEGAL REPRESENTATIVE:

WOODCOCK WASHBURN LLP, ONE LIBERTY PLACE, 46TH FLOOR,

1650 MARKET STREET, PHILADELPHIA, PA, 19103, US

NUMBER OF CLAIMS: 21 EXEMPLARY CLAIM:

LINE COUNT: 3189

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A series of 5-6 fused ring bicyclic heteroaromatic derivatives, based in particular on the 5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine ring system, being inhibitors of p38 kinase, are accordingly of use in medicine, for example in the treatment and/or prevention of immune or

inflammatory disorders. IT 677303-55-8P, 1-(3-Chloro-4-fluorobenzyl)-N-methoxy-N-methyl-5oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-57-0P, N-Methoxy-N-methyl-1-(3-methylbenzyl)-5-oxo-4RN

CN

```
phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
 677303-59-2P, 1-(3-Chlorobenzyl)-N-methoxy-N-methyl-5-oxo-4-
phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
 677303-60-5P, 1-(3-Chloro-4-fluorobenzyl)-5-oxo-4-phenyl-4,5-
dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-62-7P,
 1-(3-Methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-
 carboxamide 677303-64-9P, 1-(3-Chlorobenzyl)-5-oxo-4-phenyl-4,5-
dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 677303-68-3P,
 1-(3-Chlorobenzyl)-N, N-dimethyl-5-oxo-4-phenyl-4, 5-dihydro-1H-pyrrolo[3,2-
b]pyridine-2-carboxamide 677303-69-4P, 1-(3-Chlorobenzyl)-N-
methyl-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
 677303-70-7P, 1-(3-Chlorobenzyl)-4-phenyl-2-[(pyrrolidin-1-
yl)carbonyl]-1,4-dihydro-5H-pyrrolo[3,2-b]pyridin-5-one
 677303-71-8P 677303-77-4P, 1-(3-Chlorobenzyl)-4-(1H-
 indol-5-yl)-5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
 677303-83-2P, 1-(2-Cyanobenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-
pyrrolo[3,2-b]pyridine-2-carboxamide 677303-85-4P,
 1-(4-Fluoro-3-methylbenzyl)-5-oxo-4-phenyl-4,5-dihydro-1H-pyrrolo[3,2-
b]pyridine-2-carboxamide 677303-86-5P, 1-(3-Chlorobenzyl)-4-(4-
methylphenyl)-5-oxo-4,5-dihydro-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
 677303-87-6P 677303-96-7P, (S)-2-[[2-
 (Hydroxymethyl)pyrrolidin-1-yl]carbonyl]-1-(3-methylbenzyl)-4-phenyl-1,4-
dihydro-5H-pyrrolo[3,2-b]pyridin-5-one
   (bicyclic heteroarom. compds. as kinase inhibitors)
677303-55-8 USPATFULL
1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chloro-4-
  fluorophenyl)methyl]-4,5-dihydro-N-methoxy-N-methyl-5-oxo-4-phenyl-
         (CA INDEX NAME)
```

RN 677303-57-0 USPATFULL
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 4,5-dihydro-N-methoxy-N-methyl-1[(3-methylphenyl)methyl]-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-59-2 USPATFULL
CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N-methoxy-N-methyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-60-5 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chloro-4-fluorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-62-7 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 4,5-dihydro-1-[(3-methylphenyl)methyl]-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph & O \\ & \parallel & \\ \hline O & N & C-NH_2 \\ \hline & N-CH_2 & \\ \hline \end{array}$$

RN 677303-64-9 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-68-3 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N,N-dimethyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

 $\begin{array}{c|c} & \text{Ph} & \text{O} \\ & & \text{I} \\ & \text{N} & \text{C-NMe}_2 \\ & & \text{N-CH}_2 \\ \end{array}$

RN 677303-69-4 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-N-methyl-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-70-7 USPATFULL

CN Pyrrolidine, 1-[[1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl-1H-pyrrolo[3,2-b]pyridin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 677303-71-8 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxylic acid, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl-, hydrazide (9CI) (CA INDEX NAME)

RN 677303-77-4 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-

dihydro-4-(1H-indol-5-yl)-5-oxo- (9CI) (CA INDEX NAME)

RN 677303-83-2 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(2-cyanophenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph & O \\ \hline \\ C-NH_2 \\ \hline \\ NC \\ \end{array}$$

RN 677303-85-4 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(4-fluoro-3-methylphenyl)methyl]-4,5-dihydro-5-oxo-4-phenyl- (9CI) (CA INDEX NAME)

RN 677303-86-5 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-4-(4-methylphenyl)-5-oxo-(9CI) (CA INDEX NAME)

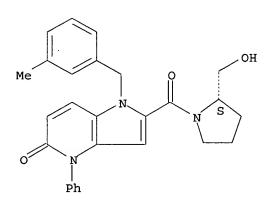
RN 677303-87-6 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxylic acid, 1-[(3-chlorophenyl)methyl]-4,5-dihydro-4-(4-methylphenyl)-5-oxo-, hydrazide (9CI) (CA INDEX NAME)

RN 677303-96-7 USPATFULL

2-Pyrrolidinemethanol, 1-[[4,5-dihydro-1-[(3-methylphenyl)methyl]-5-oxo-4-phenyl-1H-pyrrolo[3,2-b]pyridin-2-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L161 ANSWER 10 OF 17 USPATFULL on STN

ACCESSION NUMBER:

2005:11693 USPATFULL

TITLE:

INVENTOR(S):

CN

Azaindole-derivatives as factor Xa inhibitors

Nazare, Marc, Idstein, GERMANY, FEDERAL REPUBLIC OF

Wehner, Volkmar, Sandberg, GERMANY, FEDERAL REPUBLIC OF

Will, David William, Kriftel, GERMANY, FEDERAL REPUBLIC

OF

Ritter, Kurt, Frankfurt am Main, GERMANY, FEDERAL

REPUBLIC OF

Urmann, Matthias, Eschborn, GERMANY, FEDERAL REPUBLIC

OF

Matter, Hans, Langenselbold, GERMANY, FEDERAL REPUBLIC

OF

PATENT ASSIGNEE(S):

Aventis Pharma Deutschland, Frankfurt am Main, GERMANY,

FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 2005009828 US 2004-849089	A1 A1	20050113	(10)

NUMBER DATE

PRIORITY INFORMATION:

EP 2003-11304 20030519 <-US 2003-507141P 20030930 (60) <--

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE

202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

15 1

LINE COUNT:

4713

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of the formula I ##STR1##

wherein R.sup.0, R.sup.1, R.sup.2, R.sup.3, Q, V, G and M are as defined herein. The compounds of the formula I are valuable pharmacologically active compounds. They exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of cardiovascular disorders like thromboembolic diseases or restenoses. They are reversible inhibitors of the blood clotting enzymes factor Xa (FXa) and/or factor VIIa (FVIIa), and can in general be applied in conditions in which an undesired activity of factor Xa and/or factor VIIa is present or for the cure or prevention of which an inhibition of factor Xa and/or factor VIIa is intended. The invention furthermore relates to processes for the preparation of compounds of the formula I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical preparations comprising them.

IT 797060-39-0P 797060-40-3P 797060-41-4P

797060-42-5P 797060-43-6P 797060-44-7P

(claimed compound; preparation of thienylisoxazolylmethylazaindoles as factor

Xa and/or factor VIIa inhibitors)

RN 797060-39-0 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

Shiao 10/849,089

RN 797060-40-3 USPATFULL CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 797060-41-4 USPATFULL
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl](9CI) (CA INDEX NAME)

797060-42-5 USPATFULL RN

1H-Pyrrolo[2,3-b]pyridine-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

$$H_2N-C$$
 N
 $C-NH$
 N
 CH_2
 N
 C
 C
 C

797060-43-6 USPATFULL RN

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-N-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 797060-44-7 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl](9CI) (CA INDEX NAME)

IT 797060-45-8P 797060-46-9P

(preparation of thienylisoxazolylmethylazaindoles as factor Xa and/or factor VIIa inhibitors)

RN 797060-45-8 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2,5-dicarboxamide, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-N2-[1-(1-methylethyl)-4-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 797060-42-5

CMF C25 H27 C1 N6 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

797060-46-9 USPATFULL RN

1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-CNisoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl], trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 797060-44-7

CMF C25 H26 C1 N5 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

8 7

IT 797060-56-1P

(preparation of thienylisoxazolylmethylazaindoles as factor Xa and/or factor VIIa inhibitors)

RN 797060-56-1 USPATFULL

CN 1H-Pyrrolo[3,2-b]pyridine-5-carboxylic acid, 1-[[5-(5-chloro-2-thienyl)-3-isoxazolyl]methyl]-2-[[[1-(1-methylethyl)-4-piperidinyl]amino]carbonyl]-, methyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 797060-55-0 CMF C26 H28 Cl N5 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

<--

L161 ANSWER 11 OF 17 USPATFULL on STN

95:103512 USPATFULL ACCESSION NUMBER:

Heterocycle-coupled substituted pyrrolo[3,2-c]pyridin-2-TITLE:

carboxylic acids

Bachy, Andre, Toulouse, France INVENTOR (S):

Fraisse, Laurent, Jurancon, France

Keane, Peter, Portet sur Garonne, France

Mendes, Etienne, Toulouse, France Vernieres, Jean-Claude, Muret, France

Simiand, Jacques, Muret, France

Elf Sanofi, Paris, France (non-U.S. corporation) PATENT ASSIGNEE(S):

> KIND DATE NUMBER ______

US 5468750 19951121 US 1994-273943 19940712 (8) PATENT INFORMATION: <--APPLICATION INFO .:

Division of Ser. No. US 1993-109073, filed on 19 Aug RELATED APPLN. INFO.:

1993, now patented, Pat. No. US 5360799

DATE NUMBER

______ FR 1992-10329 19920827 PRIORITY INFORMATION:

Utility DOCUMENT TYPE: Granted FILE SEGMENT:

Henley, III, Raymond PRIMARY EXAMINER: ASSISTANT EXAMINER: Spivack, Phyllis G.

Jacobson, Price, Holman & Stern LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1001 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A compound of formula ##STR1## in which A represents S;

R.sub.1 is selected from the group consisting of OH, (C.sub.1 -C.sub.12) alkyl, (C.sub.1 -C.sub.12) alkoxy, benzyloxy, phenyl, benzyl (C.sub.1 -C.sub.4) alkyl NZ.sub.1 Z.sub.2, and NZ.sub.1 Z.sub.2;

R.sub.2 is selected from the group consisting of OH, SH, (C.sub.1 -C.sub.4) alkoxy, (C.sub.1 -C.sub.4) alkylthio, and NZ.sub.1 Z.sub.2;

R.sub.3 is selected from the group consisting of H, (C.sub.1 -C.sub.4) alkyl, (C.sub.1 -C.sub.4) alkylthio, (C.sub.1 -C.sub.4) alkoxy, phenyl, and benzyl;

B is selected from the group consisting of phenyl; pyridyl; phenyl substituted by one or more groups selected from halo, (C.sub.1 -C.sub.6) alkyl, (C.sub.1 -C.sub.6) alkoxy, CF.sub.3, CH.sub.2 NZ.sub.1 Z.sub.2, and NZ.sub.1 Z.sub.2 wherein Z.sub.1 and Z.sub.2 are selected, independently of each other, from the group consisting of H, (C.sub.1 -C.sub.6)alkyl, formyl, and benzyl or --NZ.sub.1 Z.sub.2 is selected from the group consisting of pyrrolidinyl, piperidinyl, morpholino, hexahydroazepino, ##STR2## piperazino, piperazino substituted in position 4 by (C.sub.1 -C.sub.8) alkyl, benzyl or diphenylmethyl; and pyridyl substituted by one or more groups selected from halo, (C.sub.1 -C.sub.6) alkyl, (C.sub.1 -C.sub.6) alkoxy, CF.sub.3, CH.sub.2 NZ.sub.1 Z.sub.2, and NZ.sub.1 Z.sub.2 wherein Z.sub.1 and Z.sub.2 are selected, independently of each other, from the group consisting of H, (C.sub.1 -C.sub.6)alkyl, formyl, and benzyl, or NZ.sub.1 Z.sub.2 is selected from the group consisting of pyrrolidinyl, piperidinyl, morpholino, hyxahydroazepino, ##STR3## piperazino, and piperazino substituted in

position 4 by (C.sub.1 -C.sub.8) alkyl, benzyl or diphenylmethyl; or its salt with an acid or a base.

IT 156565-83-2P 156565-99-0P

(preparation of, as inhibitor of biol. effects of free radicals)

RN 156565-83-2 USPATFULL

CN 1H-Pyrrolo[3,2-c]quinoline-2-carboxamide, 8-chloro-3-hydroxy-1-(phenylmethyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Ph-} & \text{CH}_2 & & \\ & & & \\ \text{C-} & \text{N (Pr-n)}_2 \end{array}$$

RN 156565-99-0 USPATFULL

CN 1H-Pyrrolo[3,2-c]quinoline-2-carboxamide, 8-(diethylamino)-3-hydroxy-1-(phenylmethyl)-N,N-dipropyl-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ \text{Ph-CH2} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

HCl

L161 ANSWER 12 OF 17 USPATFULL on STN

ACCESSION NUMBER:

94:95413 USPATFULL

TITLE:

Substituted thienyl- or pyrrolylcarboxyclic acid

derivatives, their preparation and medicines containing

them

INVENTOR(S):

Bachy, Andre, Toulouse, France Fraisse, Laurent, Jurancon, France

Keane, Peter, Portet Sur Garonne, France

Mendes, Etienne, Toulouse, France Vernieres, Jean-Claude, Muret, France

Simiand, Jacques, Muret, France

PATENT ASSIGNEE(S):

Elf Sanofi, Paris, France (non-U.S. corporation)

	NUMBER	KIND DATE	
PATENT INFORMATION:	US 5360799	19941101	<
APPLICATION INFO.:	US 1993-109073	19930819	<

NUMBER DATE

PRIORITY INFORMATION:

FR 1992-10329

19920827

DOCUMENT TYPE: FILE SEGMENT:

Utility Granted

PRIMARY EXAMINER: ASSISTANT EXAMINER: Cintins, Marianne M. Spivack, Phyllis G.

LEGAL REPRESENTATIVE:

Wegner, Cantor, Mueller & Player

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

997

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds of formula ##STR1## in which R.sub.1 represents OH, (C.sub.1 -C.sub.12)alkyl, (C.sub.1 -C.sub.12)alkoxy, benzyloxy, benzyl, phenyl, (C.sub.1 -C.sub.4) alkylNZ.sub.1 Z.sub.2 or NZ.sub.1 Z.sub.2; R.sub.2 represents OH, SH, (C.sub.1 -C.sub.4) alkoxy, (C.sub.1 -C.sub.4) alkylthio or NZ.sub.1 Z.sub.2; R.sub.3 represents H, (C.sub.1 -C.sub.4)alkyl, (C.sub.1 -C.sub.4) alkylthio, (C.sub.1 -C.sub.4) alkoxy, phenyl or benzyl; A represents N and R represents H or (C.sub.1 -C.sub.4) alkyl which can be substituted by phenyl or NZ.sub.1 Z.sub.2; B represents phenyl which is coupled to the pyridyl ring and is optionally substituted by one or more groups chosen from halo, (C.sub.1 -C.sub.6) alkyl, (C.sub.1 -C.sub.6) alkoxy, CF.sub.3, CH.sub.2 NZ.sub.1 Z.sub.2 or NZ.sub.1 Z.sub.2 ; and Z.sub.1 and Z.sub.2 represent, independently of each other, H, (C.sub.1 -C.sub.6) alkyl, formyl or benzyl, or they form with the nitrogen atom to which they are attached an optionally substituted saturated heterocycle and their salts.

156565-83-2P 156565-99-0P IT

(preparation of, as inhibitor of biol. effects of free radicals)

156565-83-2 USPATFULL RN

1H-Pyrrolo[3,2-c]quinoline-2-carboxamide, 8-chloro-3-hydroxy-1-CN (phenylmethyl) -N, N-dipropyl- (9CI) (CA INDEX NAME)

156565-99-0 USPATFULL RN

1H-Pyrrolo[3,2-c]quinoline-2-carboxamide, 8-(diethylamino)-3-hydroxy-1-CN (phenylmethyl) -N, N-dipropyl-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Ph-} & \text{CH}_2 & & \\ & & & \\ & & & \\ \text{C-N (Pr-n)}_2 \end{array}$$

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L161 ANSWER 13 OF 17 USPATFULL on STN

ACCESSION NUMBER: 89:39083 USPATFULL

TITLE: 1H-pyrrolo [3,2-c]pyrrolidines protected in 1-position

useful as intermediates

INVENTOR (S):

Dormoy, Jean-Robert, Sisteron, France Heymes, Alain, Sisteron, France SANOFI, Paris, France (non-U.S. corporation) PATENT ASSIGNEE(S):

> NUMBER KIND -----

US 4831144 PATENT INFORMATION: 19890516 <--19880107 (7) <--APPLICATION INFO.: US 1988-141508

Continuation-in-part of Ser. No. US 1985-806544, filed RELATED APPLN. INFO.:

on 9 Dec 1985, now abandoned

NUMBER ______

FR 1984-19029 19841212 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Lee, Mary C. Dentz, Bernard I. ASSISTANT EXAMINER: LEGAL REPRESENTATIVE: Bacon & Thomas

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 754

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a process for fixing an electrophilic group in the 2-position of 1H-pyrrolo[3,2-c]pyridine N-protected in the 1-position, process which consists in protecting the 1-position in question with a labile protecting group, reacting the compound so obtained with a lithiation agent selected from a lithium amide and an alkyl lithium at a temperature between -80° C. and -20° C. and in the presence of tetramethylethylenediamine to obtain the corresponding 2-lithio derivative and then condensing the metal derivative so obtained at a temperature between -80° C. and room-temperature with a reagent capable of giving rise to an electrophilic group to form N-protected 1H-pyrrolo[3,2-c]pyridine substituted in the 2-position by an electrophilic group.

TТ 109113-48-6P

(preparation of, as intermediate for anthelmintics)

109113-48-6 USPATFULL RN

1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, N,N-diethyl-1-(phenylsulfonyl)-CN

(9CI) (CA INDEX NAME)

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L161 ANSWER 14 OF 17 WPIX COPYRIGHT 2006

THE THOMSON CORP on STN

ACCESSION NUMBER:

2006-036445 [04] WPIX

2003-381525; 2004-812834; 2005-417035; 2005-562788; CROSS REFERENCE:

2006-017475

DOC. NO. CPI:

C2006-012982 [04]

TITLE:

New lactam-containing compounds are trypsin serine protease enzyme inhibitors useful for the treatment of thromboembolic disorder e.g. stroke, atherosclerosis,

peripheral occlusive arterial disease and venous

thrombosis

DERWENT CLASS:

B02; B03

INVENTOR:

HAN W; KOCH S L; LAM P Y S; LI Y; ORWAT M J; PINTO D J P;

QIAO J X; QUAN M L

PATENT ASSIGNEE:

(HANW-I) HAN W; (KOCH-I) KOCH S L; (LAMP-I) LAM P Y S; (LIYY-I) LI Y; (ORWA-I) ORWAT M J; (PINT-I) PINTO D J P;

(QIAO-I) QIAO J X; (QUAN-I) QUAN M L

COUNTRY COUNT:

PATENT INFORMATION:

PATENT NO	KIND DATE	WEEK	LA	PG	MAIN IPC
US 20050267097	A1 20051201	(200604)*	EN	186[0]	A61K031-553

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION DATE
	Al Div Ex	US 2001-324165P 20010921 US 2002-402317P 20020809 US 2002-245122 20020917 US 2004-850587 20040520 US 2005-198801 20050805

PRIORITY APPLN. INFO: US 2005-198801 20050805

US 2001-324165P 20010921 US 2002-402317P 20020809

US 2002-245122 20020917 US 2004-850587 20040520

INT. PATENT CLASSIF.:

A61K031-553

SECONDARY:

A61K031-55

BASIC ABSTRACT:

US 20050267097 A1 UPAB: 20060116

NOVELTY - Lactam-containing compounds (I) and their stereoisomers or salts are new.

DETAILED DESCRIPTION - Lactam-containing compounds (I) of formula (P4-P1-M-M4) and their stereoisomers or salts are new.

M = 3-10 carbocycle or 4-10 heterocycle consisting of C atom or 1-3 heteroatoms of O, S(O)p, N or NZ2;

ring M = substituted by 0-3 R-1a or 0-2 carbonyl and there are 0-3 ring double bonds;

P =fused onto ring M or 5-7 carbocycle or heterocycle consisting C and 1-3 heteroatoms of O, S(O)p or N; and

ring P = substituted by 0-3 R-1a and 0-2 carbonyl or 0-3 ring double bonds (alternatively ring P is absent and P4 is directly attached to ring M (provided that when ring P is absent, P4 and P4 are attached to the 1,2, 1,3, or 1,4 positions of ring P4).

and provisos. INDEPENDENT CLAIMS are also included for

- (1) a method for treating a thromboembolic disorder comprising administering (I) and second therapeutic agent such as Xa inhibitor, anti-coagulant agent, anti-platelet agent, thrombin inhibiting agent, thrombolytic agent or fibrinolytic agent; and
- (2) an article of manufacture comprising a first container (a); pharmaceutical composition (b) comprising (I) which is located within the first container; and a package (c) insert stating that the pharmaceutical composition can be used for the treatment of a thromboembolic disorder.

ACTIVITY - Thrombolytic ; Anticoagulant; Cardiovascular-Gen.; Antianginal; Cardiant; Vasotropic; Cerebroprotective; Antiarteriosclerotic.

MECHANISM OF ACTION - Trypsin serine protease enzyme inhibitor. (I) were tested for trypsin-like serine protease enzyme inhibitory activity in mammal. The inhibitory constant value of 1-(3-chloro-4-fluorophenyl)-6-(4-(2-oxo-1-piperidinyl) phenyl)-3-(trifluoromethyl)-1,4,5,6-tetrahydro-7H-pyrazolo(3,4-c)pyridine-7-one was less than or equal to 0.001 muM.

USE - (I) are useful for treatment of thromboembolic disorder such as arterial, venous or thromboembolic cardiovascular thromboembolic disorders in the chambers of the heart, unstable angina, acute coronary syndrome, first myocardial infarction, recurrent myocardial infarction, ischemic sudden death, transient ischemic attack, stroke, atherosclerosis, peripheral occlusive arterial disease, venous thrombosis, deep vein thrombosis, thrombophlebitis, arterial embolism, coronary arterial thrombosis, cerebral arterial thrombosis, cerebral embolism, kidney embolism, pulmonary embolism and thrombosis resulting from prosthetic valves or other implants, indwelling catheters, stents, cardiopulmonary bypass, hemodialysis or other procedures in which blood is exposed to an artificial surface that promotes thrombosis (claimed).

ADVANTAGE - (I) improves pharmaceutical properties, dosage requirements, factors which decrease blood concentration peak-to-trough characteristics, factors that increase the concentration of active drug at the receptor, factors that decrease the liability for clinical drug-drug interactions, factors that decrease the potential for adverse side-effects and manufacturing costs or feasibility.

MANUAL CODE: CPI: B06-H; B07-H; B14-D07C; B14-F01; B14-F02; B14-F04; B14-F07

TECH ORGANIC CHEMISTRY - Preparation: Preparation of (I) comprises standard coupling of acid compounds of formula (G-G1-P-M-(acid chloride, acid, sulfonylchloride, amino or alkylhalide) with NH2-A-B, HO-A-B, HS-A-B and ClCH2-A-B. Preferred Components: The second therapeutic agent is warfarin,

unfractionated heparin, low molecular weight heparin, synthetic pentasaccharide, hirudin, argatrobanas, aspirin, ibuprofen, naproxen, sulindac, indomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, piroxicam, ticlopidine, clopidogrel (preferred), tirofiban, eptifibatide, abciximab, melagatran, melagatran, disulfatohirudin, tissue plasminogen activator, modified tissue plasminogen activator, anistreplase, urokinase or streptokinase. The article of manufacture further comprises a second container, where (a) and (b) are located within the second container and component (c) is located within or outside of the second container.

ABEX DEFINITIONS - Full Definitions: - M = 3-10 carbocycle or 4-10 heterocycle consisting of C atom or 1-3 heteroatoms of O, S(O)p, N or NZ2; - ring M = substituted by 0-3 R-la or 0-2 carbonyl and there are 0-3 ring double bonds; - P = fused onto ring M or 5-7 carbocycle or heterocycle consisting C and 1-3 heteroatoms of O, S(O)p or N; - ring P = substituted by 0-3 R-1a and 0-2 carbonyl or 0-3 ring double bonds (alternatively ring P is absent and P4 is directly attached to ring M (provided that when ring P is absent, P4 and M4 are attached to the 1,2, 1,3, or 1,4 positions of ring M)); - one of P4, M4 = -Z-A-B1 or other -G1-G; - G = phenyl compounds of formula (IIa-IIb); - ring D = two atoms of ring E to attached 5-6 ring consisting of C and 0-2 heteroatoms of N, O or S(0)p or substituted by 0-2 R and 0-3 ring double bonds; - E = phenyl, pyridyl, pyrimidyl, pyrazinyl or pyridazinyl substituted by 1-2R (alternatively ring D is absent and ring E is phenyl, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, triazolyl, thienyl or thiazolyl and substituted by 1-2 R or with 5-6 heterocycle consisting of C or 1-4 heteroatoms of N, O or S(O)p, 5-6 heterocycle substituted by 0-1 carbonyl and 1-2 R and there are 0-3 ring double bonds); - R =H, 1-4C alkyl, F, Cl, Br, I, OH, OCH3, OCH2CH3, OCH(CH3)2, OCH2CH2CH3, CN, C(=NR8)NR7R9, NHC(=NR8)NR7 R9, ONHC(=NR8)NR7R9, NR8CH(=NR7), NH2, NH(1-3C alkyl), N(1-3C alkyl)2, C(=NH)NH2, CH2NH2, CH2NH(1-3C alkyl), CH2N(1-3C alkyl)2, CH2CH2NH2, CH2CH2NH(1-3C alkyl), CH2CH2N(1-3C) alkyl)2, (CR8R9) tC(O)H, (CR8R9) tC(O)R2c, (CR8R9) tNR7R8, (CR8R9) tC(O)NR7R8, (CR8R9) tNR7C(O)R7, (CR8R9) - tOR3, (CR8R9) tS(O)pNR7R8, (CR8R9) tNR7S(O)pR7, (CR8R9)tSR3, (CR8R9)tS(O)R3, (CR8R9)tS(O)2R3 or OCF3 (alternatively, when 2 R attached to the adjacent atoms form a methylenedioxy or ethylenedioxy); - A = 3-10C carbocycle substituted by 0-2 R4 or 5-12 heterocycle consisting of C and 1-4 heteroatoms of N, O or S(O)p and substituted by 0-2 R4 (provided that A is other than a dihydro-benzopyran and B1 is cyclic amine compounds of formula (IIc); provided that Z and B are attached to different atoms on A and that the A-X-N moiety forms other than a N-N-N group; provided that B is other than triazolone, quinolone or isoquinolone (all optionally substituted)); - Q1 = C=O or SO2; - ring Q = 4-8 monocyclic or bicyclic ring consisting of addition to the N-Q1 consisting C or 0-2 heteroatoms NR4C, O, S, S(O) or S(O)2, 0-2 double bonds are present within the ring and the ring is substituted by 0-2 R4a (alternatively ring Q is 4-8 monocyclic or bicyclic ring to which another ring is fused 4-7 membered ring consists of addition to the amide, C or 0-2 heteroatoms of NR4C, 0, S, S(0) or S(0)2 or 0-2 double bonds are present within the ring, fusion ring is phenyl or 5-6 heteroaromatic of C and 1-2 heteroatoms NR4C, O, S, S(O) or S(O)2; ring Q which includes the 4-7 membered ring and the fusion ring, is substituted with 0-3 R-4a; two non-adjacent atoms of one of the rings of ring Q are bridged with 1-2C NR4C, O, S, S(O) or S(O)2 (provided bonds other than O-O, S(O)p-O, S(0)p-S(0)p, N-O or N-S(O)p are present); - X = (CR2R-2a)1-4, CR2, (CR2R-2b) (CH2)t, C(O), C(=NR-1c), CR-2(NR-1CR2), CR2 (OR2), CR2 (SR2), C(0)CR2R-2a, CR2R-2aC(0), S(0), S(0)2, SCR2R-2a, S(0)CR2R-2a, S(0)2CR2R-2a, CR2R-2aS(0), CR2R-2aS(0)2, S(0)2NR2CR2R-2a, NR2S(0)2, CR2R-2aNR2S(O)2-,-NR2S(O)2CR2R2a, NR2C(O), C(O)NR2CR2R-2a-, NR2C(O)CR2R-2a, CR2R-2aNR-2C(O), NR-2CR2R-2a or OCR2R-2a; - G1 = (CR3R-3a)1-5, (CR3R-3a)0-2CR3=CR3 (CR3R-3a)0-2, (CR3R-3a)0-2C=C(CR3R-3a)0الحاثي تعيما والكوان 2, (CR3R-3a)uC(0) (CR3R-3a)w, (CR3R-3a)uC(0)0(CR3R-3a)w, (CR3R-3a)uOC(0) (CR3R-3a)w, (CR3R-3a)uO(CR3R-3a)w, (CR3R-3a)uN-3b(CR3R-3a)w, (CR3R-3a)uC(O)N-3b(CR3R-3a)w, (CR3R-3a)uN-3bC(O) (CR3R-3a)w, (CR3R-3a)uOC(0)N-3b(CR3R-3a), (CR3R-3a)uN3-bC(0)O(CR3R-3a)(CR3R-3a)uN-3bC(O)N-3b(CR3R6R-3a)w, (CR3R3a)uN-3bC(S)N-3b(CR3R3a)w, (CR3R3a) uS (CR3R-3a) w, (CR3R-3a) uS (O) (CR3R-3a) w, (CR3R-3a) uS (O) 2 (CR3R-3a)w, (CR3R-3a)S(0)N-3b(CR3R-3a)w, (CR3R-3a)uN-3bS(0)2(CR3R3a)w, (CR3R-3a) uS (O) 2N-3b (CR3R-3a) w, (CR3R-3a) uN3-bS (O) 2N-3b (CR3R-3a) w, (CR3R-3a)uNR-3e(CR3R-3a)w, (CR3R-3a)uC(O), (CR3R-3a)uC(O)(CR3R-3a) w, (CR3R-3a) uNR-3b (CR3R-3a) uC (0) NR-3b (CR3R-3a) w, (CR3R-3a) uNR-3bC(O) (CR3R-3a) uC(O) (CR3R-3a) w, (CR3R-3a) uC(O) (CR3R-3a) uC(O) NR-3b(CR3R-3a) uC(O) UC(O) NR-3b(CR3R-3a) uC(O) UC(O) NR-3b(CR3R-3a) uC(O) UC 3a)w, (CR3R-3a)uS(O)NR-3bC(O) (CR3R-3a)w, (CR3R-3a)uC(O)NR-3bS(O)2(CR3R-3a)w or (CR3R-3a)uS(0)2NR-3bC(0)NR-3bCR3R-3a)w; - u+w=0-4 (provided that G1 does not form an N-S, NCH2N, NCH2O or NCH2S bond with either group to which it is attached); - Z = (CR3R-3e)-14, (CR3R-3e)qO(CR3R-3e)q1, (CR3R-3e) qC(0) NR-3b(CR3R-3e) q1, (CR3R-3e) qNR-3bC(0) (CR3R-3e) q1, $(CR3R-3e) \ qOC(0) O (CR3R-3e) \ q1, (CR3R-3e) \ qOC(0) NR-3b (CR3R-3e) \ q1, (CR3R-3e) \ qNR-3b (CR3R-3e)$ 3bC(0)O(CR3R-3e)q1, (CR3R-3e)qNR-3bC(O)NR-3b(CR3R-3e)q1, (CR3R-3e) qC(0) (CR3R-3e) qC(0) (CR3R-3e) (CR3R-3e) qNR-3b (CR3R-3e) qC(0) NR-3b(CR3R-3e)q1, (CR3R-3e)qNR-3bC(O) (CR3R-3e)qC(O) (CR3R-3e)q1, (CR3R-3e)q13e)qC(0) (CR3R-3e)qC(0)NR-3b(CR3R-3e)q1, (CR3R-3e)qNR-3bC(0) (CR3R-3e)qC(0)NR-3b(CR3R-3e)q1, (CR3R-3e)qS(CR3R-3e)q1, (CR3R-3e)qS(0)(CR3R-3e)q1, (CR3R-3e)qS(0)2(CR3R-3e)q1, (CR3R-3e)qSO2NR-3b(CR3R-3e)q1,(CR3R-3e)qNR-3bSO2(CR3R-3e)q1, (CR3R-3e)qS(0)NR-3bC(0) (CR3R-3e)q1,(CR3R-3e)qC(0)NR-3bS(0)2(CR3R-3e)q1 or (CR3R-3e)qNR-3bSO2NR-3b(CR3R-3e)q1; -q+q1 = 0-4 (provided that Z does not form a N-S, NCH2N, NCH2O, or NCH2S bond with either group to which it is attached; provided that B-A-Z form other than a pyridone-phenyl-CH2, pyridone-pyridyl-CH2, or pyridone-pyrimidyl-CH2, pyridone, phenyl, pyridyl or pyrimidyl (all optionally substituted); - Z2 = H, S(O)2NHR-3b, C(O)R-3b, C(O)NHR-3b, C(0)OR-3f, S(0)R-3f, S(0)2R-3f, 1-6C alkyl (all optionally substituted with 0-2 R-1a, 2-6C alkenyl 0-2 R-1a, 2-6C alkynyl , 0-2 R-1a, -(0-4C alkyl)-3-10C carbocycle , 0-3 R-1a, -(0-4C alkyl)-5-10 membered heterocycle substituted with 0-3 R-1a or consisting of C atoms or 1-4 heteroatoms of N,O or S(O)p; - R-1a = H, -(CR3R-3a)r-R-1b, -(CR3R-3a)r-CR3R-1bR-1b, -(CR3R-3a)r-(CR3R-3a)rR-1b, -2-6Calkenylene-R-1b, -2-6C alkynylene-R-1b, -(CR3R-3a)r-C(=NR-1b)NR3R-1b , NR3CR3R-3aR-1C, OCR3R-3aR-1c, SCR3R-3aR-1c, NR3(CR3R-3a)2(CR3R-3a) R-1b,C(0)NR2(CR3R-3a)2(CR3R-3a)tR-1b, CO2(CR3R-3a)2 (CR3R-3a) R-1b, O(CR3R-3a)2(CR3R-3a)tR-1b, S(CR3R-3a)2(CR3R-3a) R-1b, S(O) (CR3R-3a)rR-1d, O(CR3R-3a)rR-1d, NR3(CR3R-3a)rR-1d, OC(O)NR3(CR3R-3a)rR-1d, NR3C(O)NR3(CR3R-3a)rR-1d, NR3C(O)O(CR3R-3a)rR-1d or NR3C(O)(CR3R-3a)rR-1d, (provided that R-la forms other than an N-halo, N-S, O-O, or N-CN bond) (alternatively when two R-la is 5-7 membered ring consisting of C atoms or 0-2 heteroatoms of N, O or S(O)p, this ring being substituted with 0-2 R-4b or 0-3 ring double bonds); - R-1b = H, 1-3C alkyl, F, Cl, Br, I, -CN, -NO2, -CHO, (CF2)rCF3, (CR3R-3a)rOR2, NR2R-2a,C(O)R-2b, CO2R-2b, OC(O)R2, (CF2)rCO2R-2a, S(0)pR-2b, NR2(CH2)rOR2, C(=NR-2C)NR2R-2a,NR-2C(O)R-2b, NR2C(O)NHR2, NR-2C(O)2R-2a, OC(O)NR2R-2a, C(O)NR2R-2a, C(O)NR2(CH2)rOR2,SO2NR2R-2a, NR2SO2R2, C(O)NR2SO2R2, 3-6C carbocycle substituted with 0-2 R-4b, or 5-10 membered heterocycle consisting of C atoms from 1-4 heteroatoms of N, O or S(O)p (all optionally substituted with 0-2 R-4b) (provided that R-1b forms other than an O-O, N-halo, N-S, or N-CN bond); - R-1c = H, CH(CH2OR2)2, C(O)R-2c, C(O)NR2R-2a, S(O)R2, S(0)2R2 or SO2NR2R-2a; - R-1d = 3-6C carbocycle (optionally substituted

with 0-2 R-4b) or 5-10 membered heterocycle consisting of C atoms or 1-4

heteroatoms of N, O or S(O)p (optionally substituted with 0-2

R-4b) (provided that R-1d forms other than an N-S bond); - R2 = H, CF3, 1-6C alkyl, benzyl, -(CH2)r-3-10C carbocycle (all optionally substituted with 0-2 R-4b) or -(CH2)r-5-10 membered heterocycle of C atoms or 1-4 heteroatoms of N, O or S(O)p or (optionally substituted with 0-2 R4b); -R-2a = H, CF3, 1-6C alkyl, benzyl, -(CH2)r-3-10C carbocycle (all optionally substituted with 0-2 R-4b) or -(CH2)r-5-10 membered heterocycle consisting of C atoms or 1-4 heteroatoms of N, O or S(O)p or substituted with 0-2 R-4b); - R2 + R-2a = 5-6 membered saturated (partially optionally saturated ring (optionally substituted with 0-2 R-4b) 0-1 additional heteroatoms of N, O or S(0)p; - R-2b = CF3, 1-4C alkoxy (optionally substituted with 0-2 R-4b), 1-6C alkyl (optionally substituted with 0-2 R-4b), -(CH2)r-3-10C carbocycle substituted with 0-2 R-4b) or -(CH2)r-5-10membered heterocycle of C atoms or 1-4 heteroatoms of N, O or S(O)p or substituted with 0-2 R-4b; - R-2c = CF3, OH, 1-4C alkoxy, 1-6C alkyl, -(CH2)r-3-10C carbocycle (optionally substituted with 0-2 R-4b), or -(CH2)r-5-10 membered heterocycle 1-4 heteroatoms of N, O or S(O)p ,(optionally substituted with 0-2 R4b); - R3 = H, CH3, CH2CH3, CH2CH2CH3, CH(CH3)2, CH2CH(CH3)2, CH(CH3)CH2CH3, C(CH3)3, benzyl or phenyl; -R-3a = H, CH3, CH2CH3, CH2CH2CH3, CH(CH3)2, CH2CH(CH3)2, CH(CH3)CH2CH3, C(CH3)3, benzyl, or phenyl; - NR3R-3a = 5 or 6 membered saturated, partially unsaturated, or unsaturated ring of C atoms, N atom, R3, R-3a or 0-1 additional heteroatoms of N,O or S(0)p; - R-3b = H,1-6C alkyl (optionally substituted with 0-2 R-la, 2-6C alkenyl substituted with 0-2 R-la, 2-6C alkynyl substituted with 0-2 R-la, -(0-4C alkyl)-5-10 membered carbocycle substituted with 0-3 R-la or -(0-4C alkyl)-5-10 membered heterocycle substituted with 0-3 R-1a consisting of C atoms or 1-4 heteroatoms of N, O or S(0)p; - R-3c = CH3, CH2CH3, CH2CH2CH3, CH(CH3)2, CH2CH2CH2CH3, CH2CH(CH3)2, CH(CH3)CH2CH3, C(CH3)3, benzyl or phenyl; R3d, = H, CH3, CH2CH3, CH2CH2CH3, CH(CH3)2, , CH2CH2CH2CH3, CH2CH(CH3)2, CH(CH3)CH2CH3, 1-4Calkyl-phenylor C(=0)R-3c; - R-3e = H, SO2NHR3 , SO2NR3R3, C(O)R3, C(O)NHR3, C(O)OR-3f, S(O)R-3f, S(O)2R-3f, 1-6C alkyl (all optionally substituted with 0-2 R-1a, 2-6C alkenyl substituted with 0-2 R-1a, 2-6C alkynyl substituted with 0-2 R-1a, -(0-4C alkyl)-5-10 membered carbocycle substituted with 0-3 R-1a, -(0-4C alkyl)-5-10 membered heterocycle substituted with 0-3 R-1a or consisting of C atoms or 1-4 heteroatoms of N, O, and S(0)p; - R-3f = R-3e; - R4 = H, =0, (CR3R-3a)rOR2, F, Cl, Br, I,1-4C alkyl, (CR3R-3a)rCN, (CR3R-3a)rNO2, (CR3R-3a)rNR2R-2a, (CR3R-3a)rC(0)R-2c, (CR3R3a)rNR2C(0)R-2b, (CR3R-3a)rC(O)NR2R-2a, (CR3R-3a)rNR2C(O)NR2R-2a, (CR3R-3a)rC(=NR2)NR2R-2a, (CR3R-3a)rC(=NS(O)2R5)NR2R-2a, (CR3R-3a)rNHC(=NR2)NR2R-2a, (CR3R-3a)rC(O)NHC(=NR2)NR2R-2a, (CR3R-3a)rSO2NR2R-2a, (CR3R-3a)rNR2SO2NR2R-2a, (CR3R-3a)rNR2SO2-1-4C alkyl, (CR3R-3a)rNR2SO2R5, (CR3R-3a)rS(O)pR-3a, (CR3R-3a)r(CF2)rCF3, NHCH2R-1b, OCH2R-1c, SCH2R-1c, NH(CH2)2(CH2)tR-1b, O(CH2)2(CH2)tR-1b, S(CH2)2(CH2)tR-1b, (CR3R-3a)r-5-6 membered carbocycle substituted with 0-1 R5 or (CR3R-3a)r-5-6 membered heterocycle consisting of C or 1-4 heteroatoms of N, O or S(O)p or substituted with 0-1 R5; -R-4a = H, -O, (CR3R-3a)rOR2, (CR3R-3a)rF, (CR3R-3a)rBr, (CR3R-3a)rCl, 1-4C (CR3R-3a)rNR2R-2a alkyl, (CR3R-3a)rCN, (CR3R-3a)rN(CR3R-3a)rC(O)R 2R-2a (CR3R-3a)rNR-2C(0)R-2b, (CR3R-3a)rC(0)NR2R-2a, (CR3R-3a)rN=CHOR3, (CR3R-3a)rC(O)NH(CH2)2NR2R-2a, (CR3R-3a)rNR-2C(O)NR2R-2a, (CR3R-3a)rC(=NR2)NR2R-2a, (CR3R-3a)rNHC(=NR2)NR2R-2a, (CR3R-3a)rSO2NR2R-2a, (CR3R-3a)rNR2SO2NR2R-2a, (CR3R-3a)rNR2SO2-1-4C alkyl, (CR3R-3a)rC(O)NHSO2-(CR3R-3a)NR2SO2R5, 1-4C alkyl, (CR3R-3a)rS(O)pR-3a, (CR3R-3a)r(CF2)rCF3, (CR3R-3a)r-5-6 membered carbocycle substituted with 0-1 R5, or (CR3R-3a)r-5-6 membered heterocycle consisting of C atoms or 1-4 heteroatoms of N, O or S(O)p, or substituted with 0-1 R5; - R-4b = H, =O, (CH2)rOR3, (CH2)rF, (CH2)rCl, (CH2)rBr, (CH2)rI, 1-4C alkyl, (CH2)rCN, (CH2)rNO2, (CH2)rNR3R-3a, (CH2)rC(O)R3, (CH2)rC(O)OR-3c, (CH2)rNR-3C(O)R-3a, (CH2)r-C(O)NR3R-3a, (CH2)rNR-3C(O)NR3R-3a, (CH2)r-C(=NR3)NR3R-3a, (CH2)rNR-3C(=NR3)NR3R-3a, (CH2)rSO2NR3R-3a,

(CH2)rNR3SO2NR3R-3a, (CH2)rNR3SO2,1-4C alkyl, (CH2)rNR3SO2-phenyl, (CH2)rNR3SO2CF3, (CH2)rS(0)pCF3, 1-4C alkyl, (CH2)rS(0)p-phenyl or (CH2)r(CF2)rCF3; - R-4c = H, 1-4C alkyl (CR3R-3a)r1R2, (CR3R-3a)r1F, (CR3R-3a)r1Br, (CR3R-3a)r1Cl, (CR3R-3a)r1CN, (CR3R-3a)r1NO2, (CR3R-3a)r1NOR-a) r1NR2R-2a, (CR3R-3a) rC(O) R-2c, (CR3R-3a) r1NR2C(O) R-2b, (CR3R-3a)rC(O)NR2R-2a, (CR3R-3a)r1N=CHOR3, (CR3R-3a)rC(O)NH(CH2)2NR2R-2a, (CR3R-3a)r1NR2C(O)NR2R-2a, (CR3R-3a)r1 C(=NR2) NR2R-2a, (CR3R-3a)rNHC(=NR2)NR2R-2a, (CR3R-3a)rSO2NR2R-2a, (CR3R-3a)rNR2SO2NR2R-2a alkyl, (CR3R-3a)rNR2SO2-(CR3R-3a)rC(O)NHSO2-((CR3R-3a)rNR2SO2R5, (CR3R-3a)rS(0)pR-5a, (CR3R-3a)r(CF2)rCF3, (CR3R-3a)r-5-6 membered carbocycle substituted with 0-1 R5 or CR3R-3a)r-5-6 membered heterocycle consisting of C atoms and 1-4 heteroatoms of N, O or S(O)p and substituted with 0-1 R5; - R5 = H, 1-6C alkyl, =0, (CH2)rOR3, F, Cl, Br, I, -CN, NO2, (CH2)rNR3R-3a, (CH2)rC(0)R3, (CH2)rC(0)OR3C, (CH2)rNR3C(0)R-3a, (CH2)rC(0)NR3R-3a, (CH2)rNR3C(0)NR3R-3a, (CH2)rCH(=NOR-3a), (CH2)rC(=NR3)NR3R-3a, (CH2)rNR3C(=NR3)NR3R-3a, (CH2)rSO2NR3R-3a,(CH2)rNR3SO2NR3R-3a, (CH2)rNR3SO2-1-4C alkyl, (CH2)rNR3SO2CF3, (CH2) rNR3SO2-phenyl, (CH2) rS(0) pCF3, (CH2) S(0) p-alkyl, (CH2) rS(0) p-phenyl, (CF2)rCF3 or phenyl, naphthyl or benzyl (all substituted with 0-2 R6); -R-5a = 1-6C alkyl, (CH2) rOR3, (CH2) rNR3R-3a, (CH2) rC(O) R3, (CH2) rC(O) OR3C,(CH2)rNR3C(O)R-3a, (CH2)rC(O)NR3R-3a, (CF2)rCF3 or phenyl, naphthyl or benzyl (all substituted with 0-2 R6) (provided that R-5a does not form a S-N or S(O)p-C(O) bond); - R6 = H, OH, (CH2)rOR2, halo, 1-4C alkyl, CN, NO2, (CH2)rNR2R-2a, (CH2)rC(O)R-2b, NR2C(O)R-2b, NR2C(O)NR2R-2a; C(=NH)NH2, NHC(=NH)NH2, SO2NR2R-2a, NR2SO2NR2R-2a or NR2SO2 (1-4C) alkyl; - R7 = H, OH, 1-6C alkyl, 1-6C alkyl-C(O)-, 1-6C alkyl-O-, (CH2)n-phenyl, 1-4C alkyl-OC(0)-, 6-10C aryl-O-, 6-10C aryl-OC(0)-, 6-10C aryl-CH2-C(0)-, 1-4C alkyl-C(0)0-1-4C alkyl-OC(0)-, 6-10C aryl-C(0)0-1-6C alkyl-OC(0)-, 1-6C alkyl-NH2-C(0)-, phenyl-NH2-C(0)- or phenyl-1-4C alkyl-C(0)-; - R8 = H, 1-6C alkyl or (CH2)n-phenyl (alternatively NR7R8 form a 5-10 membered heterocyclic ring consisting of C atoms and 0-2 additional heteroatoms of N, O or S(0)p; - R9 = H, 1-6C alkyl or (CH2)n-phenyl; - n = 0-3; - p = 0-2; - r = 0-6; and - t = 0-3. - Provided that when ring M is phenyl and is substituted 1,2 by M4 and P4 and G1 is present, then Z-A is other than NHC(O)-thienyl, NHCH2-thienyl, NHC(O)-benzothienyl or NHCH2-benzothienyl; and B1 is 2-oxo-1-pyrrolidinyl and rings P-M are 1,7-dihydro-2-methyl-6Hpurin-6-one, then G-G1 is other then unsubstituted phenyl. Preferred Definitions: - A = indolinyl, phenyl, 2-pyridyl, 3-pyridyl, 2-pyrimidyl, 2-Cl-phenyl, 3-Cl-phenyl, 2-Fphenyl, 3-F-phenyl, 2-methylphenyl, 2-aminophenyl or 2-methoxyphenyl; - G = 59 heteroaryl compounds e.g. anisol-4-yl, phenylamin-3-yl, chlorobenzen-3-yl, 2-chloro anilin-5-yl or benzamid-2-yl; - A-B = 1-(3-fluoro-4-yl-phenyl)-1H-pyridin-2-one; - R-2a = H, CH3 or CH2CH3; - R-2b = CF3, 1-4C alkoxy, CH3, CH2CH3, CH2CH2CH3, CH(CH3)2, CH2CH2CH2CH3, CH2CH(CH3)2, CH(CH3)CH2CH3, C(CH3)3 or benzyl; -R-2c = OH, OCH3, OCH2CH3, CH3 or CH2CH3; and - R3, R-3a, R-3c = H, CH3, CH2CH2, CH2CH2CH2CH3, CH(CH3)2, benzyl or phenyl. ADMINISTRATION - Administration of (I) is oral (0.001-1000 (preferably 1-20) mg/kg/day), intravenous (1-10 mg/kg/min), intranasal, transdermal or topical. SPECIFIC COMPOUNDS - 199 Compounds (I) are specifically claimed e.g. 1-(3-amino-1,2-benzisoxazol-5-yl)-5-((5-(2-oxo-1-piperidinyl)-2,3-dihydro-1H-indol-1-yl)carbonyl)-1Hpyrazole-3-carboxamide (Ia). EXAMPLE - 1-(3-Chloro-4-fluorophenyl)-6-(4-iodophenyl)-3-(trifluoromethyl)-1,4,5,6-tetrahydro-7H-pyrazolo(3,4-c)pyridine-7-one (0.54 g), 5-valerolactam (0.12 g), 1,2-diaminocyclohexane (11.4 mg), potassium phosphate (0.42 g) and cuprous iodide (2 mg) were added to 1,4-dioxane (5 ml). The mixture was degassed under argon and stirred at 110degreesC under nitrogen gas for 48 hours. The mixture was then cooled to room temperature. The mixture was worked up to give 1-(3-chloro-4-fluorophenyl)-6-(4-(2-oxo-1-piperidinyl)

The second second

phenyl) -3-(trifluoromethyl) -1,4,5,6-tetrahydro-7H-pyrazolo(3,4-c)pyridine-7-one (80%).

AN.S DCR-1209418

CN.S 5-Chloro-1-{2-[4-(2-oxo-2H-pyridin-1-yl)-benzoylamino]-cyclohexyl}-1Hindole-2-carboxylic acid amide

SDCN RAKNAV

L161 ANSWER 15 OF 17 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER:

WPIX 2005-344282 [35]

DOC. NO. CPI:

C2005-106588 [35]

DOC. NO. NON-CPI:

N2005-281254 [35]

TITLE:

New combinatorial library (comprising a library comprising a plurality of different pyrrolocarboxylic amide derivatives) useful for screening pharmacological

activity

DERWENT CLASS:

B02; B04; S03

INVENTOR:

CAI J; GOODNOW R A

PATENT ASSIGNEE:

(CAIJ-I) CAI J; (GOOD-I) GOODNOW R A; (HOFF-C) HOFFMANN

LA ROCHE & CO AG F

COUNTRY COUNT:

106

PATENT INFORMATION:

PATENT NO	KIND DATE	WEEK L	A PG	MAIN IPC
US 20050089936		(200535) * E		G01N033-53 C07D209-04

PATENT NO KIND APPLICATION

US 20050089936 Al Provisional US 2003-513785P 20031023 US 20050089936 Al US 2004-957161 20041001 WO 2005040111 A2 WO 2004-EP11468 20041013 WO 2005040111 A2

PRIORITY APPLN. INFO: US 2004-957161 20041001 US 2003-513785P 20031023

INT. PATENT CLASSIF.:

MAIN: C07D209-04; G01N033-53 NDARY: A61K031-405; A61K031-4745; C07D471-02 SECONDARY:

BASIC ABSTRACT:

US 20050089936 A1 UPAB: 20051222

NOVELTY - Combinatorial library (comprising a library (A) comprising a plurality of different pyrrolocarboxylic amide derivatives (I)) is new.

DETAILED DESCRIPTION - Combinatorial library (comprising a library (A) comprising a plurality of different pyrrolocarboxylic amide derivatives of formula (I)) is new.

P1 = a fused ring substituent, which is an aromatic ring, a heteroaromatic ring or a cycloaliphatic ring (all optionally substituted);

R1, R2 = H, 1-7C alkyl, 2-7C alkenyl, 3-7C alkynyl, mono or bi-cycloaliphatic ring where each ring contains 3-7C, aryl system containing 1-3 fused aromatic rings, heterocycloaliphatic system containing 1-2 fused rings where each ring contains 3-6C with 1-2 hetero atoms (O, S or N), or monocyclic or bicyclic heteroaryl rings each containing 3-6C with 1-4 hetero atoms (N, S or O);

R3 = a ring-containing substituent, which may be an aromatic ring, a heteroaromatic ring or a cycloaliphatic ring (all optionally substituted).

Provided that when the hetero atom is S or O, there are 1-2 hetero atoms in the ring and when the hetero atoms is N, there are 1-4 N atoms in the ring; the hetero ring in the heterocycloaliphatic ring or monocyclic or bicyclic heteroaryl rings can be condensed with an aryl or cycloaliphatic ring; and any of the (hetero)aryl, cycloaliphatic or heteroaliphatic rings in the cycloaliphatic, (hetero)aryl or heteroaliphatic substituents may be connected to (I) by a 1-7C alkylene chain.

INDEPENDENT CLAIMS are also included for preparations of (I). USE - (I) is useful for screening pharmacological activity, assay the biological activity of compounds and to perform the structural analysis of compounds.

MANUAL CODE: CPI: B06-D05; B06-D08; B06-E03; B06-F03; B11-C01A1 EPI: S03-E09F; S03-E14A1

TECH ORGANIC CHEMISTRY - Preparation (claimed): Preparation of (I) comprises immobilizing on a solid support an amine of formula R2NH2 to give an immobilized amine of formula T-NHR2; coupling the immobilized amine to an organic acid of formula (1) to give an immobilized amide of formula (2); reacting (2) with a halide of the formula R1Hal (where Hal is halide) to give a protected indole of formula (3), or otherwise protecting the amino group; reacting (3) with a boronic acid of the formula R110-B(R120)-R3 to give immobilized (I); and cleaving the immobilized (I) and (Ia) from the solid support.

T = solid support;

P1, R2 = as defined above;

R13 = leaving group (preferably iodo);

R1 = as above, or an amino protecting group; and either

R11, R12 = lower alkyl; or

R11 and R12 together = a lower alkylene bridge between the 2 O atoms. Preferred Components: (A) contains at least 200-10000 different compounds having the structure of (I). (A) is randomized and (I) is immobilized on a solid support.

Preferred Process: The reaction is carried out by a Suzuki reaction. ABEX EXAMPLE - To 100 resin segregation devices (each containing Wang Resin HL, 88 micromol equivalent/device) in dimethylformaldehyde (120 ml) was added 3-iodo-1H-indole-2-carboxylic acid (44 mmol), 0-(7-azabenzotriazol-1yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (44 mmol) and isopropyl ethyl amine (44 mmol). The suspension was shaken overnight at room temperature under an atmosphere of argon. The solvent was filtered and the resin segregation devices were washed 4 times with each of dimethylformaldehyde, methanol, methylene chloride and hexanes. The resin segregation devices were dried under vacuum overnight at room temperature. This washing and drying process was performed after each stage of the reaction. The resin segregation devices were suspended in dimethylformaldehyde (120 ml), tert-butoxycarbonyl anhydride (50.5 ml), 4-(dimethylamino)pyridine (5.38 g) and triethylamine (62 ml, 0.44 mol). To 10 resin segregation devices (0.88 mmol total equivalence) in 10 ml 1,2-dimethoxyethane was added tetrakis(triphenylphosphine)palladium (0) (0.15 g), followed by shaking for 15 minutes. Phenyl boronic acid (4.4 mmol) and sodium carbonate (2 ml) were added to the solution. The suspension was heated at 90degreesC. The solvent was filtered off and the resin segregation devices were washed and were sorted into single cleavage wells and taken into the cleavage using trifluoroacetic acid in dichloromethane at room temperature for 2 hours. The reaction mixture was worked up to give crude 3-phenyl-1H-indole-2-carboxylic acid benzylamides.

AN.S DCR-1067992

CN.S 1-Benzyl-5-chloro-3-phenyl-1H-indole-2-carboxylic acid benzylamide SDCN RAHOVW

L161 ANSWER 16 OF 17 WPIX COPYRIGHT 2006
ACCESSION NUMBER: 2005-114567 [13] WPIX

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5/2.16 Shian 10/849,089 31 0:10/849,034 --10/26/2006

DOC. NO. CPI:

C2005-038578 [13]

TITLE:

89 Str - //m

New piperazine and tetrahydropyridine derivatives are tubulin polymerization inhibitors used for treating cancer and disaggregating cell masses derived from

vascular tissue

DERWENT CLASS:

B02

INVENTOR:

LE BRUN A; LE-BRUN A; MAILLIET P; THOMPSON F; TIRABOSCHI

G

PATENT ASSIGNEE:

(AVET-C) AVENTIS PHARMA; (AVET-C) AVENTIS PHARMA SA

COUNTRY COUNT: 106

PATENT INFORMATION:

PAT	TENT NO	KINI	DATE	WEEK	LA	PG	MAIN IPC
	2857966 20050020593		20050128 20050127	(200513)* (200513)	FR EN	31[0]	
WO	2005009947		20050203	,	FR		
	2006000479 2004259112		20060401 20050203		ES EN		A61K031-33
	2004259112		20050203	,	PT		C07D209-42

APPLICATION DETAILS:

PATENT NO KIND	APPLICATION DATE
FR 2857966 A1 US 20050020593 A1 Provisional AU 2004259112 A1 WO 2005009947 A2 MX 2006000479 A1 US 20050020593 A1	FR 2003-9092 20030724 US 2003-505184P 20030923 AU 2004-259112 20040722 WO 2004-FR1944 20040722 WO 2004-FR1944 20040722 US 2004-898517 20040723
MX 2006000479 A1 BR 2004012254 A	MX 2006-479 20060111 BR 2004-12254 20040722
BR 2004012254 A BR 2004012254 A	BR 2004-12254 20040722 WO 2004-FR1944 20040722
DK 2004012234 A	WO 2004-FRIJ44 20040722

FILING DETAILS:

PA	TENT NO	KIND		PATENT NO
ΑU	2006000479 2004259112	A1 A1	Based on Based on	WO 2005009947 A WO 2005009947 A
BR	2004012254	Α	Based on	WO 2005009947 A

PRIORITY APPLN. INFO: FR 2003-9092 20030724

INT. PATENT CLASSIF.:

MAIN: A61K031-33; C07D209-42

SECONDARY: A61P043-00; C07D; C07D209-00; C07D221-00; C07D231-56;

C07D333-68; C07D471-04

IPC ORIGINAL: A61K0031-33 [I,A]; A61P0043-00 [I,A]; C07D0209-00 [I,A];

C07D0209-42 [I,A]; C07D0221-00 [I,A]; C07D0231-56 [I,A];

C07D0333-68 [I,A]; C07D0471-04 [I,A]

IPC RECLASSIF.: A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-519

[I,C]; A61K0031-52 [I,A]; A61K0031-53 [I,A]; A61K0031-53 [I,C]; C07D0209-00 [I,C]; C07D0209-42 [I,A]; C07D0231-00 [I,C]; C07D0231-56 [I,A]; C07D0333-00 [I,C]; C07D0333-68

[I,A]; C07D0471-00 [I,C]; C07D0471-04 [I,A]

BASIC ABSTRACT:

FR 2857966 A1 UPAB: 20060121

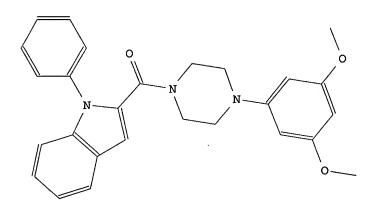
NOVELTY - Piperazine and tetrahydropyridine derivatives (I) and

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(II), are new.
            DETAILED DESCRIPTION - Piperazine and tetrahydropyridine
     derivatives of formula (I) and (II), their racemates, enriched in one
     enantiomer or diastereoisomer, tautomers, prodrugs and salts, are new,
     excluding compounds of formula (III).
            A, B', U', V', W', X, Y = nitrogen or carbon;
            L-G-R1 = a group of formula (i) or (ii);
             E = CR4, N, NR4 or S;
            R1, R2 = aryl or heteroaryl (both optionally substituted);
            L = CO, CS or C(=NR7);
            R3 = halo, trifluoromethyl, cyano, nitro, 1-3C alkyl, 1-3C
     alkenyl, 1-3C alkynyl, OR7, SR7, SOR7, SO2R7, NR7R8, COOR7, CONR7R8,
     SO2NR7R8, NR7COR8 or NR7SO2(1-3C)alkyl;
            n = 0-3;
             R4-R6 = H \text{ or } 1-3C \text{ alkyl};
             R7, R8 = H or optionally substituted 1-3C alkyl;
             R1a = optionally substituted 2-pyridyl or its N-oxide;
             R2a = 2-thienyl, 2-, 3- or 4-pyridyl or their N-oxides, phenyl
      (optionally substituted by at least one fluoro, hydroxy, methyl,
      trifluoromethyl, methoxy or nitro;
             R4a = methyl, ethyl or 2-fluoroethyl, and
             T, U1 = H, methyl, chloro or fluoro, or
             R1a = 3 - or 4 - pyridyl;
             R2a = 2-thienyl or phenyl;
             R4a = methyl or 2-fluoroethyl, and
             T, U1 = H, methyl, chloro or fluoro,
             provided that when n = 2, X and Y are not both substituted by R3.
             ACTIVITY - Cytostatic.
             MECHANISM OF ACTION - Tubulin polymerization inhibitor.
             In an in vitro test using pig brain, results showed that.
      (4-(3-chlorophenyl)piperazin-1-yl)(1-phenyl-1H-indol-2-yl)methanone (Ia)
      exhibited an IC50 value of 0.8 micro-M for inhibition of tubulin.
             USE - Used to treat cancer and to promote disaggregation of a mass
      of cells derived from vascular tissue.
                     CPI: B06-H; B14-H01
MANUAL CODE:
TECH ORGANIC CHEMISTRY - Preparation: Preparation of (I) comprises e.g.
     reacting a piperazine compound of formula (IV) with an acid compound of
     formula (V) to give (I: L-G-R1 = (i); L = CO).
     Preparation of (II) comprises e.g. reacting (IV) with an acid compound of
     formula (VI) to give (II: L-G-R1 = (i); L = CO).
ABEX EXAMPLE - A solution of 1-phenylindole-2-carboxylic acid (0.5 g) in
     dichloromethane (DCM; 10 ml) was treated, under argon, with oxalyl chloride
     (217 mul) and a few drops of dimethylformamide, and stirred for 2 hours at
     room temperature. The reaction mixture was added dropwise to a solution,
     at OdegreesC and under argon, of 1-(3-chlorophenyl)piperazine (431 mg) in
     DCM (5 ml), containing triethylamine (355 mul). After 20 hours stirring at
     room temperature, water (20 ml) was added, and the organic phase was
     decanted, washed with water, dried and concentrated under reduced
     pressure. The residue was purified by recrystallization from 20:80
     methanol:ethanol to give (4-(3-chlorophenyl)piperazin-1-yl)(1-phenyl-1H-
     indol-2-yl)methanone (Ia) (400 mg), m. pt 168degreesC.
AN.S DCR-1025128
CN.S 3-[4-(1-Phenyl-1H-indole-2-carbonyl)-piperazin-1-yl]-benzamide
SDCN RAGSRR
```

AN.S DCR-1025127

CN.S [4-(3,5-Dimethoxy-phenyl)-piperazin-1-yl]-(1-phenyl-1H-indol-2-yl)-methanone

SDCN RAGSRQ



AN.S DCR-1025126

CN.S [4-(3,5-Dimethoxy-phenyl)-piperazin-1-yl]-(5-methoxy-1-phenyl-1H-indol-2-yl)-methanone

SDCN RAGSRP

AN.S DCR-1025125

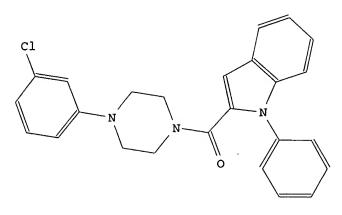
CN.S [4-(3-Chloro-phenyl)-piperazin-1-yl]-(5-methoxy-1-phenyl-1H-indol-2-yl)methanone

SDCN RAGSRO

AN.S DCR-1025118

CN.S [4-(3-Chloro-phenyl)-piperazin-1-yl]-(1-phenyl-1H-indol-2-yl)-methanone

SDCN RAGSRH



L161 ANSWER 17 OF 17 WPIX COPYRIGHT 2006

THE THOMSON CORP on STN

ACCESSION NUMBER:

2000-023259 [02] WPIX

DOC. NO. CPI:

C2000-005636 [02]

TITLE:

Compositions for treating e.g. cardiac disorders, renal

disorders and central nervous system disorders

DERWENT CLASS:

INVENTOR:

NISATO D

PATENT ASSIGNEE:

(SNFI-C) SANOFI SA; (SNFI-C) SANOFI-SYNTHELABO

COUNTRY COUNT:

PATENT INFORMATION:

PA	TENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC	
WO	9955340	A1	19991104	(200002)*	FR	20[0]	A61K031-535	<
FR	2778103	A1	19991105	(200002)	FR		A61K031-41	<
ΑIJ	9934259	A	19991116	(200015)	EN			<i></i>

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION DATE
WO 9955340 A		WO 1999-FR959 19990422
FR 2778103 A		FR 1998-5591 19980429
AU 9934259 A		AU 1999-34259 19990422

FILING DETAILS:

PATENT NO	KIND	PATENT NO
ATT 9934259 A	Raced on	WO 0055340 A

PRIORITY APPLN. INFO: FR 1998-5591 19980429

INT. PATENT CLASSIF.:

MAIN:

A61K031-41; A61K031-535

INDEX:

A61K031:40

BASIC ABSTRACT:

WO 1999055340 A1 UPAB: 20050705

NOVELTY - Compositions comprise an association of arginine-vasopressin Vla receptor antagonist (A) and an angiotensin II AT1 receptor antagonist (B).

DETAILED DESCRIPTION - (A) may be any arginine-vasopressin Vla receptor antagonist compound described e.g. in US5612334, WO9622282,

4.5

WO9622294, EP469984, EP450097, JP8143565 or JP8059624. (B) may be any known angiotensin II AT1 receptor antagonist described in e.g. EP28834, EP253310, EP324377, US4207324, US4340598, US4576958, WO9114679, WO9117148, or WO9220662.

ACTIVITY - Cardiant; hypotensive; antiarrhythmic; cerebroprotective; antidiabetic; anorectic; nootropic; neuroprotective.

Spontaneously hypertensive rats were treated with an angiotensin (II) antagonist and SR 49059, alone or in combination. Measurement or arterial pressure and cardiac frequency showed that the angiotensin (II) antagonist decreased the arterial pressure and this effect was potentiated by the presence of SR 49059, which had no effect on arterial pressure when administered alone.

MECHANISM OF ACTION - Arginine-vasopressin Vla receptor antagonist and angiotensin II AT1 receptor antagonist.

USE - Treatment of cardiac disorders, especially hypertension, cardiac enfeeblement, venous insufficiency, cardiac ischemia, dilative cardiomyopathia, prevention of death following infarction, and arrhythmias, renal disorders such as nephropathias, central nervous system disorders such as cerebral ischemia, dementia, especially associated with Alzheimer's, memory loss, treatment of diabetes and obesity, sexual disorders, especially loss of erectile function.

ADVANTAGE - There is synergism between (I) and (II), allowing lower doses to be given without loss of therapeutic effect.

MANUAL CODE: CPI: B06-H; B07-H; B14-E12; B14-F01A; B14-F01B; B14-F01C; B14-F02B; B14-F02D1; B14-F02D2; B14-J01A4; B14-S04

ABEX ADMINISTRATION - The compositions preferably contain a unit dosage of 2.5-1000 (especially 2.5-250) mg (A) and 0.5-500 (especially 1-300) mg (B) (claimed). The composition may be formulated for oral, sublingual, inhaled, subcutaneous, intramuscular, intravenous, transdermal, local or rectal administration.

SPECIFIC MATERIALS - (A) is preferably (2S)-1-((2R,3S)-5-chloro-3-(2-chlorophenyl)-1-(3,4-dimethoxy benzene sulfonyl)-3-hydroxy-2,3-dihydro-1H-indole-2-carbonyl)pyrrolidine-2-carboxamide (SR 49059) (described in EP526348). (B) is preferably irbesartan, losartan, pomisartan, saprisartan, valsartan, telmisartan, candesartan, eprosartan, tasosartan, or embusartan, with irbesartan being particularly preferred. Use of the combination of SR49059 and irbesartan is specifically claimed.

EXAMPLE - Capsules were prepared containing micronized SR49059 (25mg), irbesartan (75mg), lactose monohydrate (252.35mg), modified corn starch (57.77mg), colloidal silica (2.13mg), magnesium stearate (4.25mg), and talc (8.5mg).

AN.S DCR-245258

CN.P SR-49059

CN.S 1-[5-Chloro-1-(3,4-dimethoxy-benzenesulfonyl)-3-hydroxy-3-phenyl-2,3-dihydro-1H-indole-2-carbonyl]-pyrrolidine-2-carboxylic acid amide

SDCN RAOXZP

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FILE COVERS 1907 - 25 Oct 2006 VOL 145 ISS 18 FILE LAST UPDATED: 24 Oct 2006 (20061024/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 179 not 151 L162 0 L79 NOT L51

=> d que 1162

L1 STR

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VAR G3=C/N

VAR G4=C/N

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CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

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L32 STR

1.77 Lague

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0-√Cy @21 22

VAR G1=C/N

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VAR G4=C/N

VAR G5=14/15/17/19/21

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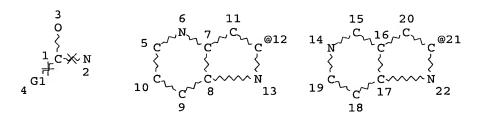
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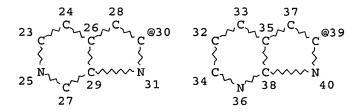
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VAR G1=12/21/30/39

NODE ATTRIBUTES:

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CONNECT IS E1 RC AT 3
DEFAULT MLEVEL IS ATOM
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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

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L79		SEA FILE=HCAPLUS ABB=ON PLU=ON US2004-849089/APPS
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=> file stnguide

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 25, 2006 (20061025/UP).

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=> dup rem 152 184 1115

DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'.

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=> fil chemcats FILE 'CHEMCATS' ENTERED AT 16:26:36 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

ANSWER '7' FROM FILE USPATFULL ANSWERS '8-19' FROM FILE CHEMCATS

FILE LAST UPDATED 21 OCTOBER 2006 (20061021/UP)

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L163 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER:

2006:468246 HCAPLUS

DOCUMENT NUMBER:

144:488656

TITLE:

Preparation of 1H-imidazo[4,5-b]pyridine-2-

carboxamides and related compounds as D1 dopamine

receptor inhibitors

INVENTOR(S):

Gmeiner, Peter; Schlotter, Karin; Huebner, Harald; Schmidt, Dirk; Buchholz, Monika

Schwarz Pharma A.-G., Germany

PATENT ASSIGNEE(S):

PCT Int. Appl., 82 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE			APPLICATION NO.						DATE		
 WO	2006	 0509'	 76		A1	A1 20060518			WO 2005-EP12127					20051111				
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							NA,											
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PRIORITY APPLN. INFO.:										DE 2	004-	1020	0405	4634	A 2	0041	112	
OTHER S	OURCE	(S):			MAR	PAT	144:	4886	56									

ED

Entered STN: 19 May 2006
Title compds. I [A = aromatic 6-membered ring with provisos; B = aromatic AB 5-membered ring with provisos; Q1 = N, S, O, etc.; Q2 = CH, CR1, etc.; Q3 = N, CN, CR1; R1 = OH, alkyl, alkyloxy, etc.] and their pharmaceutically acceptable salts were prepared For example, coupling of amine II and 3H-imidazo[4,5-b]pyridine-2-carboxylic acid afforded claimed imidazolpyridinylcarboxamide III in 36% yield. In D1 dopamine receptor inhibition assays, 4-examples of compds. I exhibited Ki values ranging from 440-1500 nM.

RETABLE

Referenced Author (RAU)	Year (RPY)		PG (RPG)	Referenced Work	Referenced File
	_	+=====			
American Home Products	1989			EP 0343961 A	HCAPLUS
Berg, S	2006	ļ		WO 2006001754 A	HCAPLUS
Bradley, S	2004	Ì		WO 2004104001 A	HCAPLUS
Curtis, N	1999	9	585	BIOORGANIC & MEDICIN	HCAPLUS
Fabrica Espanola de Pro	1992	İ		EP 0496692 A	HCAPLUS
Gov'T Of The U S A	2004	Ì		WO 2004024878 A	HCAPLUS
Ikeda, J	2005	İ		EP 1552836 A	HCAPLUS
Merck Sharp & Dohme Ltd	1994	1		EP 0623618 A	HCAPLUS

89

WO 03028728 A

HCAPLUS

887307-67-7P 887307-70-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazolpyridinylcarboxamides and related compds. as D1 dopamine receptor inhibitors)

RN 887307-43-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 887307-45-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[4-[4-(2,3-dichlorophenyl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 887307-63-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[4-[4-(2,3-dihydro-7-benzofuranyl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 887307-67-7 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[4-[4-(3,4-dihydro-2H-1-benzopyran-8-yl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 887307-70-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 1-(phenylsulfonyl)-N-[4-[4-(2,3,4,5-tetrahydro-1-benzoxepin-9-yl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, CHEMCATS' - CONTINUE? (Y)/N:y

L163 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2006:76452 HCAPLUS

DOCUMENT NUMBER: 144:170972

TITLE: Preparation of octahydropyrrolo[2,3-c]pyridines as

inhibitors of matrix metalloproteinase

INVENTOR(S): Swinnen, Dominique; Bombrun, Agnes

PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth.

Antilles

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIN	D DATE		APPLICA	ATION 1	. O <i>l</i>		DA	ATE	
		-								
. WO 2006008303	A1	20060	126	WO 200	5-EP53	501		20	0507	720
W: AE, AG,	AL, AM,	AT, AU,	AZ, BA,	BB, BO	G, BR,	BW,	BY,	ΒZ,	CA,	CH,
CN, CO,	CR, CU,	CZ, DE,	DK, DM,	DZ, E	C, EE,	EG,	ES,	FI,	GB,	GD,
		HU, ID,								
		LT, LU,								
NG, NI,	NO, NZ,	OM, PG,	PH, PL,	PT, RO	o, RU,	SC,	SD,	SE,	SG,	SK,

SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

EP 2004-103483 A 20040721 US 2004-589621P P 20040721

CASREACT 144:170972; MARPAT 144:170972 OTHER SOURCE(S):

. 196 .

Entered STN: 27 Jan 2006 ED

The title octahydropyrrolo[2,3-c]pyridine derivs. I [wherein R1 = AB (hetero)aryl or (hetero)cycloalkyl; R2 = H, SO2, alkyl, alkenyl, alkynyl, acyl, etc.; R3-R6 = independently H, halo, or alkyl], or isomers, enantiomers, diastereomers, racemates, or pharmaceutically acceptable salts thereof were prepared as inhibitors of matrix metalloproteinase (MMP). For example, racemic compound II was prepared in a multi-step synthesis. II showed inhibitory activity against MMP-2, MMP-9, and MMP-12 with IC50 of 0.05, 0.041, and 0.05 $\mu M,$ resp. The compds. are useful for the prophylaxis and/or treatment of autoimmune disorders, cancer, inflammation, cardiovascular diseases, neurodegenerative diseases, respiratory diseases, or fibrosis, including multiple sclerosis, arthritis, emphysema, chronic obstructive pulmonary disease, liver, and pulmonary fibrosis (no data). Formulations containing I as active ingredients. were described.

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)		Referenced Work (RWK)	Referenced File
American Cyanamid Co	2000	+====- 		+=====================================	HCAPLUS
Amin, E	2001	44	3849	JOURNAL OF MEDICINAL	HCAPLUS
Bristol Myers Squibb Co	2003			WO 03016248 A	HCAPLUS
de Nanteuil, G	2002			WO 02070521 A	HCAPLUS
				_	

874306-79-3P 874306-80-6P 874306-81-7P IT 874306-82-8P 874306-83-9P 874306-84-0P 874306-85-1P 874306-86-2P 874306-87-3P 874306-88-4P 874306-89-5P 874306-90-8P

874306-91-9P 874306-92-0P 874306-93-1P

874306-94-2P 874306-95-3P 874306-96-4P

874306-97-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of octahydropyrrolo[2,3-c]pyridines as MMP inhibitors)

874306-79-3 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-benzoyloctahydro-N-hydroxy-1-CN [(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

874306-80-6 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-acetyloctahydro-N-hydroxy-1-[(4-CNmethoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

874306-81-7 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-CN methoxyphenyl)sulfonyl]-6-(3-methyl-1-oxobutyl)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

RN 874306-82-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-(3-cyclopentyl-1-oxopropyl)octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-83-9 HCAPLUS

CN 6H-Pyrrolo[2,3-c]pyridine-6-carboxylic acid, octahydro-2-[(hydroxyamino)carbonyl]-1-[(4-methoxyphenyl)sulfonyl]-, 2-methoxyethyl ester, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

RN 874306-84-0 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-6-(1-oxododecyl)-, (2R,3aR,7aS)-rel- (9CI) (CAINDEX NAME)

Relative stereochemistry.

Me
$$(CH_2)_{10}$$
 N R N S O O H O O Me

RN 874306-85-1 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-(cyclopentylcarbonyl)octahydroN-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA
INDEX NAME)

₹9

874306-86-2 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-CN methoxyphenyl)sulfonyl]-6-(1-oxo-3-phenylpropyl)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

874306-87-3 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-CN methoxyphenyl)sulfonyl]-6-(methylsulfonyl)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

874306-88-4 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1,6-bis[(4-CNmethoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

874306-89-5 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-6-CN (methylsulfonyl) -1-[[4-(4-pyridinyloxy)phenyl]sulfonyl]-, (2R, 3aR, 7aS) -rel- (9CI) (CA INDEX NAME)

20: 11:2006

RN 874306-90-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-([1,1'-biphenyl]-4-ylcarbonyl)octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-91-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-([1,1'-biphenyl]-4-ylsulfonyl)octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

RN 874306-92-0 HCAPLUS
CN 6H-Pyrrolo[2,3-c]pyridine-6-acetamide, N,N-diethyloctahydro-2[(hydroxyamino)carbonyl]-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-93-1 HCAPLUS
CN 6H-Pyrrolo[2,3-c]pyridine-6-acetamide, N-ethyloctahydro-2[(hydroxyamino)carbonyl]-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel(9CI) (CA INDEX NAME)

RN 874306-94-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2,6-dicarboxamide, hexahydro-N2-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-N6-phenyl-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-95-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

RN 874306-96-4 HCAPLUS
CN 6H-Pyrrolo[2,3-c]pyridine-6-carboxylic acid, octahydro-2[(hydroxyamino)carbonyl]-1-[(4-methoxyphenyl)sulfonyl]-, phenylmethyl
ester, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874306-97-5 HCAPLUS CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-acetyl-4,5,6,7-tetrahydro-N-hydroxy-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 874307-07-0 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-acetyloctahydro-1-[(4-methoxyphenyl)sulfonyl]-N-(phenylmethoxy)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

RN 874307-11-6 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, octahydro-1-[(4-methoxyphenyl)sulfonyl]-6-(3-methyl-1-oxobutyl)-N-(phenylmethoxy)-, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN874307-21-8 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2,6-dicarboxamide, hexahydro-1-[(4-CNmethoxyphenyl)sulfonyl]-N6-phenyl-N2-(phenylmethoxy)-, (2R,3aR,7aS)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

874307-26-3 HCAPLUS RN

6H-Pyrrolo[2,3-c]pyridine-6-carboxylic acid, octahydro-1-[(4-CNmethoxyphenyl)sulfonyl]-2-[[(phenylmethoxy)amino]carbonyl]-, phenylmethyl ester, (2R,3aR,7aS)-rel- (9CI) (CA INDEX NAME)

874307-28-5 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 6-acetyl-4,5,6,7-tetrahydro-1-[(4-CN methoxyphenyl)sulfonyl]-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L163 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

2006:740676 HCAPLUS ACCESSION NUMBER:

145:188900 DOCUMENT NUMBER:

Preparation of tricyclic compounds as mGluR1 TITLE:

antagonists

Matasi, Julius J.; Tulshian, Deen; Burnett, Duane A.; INVENTOR(S):

Wu, Wen-Lian; Korakas, Peter; Silverman, Lisa S.; Sasikumar, Thavalakulamgara K.; Qiang, Li; Domalski,

Martin S.

PATENT ASSIGNEE(S): Schering Corp., USA

U.S. Pat. Appl. Publ., 366 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 152,535. CODEN: USXXCO

Patent

DOCUMENT TYPE:

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. _____

19. - .

3006 Shiao 16/849,089

US 2006167029 A1 20060727 US 2005-301672 20051213 US 2006009477 A1 20060112 US 2005-152535 20050614 PRIORITY APPLN. INFO.: US 2004-579920P P 20040615 US 2005-152535 A2 20050614

OTHER SOURCE(S): MARPAT 145:188900

ED Entered STN: 28 Jul 2006

The title compds. I [J1-J4 = independently N, N(O) or C(R), provided that 0-2 of J1-J4 are N or N(O); R = H, halo, amino, CHF2O, etc.; X = O, S, C(O), (un)substituted CH2 or NH; R1 = H, halo, alkyl, etc.; R2 = H, halo, alkyl, etc.; R3 = H, alkyl, aryl, etc.; R4 = H, :O, :S, alkyl, etc.; R5 = R3 or is absent; and pharmaceutically acceptable salts or solvates thereof] were prepared as metabotropic glutamate receptor (mGluR1) antagonists. Thus, reacting II with 2-fluoro-4-methoxyaniline in the presence of glacial acetic acid in toluene afforded 13% III. Compds. I were tested for inhibition of hmGluR1 (data given). Compds. I and their pharmaceutical compns. are useful for treatment of mGluR1 associated diseases, such as pain, migraine, anxiety, urinary incontinence and neurodegenerative diseases such Alzheimer's disease (no data).

IT 872886-94-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic compds. as mGluR1 antagonists)

RN 872886-94-7 HCAPLUS

CN 4H-Pyrido[3',2':4,5]pyrrolo[3,2-d]pyrimidin-4-one, 9-(dimethylamino)-3,5-dihydro-5-[(4-methoxyphenyl)methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

IT 872886-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic compds. as mGluR1 antagonists)

RN 872886-95-8 HCAPLUS

CN 4H-Pyrido[3',2':4,5]pyrrolo[3,2-d]pyrimidin-4-one, 3,5-dihydro-5-[(4-methoxyphenyl)methyl]-9-(methylamino)-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

L163 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:15100 HCAPLUS

DOCUMENT NUMBER:

144:108348

TITLE:

Preparation of tricyclic compounds as mGluR1

antagonists

INVENTOR(S):

Matasi, Julius J.; Tulshian, Deen; Burnett, Duane A.; Wu, Wen-Lian; Korakas, Peter; Silverman, Lisa S.; Sasikumar, Thavalakulamgara K.; Qiang, Li; Domalski,

Martin S.

PATENT ASSIGNEE(S):

SOURCE:

Schering Corporation, USA PCT Int. Appl., 309 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE		
	WO	2006	0020	51		A1 20060105			1	WO 2	005-1	JS20:	972	20050614				
		W:	AE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	ΚP,	KR,	KZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
			NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
			SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VC,	VN,	YU,
			ZA,	ZM,	ZW													
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			IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	CF,
			CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	GM,
								SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	KG,
			•	MD,										0 A D		n 1	0040	C1E
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	Η,	halo	, am	ino,	CHF	20,	etc.	; X	= 0,	S,	amın	o, c	:0 0	r (u	m/su	DSCI	uuce	u c,
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	acc	epta	ple	salt	s or	sol	vate	stn	ereo	rl M	ere	breb	area	as lo	TT w	DOCT	rovi	ded in
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ВУ

a multi-step synthesis starting from the reaction of cyanoacetamide with dimethylacetamide dimethylacetal. I were tested for inhibition of hmGluR1. Thus, I and their pharmaceutical compns. are useful as metabotropic glutamate receptor (mGluR) antagonists, particularly as selective metabotropic glutamate receptor 1 antagonists, for treatment of mGluR1 associated diseases, such as pain, migraine, anxiety, urinary incontinence and neurodegenerative diseases such Alzheimer's disease (no data).

RETABLE

Referenced Author	Year	VOL	PG	Referenced Work	Referenced
(RAU)	(RPY)	(RVL)		(RWK)	File
		+=====	+=====	:	:
Ambler, S	2001	1	i	WO 0132632 A	HCAPLUS
Hayakawa, M	2002			US 2002151544 A1	HCAPLUS
Itahana, H	2002			WO 02062803 A	HCAPLUS
Kadushkin, A	1993	27	40	KHIMIKO-FARMATSEVTIC	HCAPLUS
Kamble, D	1999	9	23	INDIAN JOURNAL OF HE	HCAPLUS
Mahajan, S	1987	19B	402	INDIAN JOURNAL OF CH	
Merour, J	1982	19	1425	JOURNAL OF HETEROCYC	HCAPLUS
Russo, F	1983	38	762	FARMACO, EDIZIONE SC	HCAPLUS

IT 872886-94-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic compds. as mGluR1 antagonists)

RN 872886-94-7 HCAPLUS

CN 4H-Pyrido[3',2':4,5]pyrrolo[3,2-d]pyrimidin-4-one, 9-(dimethylamino)-3,5-dihydro-5-[(4-methoxyphenyl)methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

IT 872886-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic compds. as mGluR1 antagonists)

RN 872886-95-8 HCAPLUS

CN 4H-Pyrido[3',2':4,5]pyrrolo[3,2-d]pyrimidin-4-one, 3,5-dihydro-5-[(4-methoxyphenyl)methyl]-9-(methylamino)-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

L163 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:64500 HCAPLUS

DOCUMENT NUMBER: 144:205149

TITLE:

Design, synthesis, and biological activity of novel factor Xa inhibitors: Improving metabolic stability by

S1 and S4 ligand modification

AUTHOR (S):

Komoriya, Satoshi; Kobayashi, Shozo; Osanai, Ken; Yoshino, Toshiharu; Nagata, Tsutomu; Haginoya,

Noriyasu; Nakamoto, Yumi; Mochizuki, Akiyoshi; Nagahara, Takayasu; Suzuki, Makoto; Shimada, Takashi; Watanabe, Kengo; Isobe, Yumiko; Furugoori, Taketoshi Tokyo R&D Center, Daiichi Pharmaceutical Co. Ltd,

CORPORATE SOURCE:

16-13, Kita-Kasai 1-Chome, Edogawa-ku, Tokyo,

134-8630, Japan

SOURCE:

Bioorganic & Medicinal Chemistry (2006), 14(5),

1309-1330

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER:

Elsevier B.V.

DOCUMENT TYPE:

Journal

English

LANGUAGE:

AB

Entered STN: 24 Jan 2006 ED

Serine protease factor Xa (fXa) inhibitor I showed good ex vivo anti-fXa activity upon oral administration in rats. However, it has been revealed that I had low metabolic stability against human liver microsomes. To improve the metabolic stability, we attempted to modify the S1 and S4 ligands of I. These modifications resulted in a compound which exhibited selective anti-fXa activity and excellent anti-coagulation activity.

RETABL	Ε
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Referenced Author	Year	NOF	PG	Referenced Work	Referenced
(RAU)	(RPY)	(RVL)	(RPG)	(RWK)	File
=======================================	+=====	+=====	+=====	+============	
Afonso, A	1996			Int Pub No WO 96/314	
Alder, M	2000	39	12534	Biochemistry	
Altland, H	1977	14	129	J Heterocycl Chem	HCAPLUS
Artursson, P	1991	175	880	Biochem Biophys Res	HCAPLUS
Artursson, P	1990	79	476	J Pharm Sci	HCAPLUS
Badger, J	1997	277	344	Methods Enzymol	HCAPLUS
Brandstetter, H	1996	271	29988	J Biol Chem	HCAPLUS
Chiba, J	2005	15	41	Bioorg Med Chem Lett	HCAPLUS
Cunico, R	1992	57	3331	J Org Chem	HCAPLUS
Evans, D	2000	39	2536	Angew Chem, Int Ed	HCAPLUS

Frisch, M	1995	1		GAUSSIAN94	
Furugohri, T	2005	514	35	Eur J Pharm	HCAPLUS
Guertin, K	2002	12	1671	Bioorg Med Chem Lett	HCAPLUS
Haginoya, N	2004	12	5579	Bioorg Med Chem	HCAPLUS
Haginoya, N	2004	63	1555	Heterocycles	HCAPLUS
Haginoya, N	2004	47	5167	J Med Chem	HCAPLUS
Hamilton, P	1978	31	609	J Clin Pathol	HCAPLUS
Hara, T	1995	74	635	Thromb Haemost	HCAPLUS
Jones, T	1985	115	157	Methods Enzymol	HCAPLUS
Kaiser, B	1998	23	423	Drugs Future	HCAPLUS
Klemm, L	1984	21	785	J Heterocycl Chem	HCAPLUS
Komoriya, S	2005	13	3927	Bioorg Med Chem	HCAPLUS
Kozikowski, A	1994	77	1256	Helv Chim Acta	
MacKay, D	1965			Disseminated Intrava	
Maignan, S	2000	43	3226	J Med Chem	HCAPLUS
Maignan, S	2003	46	685	J Med Chem	HCAPLUS
Morishima, Y	1997	78	1366	Thromb Haemost	HCAPLUS
Murshudov, G	1997	D53	240	Acta Crystallogr	HCAPLUS
Musser, J	1984	14	947	Synth Commun	HCAPLUS
Nagahara, T	1994	37	1200	J Med Chem	HCAPLUS
Nordstoga, K	1977	37	180	Thromb Haemost	MEDLINE
Obach, R	1999	27	1350	Drug Metab Dispos	HCAPLUS
Padmanabhan, K	1993	232	947	J Mol Biol	HCAPLUS
Pflugrath, J	1999	D55	1718	Acta Crystallogr	HCAPLUS
Prager, N	1995	92	962	Circulation	HCAPLUS
Sorkin, E	1948	31	65	Helv Chim Acta	HCAPLUS
Stura, E	1999		99	Crystallization of N	
Takahashi, T	1944	64	235	Yakugaku Zasshi	HCAPLUS
Yamazaki, M	1996	22	255	Semin Thromb Haemost	MEDLINE
Yamazaki, M	1994	71	314	Thromb Haemost	•
TT 075572_41_4D					

IT 875573-41-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(factor Xa inhibitors with improved metabolic stability)

RN 875573-41-4 HCAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[[1-(phenylsulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

L163 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:633533 HCAPLUS

DOCUMENT NUMBER:

144:390790

TITLE:

Pyrrolopyridine o-aminonitriles in heterocyclic synthesis: Synthesis and antimicrobial effects of novel pyridopyrrolopyrimidines and related molecules Gaber, Hatem M.; Erian, Ayman W.; Sherif, Sherif M.;

AUTHOR (S):

searched by D. Arnold 571-272-2532

Ouf, Salama A.

CORPORATE SOURCE: National Organization for Drug Control and Research

(NODCAR), Cairo, Egypt

SOURCE: Afinidad (2005), 62(516), 143-150

CODEN: AFINAE; ISSN: 0001-9704

PUBLISHER: Asociacion de Quimicos e Ingenieros del Instituto

Quimico de Sarria

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 21 Jul 2005

AB A convenient and efficient method has been developed for the synthesis of new versatile building blocks pyrrolopyridine o-aminonitriles I (Ar = Ph, p-MeC6H4). They were easily converted into the corresponding pyrrol-1-yl derivs. by reacting with 2,5-dimethoxytetrahydrofuran. Derivs. of pyridopyrrolopyrimidine containing imidazole, 1,2,4-triazole, and quinazolinone (II) rings were obtained by treating the key precursors I with different chemical reagents. The synthetic applications of I for the formation of some dipyridopyrroles were also explored. Some representative products were tested as antimicrobial agents. Some of them showed remarkable activity. Detailed syntheses and spectroscopic and biol. data were presented.

RETABLE

RETABLE					1 - 6
Referenced Author	Year	VOL	PG	Referenced Work	Referenced
(RAU)	(RPY)	(RVL)		(RWK)	File
=======================================		⊦==== +	-=====	+======================================	
Abu-Dari, K	1993	20B	12	Pure and Applied Sci	
Abu-Shanab, F	2002	32	3493	Synth Commun	HCAPLUS
Attia, A	1998	17	1355	Nucleosides Nucleoti	!
Blatt, J	1989	49	2925	Cancer Res	HCAPLUS
de Sevricourt, M	1981		710	Synthesis	
Eger, K	1993		465	Liebigs Ann Chem	HCAPLUS
El-Gaby, M	2002	57	613	IL Farmaco	HCAPLUS
Elgemeie, G	1992	34	1721	Heterocycles	HCAPLUS
Elgemeie, G	2002	21	411	Nucleosides Nucleoti	HCAPLUS
Elnagdi, M	1998	26		J Chem Res (S)	
Elnagdi, M	1998	188		M	
Elslager, E	1972	9	1123	1 2	HCAPLUS
Elslager, E	1972	9	775	J Heterocyclic Chem	HCAPLUS
Ghabrial, S	2003	8	401	Molecules	HCAPLUS
Hess, S	2000	43	4636	J Med Chem	HCAPLUS
Ishida, A	1994			JP 06220059	HCAPLUS
Iwamura, H	1981	6	9	J Pesticide Sci	HCAPLUS
Iwamura, H	1979	18	217	Photochemistry	HCAPLUS
Jorgensen, A	1984	23	73	Chemica Scripta	
Jorgensen, A	1988	28	201	Chemica Scripta	
Kim, D	1972			US 3631036	HCAPLUS
Mizuno, Y	1963	28	3329	J Org Chem	HCAPLUS
Mohareb, R	2003	14	459	Heteroat Chem	HCAPLUS
Mohareb, R	2004	15	15	Heteroat Chem	HCAPLUS
Moharram, H	1989	12	1	Arch Pharm Res	HCAPLUS
Moran, D	2002	67	9061	J Org Chem	HCAPLUS
Muller, C	1990	33	2822	J Med Chem	MEDLINE
Pichler, H	1986		1485	Liebigs Ann Chem	HCAPLUS
Reszka, K	1994	60	450	Photochem Photobiol	HCAPLUS
Sakurai, H	1999		913	Chem Lett	HCAPLUS
Senda, S	1974	22	1459	Chem Pharm Bull	HCAPLUS
Sherif, S	1995	434		J Chem Res (S)	
Sherif, S	1995	2658		M	
Soto, J	1981		529	Synthesis	HCAPLUS
Tolman, R	1969	91	2102	J Amer Chem Soc	HCAPLUS

Wahl, E

85

Eur Pat Appl EP 108, HCAPLUS 1984 Cancer Chemother Rep 1971 12 16 Wood, H Youssefyeh, R 11984 | 27 1639 J Med Chem **HCAPLUS**

883153-17-1P IT

> RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant

(preparation and antimicrobial activity of pyridopyrrolopyrimidines)

883153-17-1 HCAPLUS RN

4H-Pyrido[3',2':4,5]pyrrolo[3,2-d]pyrimidin-4-one, 3,5-dihydro-8-[(4-CN methoxyphenyl)azo]-5,7,9-triphenyl- (9CI) (CA INDEX NAME)

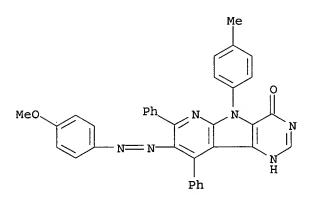
IT 883153-28-4P

> RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antimicrobial activity of pyridopyrrolopyrimidines)

RN883153-28-4 HCAPLUS

4H-Pyrido[3',2':4,5]pyrrolo[3,2-d]pyrimidin-4-one, 1,5-dihydro-8-[(4-CN methoxyphenyl)azo]-5-(4-methylphenyl)-7,9-diphenyl- (9CI) (CA INDEX NAME)



=> d ibib ab hitstr 7 YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, CHEMCATS' - CONTINUE? (Y)/N:y

L163 ANSWER 7 OF 19 USPATFULL on STN

ACCESSION NUMBER:

2006:10624 USPATFULL

mGluR1 antagonists as therapeutic agents TITLE:

Matasi, Julius J., Monmouth Junction, NJ, UNITED STATES INVENTOR(S): .

Tulshian, Deen, Lebanon, NJ, UNITED STATES

Burnett, Duane A., Bernardsville, NJ, UNITED STATES

Wu, Wen-Lian, Edison, NJ, UNITED STATES Korakas, Peter, Roselle Park, NJ, UNITED STATES Silverman, Lisa S., Metuchen, NJ, UNITED STATES Sasikumar, Thavalakulamgara K., Edison, NJ, UNITED

STATES

. . .

Qiang, Li, Edison, NJ, UNITED STATES

Domalski, Martin S., Verona, NJ, UNITED STATES

Schering Corporation (U.S. corporation) PATENT ASSIGNEE(S):

> NUMBER KIND DATE 20060112 US 2006009477 A1 (11)US 2005-152535 A1 20050614

> > NUMBER DATE

PRIORITY INFORMATION:

US 2004-579920P 20040615 (60)

DOCUMENT TYPE:

PATENT INFORMATION:

APPLICATION INFO.:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1,

1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ,

07033-0530, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

65 7

LINE COUNT:

5534

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

In its many embodiments, the present invention provides tricyclic AB compounds of formula I (wherein J.sup.1-J.sup.4, X, and R.sup.1--R.sup.5 are as defined herein) useful as metabotropic glutamate receptor (mGluR) antagonists, particularly as selective metabotropic glutamate receptor 1 antagonists, pharmaceutical compositions containing the compounds, and methods of treatment using the compounds and compositions to treat diseases associated with metabotropic glutamate receptor (e.g., mGluR1) such as, for example, pain, migraine, anxiety, urinary incontinence and neurodegenerative diseases such Alzheimer's disease. ##STR1##

872886-94-7P

(preparation of tricyclic compds. as mGluR1 antagonists)

872886-94-7 USPATFULL RN

4H-Pyrido[3',2':4,5]pyrrolo[3,2-d]pyrimidin-4-one, 9-(dimethylamino)-3,5-CNdihydro-5-[(4-methoxyphenyl)methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

IT 872886-95-8P

(preparation of tricyclic compds. as mGluR1 antagonists)

RN 872886-95-8 USPATFULL

CN 4H-Pyrido[3',2':4,5]pyrrolo[3,2-d]pyrimidin-4-one, 3,5-dihydro-5-[(4-methoxyphenyl)methyl]-9-(methylamino)-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

=> d ide 8-19
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, CHEMCATS' - CONTINUE? (Y)/N:y

L163 ANSWER 8 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2

(AN): 2005:3866708 CHEMCATS

Catalog Name

(CO): Ambinter Stock Screening Collection

Publication Date

(PD): 3 Jul 2005

Order Number

(ON): 1R-1070

Chemical Name

(CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-chlorophenyl)-1-(phenylsulfonyl)-

CAS Registry No.

(RN): 477872-25-6

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure

 $O = \begin{array}{c} O \\ \parallel \\ S - Ph \\ \downarrow \\ O \\ \parallel \\ \end{array}$

L163 ANSWER 9 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2005:3866707 CHEMCATS

Catalog Name

(CO): Ambinter Stock Screening Collection

Publication Date

(PD): 3 Jul 2005

Order Number

(ON): 1R-1067

(CN): Morpholine, 4-[[1-(phenylsulfonyl)-1H-pyrrolo(2,3-Chemical Name

b]pyridin-2-yl]carbonyl]-

(RN): 477872-24-5 CAS Registry No.

(ST): CHEMICAL LIBRARY Supplementary Term

Structure

L163 ANSWER 10 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

(AN): 2005:3866706 CHEMCATS Accession No.

(CO): Ambinter Stock Screening Collection Catalog Name

(PD): 3 Jul 2005 Publication Date (ON): 1R-1066 Order Number

(CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, Chemical Name

N-(4-chloro-2-methylphenyl)-1-(phenylsulfonyl)-

CAS Registry No. (RN): 477872-23-4 (ST): CHEMICAL LIBRARY Supplementary Term

Structure

L163 ANSWER 11 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

(AN): 2005:3866705 CHEMCATS Accession No.

Catalog Name (CO): Ambinter Stock Screening Collection

Publication Date (PD): 3 Jul 2005 Order Number (ON): 1R-1063

(CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, Chemical Name

N-(4-methoxyphenyl)-1-(phenylsulfonyl)-

CAS Registry No. (RN): 477872-22-3 (ST): CHEMICAL LIBRARY

Supplementary Term

Structure

L163 ANSWER 12 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2005:1905446 CHEMCATS

Catalog Name

(CO): Interchim Intermediates

Publication Date

(PD): 18 Jan 2005

Order Number

(ON): 1R-1070

Chemical Name

(CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-chlorophenyl)-1-(phenylsulfonyl)-

CAS Registry No.

(RN): 477872-25-6

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure

L163 ANSWER 13 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2005:1905445 CHEMCATS

Catalog Name

(CO): Interchim Intermediates

Publication Date

(PD): 18 Jan 2005

Order Number

(ON): 1R-1067

Chemical Name

(CN): Morpholine, 4-[[1-(phenylsulfonyl)-1H-pyrrolo[2,3-

b]pyridin-2-yl]carbonyl]-

CAS Registry No.

(RN): 477872-24-5

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure

L163 ANSWER 14 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2005:1905444 CHEMCATS

Catalog Name

(CO): Interchim Intermediates

Publication Date

(PD): 18 Jan 2005

Order Number

(ON): 1R-1066

Chemical Name

(CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-chloro-2-methylphenyl)-1-(phenylsulfonyl)-

CAS Registry No.

(RN): 477872-23-4

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure

L163 ANSWER 15 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2005:1905443 CHEMCATS

Catalog Name

(CO): Interchim Intermediates

Publication Date

(PD): 18 Jan 2005

Order Number

(ON): 1R-1063

Chemical Name

(CN): 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide,

N-(4-methoxyphenyl)-1-(phenylsulfonyl)-

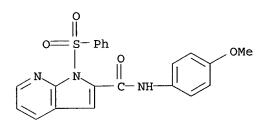
CAS Registry No.

(RN): 477872-22-3

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure



L163 ANSWER 16 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No.

(AN): 2000:936515 CHEMCATS

Catalog Name

(CO): Bionet Screening Compounds

Publication Date Order Number

(PD): 27 Mar 2006

(ON): 1R-1063

Chemical Name

CAS Registry No.

(CN): N-(4-methoxyphenyl)-1-(phenylsulfonyl)-1H-pyrrolo[2,3-

b]pyridine-2-carboxamide

Supplementary Term

(RN): 477872-22-3

Structure

(ST): CHEMICAL LIBRARY

Page 246

L163 ANSWER 17 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2000:581548 CHEMCATS

Catalog Name (CO): Bionet Screening Compounds

Publication Date (PD): 27 Mar 2006

Order Number (ON): 1R-1070

Chemical Name (CN): N-(4-chlorophenyl)-1-(phenylsulfonyl)-1H-pyrrolo[2,3-

b]pyridine-2-carboxamide

CAS Registry No. (RN): 477872-25-6

Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L163 ANSWER 18 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2000:581545 CHEMCATS

Catalog Name (CO): Bionet Screening Compounds

Publication Date (PD): 27 Mar 2006 Order Number (ON): 1R-1067

Chemical Name (CN): morpholino[1-(phenylsulfonyl)-1H-pyrrolo[2,3-

b]pyridin-2-yl]methanone

CAS Registry No. (RN): 477872-24-5

Supplementary Term (ST): CHEMICAL LIBRARY Structure :

O S Ph

N N C N

L163 ANSWER 19 OF 19 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2000:581544 CHEMCATS

Catalog Name

(CO): Bionet Screening Compounds

Publication Date

(PD): 27 Mar 2006

Order Number Chemical Name (ON): 1R-1066

(CN): N-(4-chloro-2-methylphenyl)-1-(phenylsulfonyl)-1H-

pyrrolo[2,3-b]pyridine-2-carboxamide

CAS Registry No.

pyrro10[2,3 (RN): 477872-23-4

Supplementary Term

(ST): CHEMICAL LIBRARY

Structure

ប្រធានទទ្ធបំនេះ

=> d que stat 1127

VAR G1=C/N VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

NODE ATTRIBUTES:

IS RC NSPEC AT 10 IS RC NSPEC AT

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

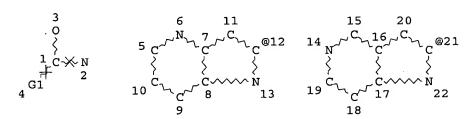
RING(S) ARE ISOLATED OR EMBEDDED

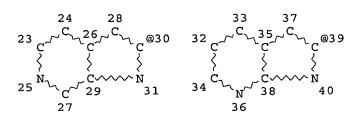
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

45329 SEA FILE=REGISTRY SSS FUL L1 L2

L42 STR





VAR G1=12/21/30/39

NODE ATTRIBUTES:

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CONNECT IS E1 RC AT

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 40

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STEREO ATTRIBUTES: NONE
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L45 1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42

L127 608 SEA FILE=REGISTRY ABB=ON PLU=ON L45 AND CL/ELS

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=> d que nos 1142

L1 STR

L2 45329 SEA FILE=REGISTRY SSS FUL L1

L42 STR

L45 1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42

L127 608 SEA FILE=REGISTRY ABB=ON PLU=ON L45 AND CL/ELS

L142 ANALYZE PLU=ON L127 1- LC : 9 TERMS
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=> d l142 1-

L142 ANALYZE L127 1- LC : 9 TERMS

TERM #	# OCC	# DOC	% DOC	LC
1	571	571	93.91	CA
2	571	571	93.91	CAPLUS
3	361	361	59.38	USPATFULL
4	32	32	5.26	CASREACT
5	22	22	3.62	CHEMCATS
6	21	21	3.45	TOXCENTER
7	7	7	1.15	CAOLD
8	5	5	0.82	BEILSTEIN
9	1	1	0.16	USPAT2
*****	* END (OF L142	****	***

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=> d que nos 1145
L1
             STR
L2
        45329 SEA FILE=REGISTRY SSS FUL L1
L32
              STR
L34
         4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32
L42
              STR
L45
         1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
           93 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L45
L46
            13 SEA FILE=HCAPLUS ABB=ON PLU=ON L46
L48
              QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
L49
               <2004 OR REVIEW/DT
            7 SEA FILE=HCAPLUS ABB=ON PLU=ON L48 AND L49
L51
L127
          608 SEA FILE=REGISTRY ABB=ON PLU=ON L45 AND CL/ELS
           39 SEA FILE=HCAPLUS ABB=ON PLU=ON L127
L143
           24 SEA FILE=HCAPLUS ABB=ON PLU=ON L143 AND L49
L144
           20 SEA FILE=HCAPLUS ABB=ON PLU=ON L144 NOT L51
L145
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=> d his 1150

(FILE 'USPATFULL, USPAT2, TOXCENTER, CASREACT, CHEMCATS' ENTERED AT 15:54:34 ON 25 OCT 2006)
L150 11 S L149 NOT L83

=> d que nos 1150 L1 STR

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L2
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L32
                STR
           4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32
L34
L42
                STR
           1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
L45
            93 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L45
L46
L49
                QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
                <2004 OR REVIEW/DT
L82
            27 SEA L46
            11 SEA L82 AND L49
L83
           608 SEA FILE=REGISTRY ABB=ON PLU=ON L45 AND CL/ELS
L127
            55 SEA L127
L148
L149
            18 SEA L148 AND L49
L150
            11 SEA L149 NOT L83
=> d que nos 1154
L1
               STR
L2
         45329 SEA FILE=REGISTRY SSS FUL L1
                STR
L42
          1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
L45
L127
           608 SEA FILE=REGISTRY ABB=ON PLU=ON L45 AND CL/ELS
L154
              3 SEA FILE=CAOLD ABB=ON PLU=ON L127
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=> dup rem 1145 1150 1154

DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS, CAOLD'.

ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE

FILE 'HCAPLUS' ENTERED AT 16:29:32 ON 25 OCT 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE 'USPATFULL' ENTERED AT 16:29:32 ON 25 OCT 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:29:32 ON 25 OCT 2006
CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CASREACT' ENTERED AT 16:29:32 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CAOLD' ENTERED AT 16:29:32 ON 25 OCT 2006
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PROCESSING COMPLETED FOR L145
PROCESSING COMPLETED FOR L150
PROCESSING COMPLETED FOR L154
L164 30 DUP REM L145 L150 L154 (4 DUPLICATES REMOVED)
ANSWERS '1-20' FROM FILE HCAPLUS
ANSWERS '21-27' FROM FILE USPATFULL
ANSWERS '28-30' FROM FILE CAOLD

=> file stnguide

FILE 'STNGUIDE' ENTERED AT 16:29:42 ON 25 OCT 2006
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

Shiao 10/849,089

FILE CONTAINS CURRENT INFORMATION. LAST RELOADED: Oct 25, 2006 (20061025/UP). => d ibib ed ab hitstr
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, CAOLD' - CONTINUE? (Y)/N:v

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L164 ANSWER 1 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
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ACCESSION NUMBER:

2005:527395 HCAPLUS

DOCUMENT NUMBER:

143:43870

TITLE:

Preparation of substituted 1H-pyrrolo[3,2-b, 3,2-c, and 2,3-c]pyridine-2-carboxamides and related analogs

as inhibitors of casein kinase 18

INVENTOR (S):

Metz, William A.; Halley, Frank; Dutruc-Rosset, Gilles: Choi-Sledeski, Yong Mi: Bernard, Boli G

Gilles; Choi-Sledeski, Yong Mi; Bernard, Poli Gregory; Fink, David Marc; Doerflinger, Gilles; Huang, Bao-Guo; Gelormini, Ann Marie; Gamboa, Juan Antonio; Giovanni, Andrew; Roehr, Joachim E.; Tsay, Joseph T.; Camacho, Fernando; Hurst, William Joseph; Harnish, Stephen

Wayne; Chiang, Yulin

PATENT ASSIGNEE(S): SOURCE:

Aventis Pharmaceuticals Inc., USA

U.S. Pat. Appl. Publ., 30 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE		DATE			
	31 0005051					
US 2005131012	A1 20050616	US 2004-1533	20041201 <			
AU 2004303826	A1 20050707	AU 2004-303826	20041201 <			
CA 2549183	AA 20050707	CA 2004-2549183	20041201 <			
WO 2005061498	A1 20050707	WO 2004-US40080	20041201 <			
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,			
		DM, DZ, EC, EE, EG, ES,				
		IN, IS, JP, KE, KG, KP,				
		MD, MG, MK, MN, MW, MX,				
		RO, RU, SC, SD, SE, SG,				
		UG, US, UZ, VC, VN, YU,				
		NA, SD, SL, SZ, TZ, UG,				
		TM, AT, BE, BG, CH, CY,				
		IE, IS, IT, LT, LU, MC,				
RO, SE, SI,	SK, TR, BF, BJ,	CF, CG, CI, CM, GA, GN,	GQ, GW, ML,			
MR, NE, SN,	TD, TG					
RITY APPLN. INFO.:		US 2003-528764P	P 20031211 <			

PRIORITY APPLN. INFO.:

WO 2004-US40080 W 20041201

OTHER SOURCE(S): CASREACT 143:43870; MARPAT 143:43870

ED Entered STN: 19 Jun 2005

The present invention discloses and claims compds. of formula (I) [wherein: R1 = H, alkyl; R2 = NR5R6; R3 = aryl, heterocyclyl; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, CF3, halogen, SH, S-C1-6 alkyl, NO2, NH2 or NR5R6; R5 = H, C1-6 alkyl; R6 = H, C1-6 alkyl; X = S, S(O)n; one of K, L or M is N and the other two members of K, L or M are each C wherein R4 is bonded only to a K, L, M or other ring atom that is C; m = 1-3; n = 1, 2] or a pharmaceutically acceptable salts or stereoisomers thereof as inhibitors of human casein kinase 1£, and methods of using said compds. of formula I for treating central nervous system diseases and disorders including mood disorders and sleep disorders, more specifically depression, bipolar disorder, circadian rhythm sleep disorder, jet lag syndrome, advanced sleep phase syndrome, and delayed sleep phase syndrome. Thus, to 1H-pyrrolo[3,2-b]pyridine-2-

carboxamide (0.42 mmol) dissolved in dry DMF (10 mL) was added Cs2CO3 (100 mg, 0.31 mmol) and then bis(3-bromophenyl)disulfide (1.1 equivalent, 0.46 mmol), and the resulting mixture was heated under N2 at 95° for 16 h to give 3-(3-Bromophenylsulfanyl)-1H-pyrrolo[3,2-b]pyridine-2carboxamide/1H-pyrrolo[3,2-b]pyridine-2-carboxamide (II). II showed IC50 of 25 nM against human casein kinase 1 . 853685-39-9P, 3-(3-Chlorophenylsulfanyl)-1H-pyrrolo[3,2-b]pyridine-IT 2-carboxamide 853685-41-3P, 3-(2-Chlorophenylsulfanyl)-1Hpyrrolo[3,2-b]pyridine-2-carboxamide 853685-42-4P, 3-(4-Chlorophenylsulfanyl)-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 853685-43-5P, 3-(2,4-Dichlorophenylsulfanyl)-1H-pyrrolo[3,2b]pyridine-2-carboxamide 853685-45-7P, 3-(2,3-Dichlorophenylsulfanyl)-1H-pyrrolo[3,2-b]pyridine-2-carboxamide **853685-49-1P**, 3-(2,5-Dichlorophenylsulfanyl)-1H-pyrrolo[3,2b]pyridine-2-carboxamide 853685-69-5P, 3-[(3-Chlorophenyl) sulfanyl] -1H-pyrrolo[3,2-b] pyridine-2-carboxylic acid methylamide 853685-83-3P, 3-[(4-Chlorophenyl)sulfanyl]-1Hpyrrolo[3,2-c]pyridine-2-carboxamide 853685-88-8P, 3-(3-Chlorophenylsulfanyl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted 1H-pyrrolopyridinecarboxamides as inhibitors of

casein kinase 1s for treating central nervous system disease)
853685-39-9 HCAPLUS

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[(3-chlorophenyl)thio]- (9CI) (CA INDEX NAME)

RN

CN

RN 853685-41-3 HCAPLUS CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[(2-chlorophenyl)thio]- (9CI) (CA INDEX NAME)

RN 853685-42-4 HCAPLUS CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[(4-chlorophenyl)thio]- (9CI) (CA INDEX NAME)

RN 853685-43-5 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[(2,4-dichlorophenyl)thio](9CI) (CA INDEX NAME)

RN 853685-45-7 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[(2,3-dichlorophenyl)thio](9CI) (CA INDEX NAME)

RN 853685-49-1 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[(2,5-dichlorophenyl)thio]-(9CI) (CA INDEX NAME)

RN 853685-69-5 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[(3-chlorophenyl)thio]-N-methyl-(9CI) (CA INDEX NAME)

250

RN 853685-83-3 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, 3-[(4-chlorophenyl)thio]- (9CI) (CA INDEX NAME)

RN 853685-88-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 3-[(3-chlorophenyl)thio]- (9CI) (CA INDEX NAME)

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, CAOLD' - CONTINUE? (Y)/N:y

L164 ANSWER 2 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER:

1997:102094 HCAPLUS

DOCUMENT NUMBER:

126:199575

TITLE:

Tricyclic substituted hexahydrobenz[e]isoindole

alpha-1 adrenergic antagonists

INVENTOR(S):

Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima Z.; Carroll, William A.; Drizin, Irene; Elmore, Steven W.; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund L.; Sippy, Kevin B.; Tietje, Karin R.; Wendt,

Michael D.

PATENT ASSIGNEE(S):

Abbott Laboratories, USA

SOURCE:

U.S., 73 pp., Cont.-in-part of U.S. Ser. No. 379,414,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	DATE
				
US 5597823	Α	19970128	US 1995-463528	19950605 <
IL 116405	A1	20010913	IL 1995-116405	19951215 <
CA 2211212	AA	19960801	CA 1996-2211212	19960111 <
WO 9622992	A1	19960801	WO 1996-US72	19960111 <
W: AU, CA, JP,	KR, MX			
RW: AT, BE, CH,	DE, DK	, ES, FR, GE	B, GR, IE, IT, LU, MC,	NL, PT, SE
			AU 1996-47457	
AU 705283		19990520		
EP 808318	A1	19971126	EP 1996-903340	19960111 <
EP 808318	B1	20000628		
		, ES, FR, GI	B, GR, IT, LI, LU, NL,	SE, PT, IE
AT 194141			AT 1996-903340	
ES 2149451		20001101	ES 1996-903340	19960111 <
PT 808318		20001229	PT 1996-903340	19960111 <
JP 2001504797	T2	20010410	JP 1996-522867	19960111 <
GR 3034485		20001229	GR 2000-402174	20000926 <
PRIORITY APPLN. INFO.:			US 1995-379414	B2 19950127 <
				A 19950605 <
			WO 1996-US72	W 19960111 <

MARPAT 126:199575 OTHER SOURCE(S):

Entered STN: 13 Feb 1997 ED

I (W = tricyclic heterocyclic ring system, e. g. AB pyrazinothienopyrimidinediones, pyridofuropyrimidinediones, pyrazinothienopyrimidinediones; n = 2-6; R1 and R2 = H, alkoxy, hydroxy, alkyl, halo, carboxy, alkoxycarbonyl) and their pharmaceutically acceptable salts were prepared I are α -1 adrenergic antagonists and useful in the treatment of BPH (benign prostrate hyperplasia). α -1 Antagonist compns. and a method for antagonizing α -1 receptors and treating BPH are also disclosed.

IT 181282-28-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation as alpha-1 adrenergic antagonists in treatment of benign prostrate hyperplasia)

181282-28-0 HCAPLUS RN

1H-Pyrido[3',4':4,5]pyrrolo[3,2-d]pyrimidine-2,4(3H,5H)-dione, CN3-[2-(1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl)ethyl]-, monohydrochloride, (3aR-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

HCAPLUS COPYRIGHT 2006 ACS on STN L164 ANSWER 3 OF 30

ACCESSION NUMBER: 2005:405330 HCAPLUS

DOCUMENT NUMBER: 142:463759

Preparation of hydroxy pyridopyrrolopyrazine dione TITLE:

compounds useful as HIV integrase inhibitors

Wai, John S.; Fisher, Thorsten E.; Zhuang, Linghang; INVENTOR(S):

Staas, Donnette D.; Lyle, Terry A.; Kim, Boyoung; Embrey, Mark W.; Wiscount, Catherine M.; Tran, Lekhanh

O.; Egbertson, Melissa; Savage, Kelly L.

Merck & Co., Inc., USA PATENT ASSIGNEE(S):

PCT Int. Appl., 181 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE					
WO 2005041664	A1 20050512	WO 2004-US34420	20041018 <					
W: AE, AG, A	AL, AM, AT, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,					
CN, CO, C	CR, CU, CZ, DE, DK,	DM, DZ, EC, EE, EG,	ES, FI, GB, GD,					
GE, GH, G	GM, HR, HU, ID, IL,	IN, IS, JP, KE, KG,	KP, KR, KZ, LC,					
LK, LR,	LS, LT, LU, LV, MA,	MD, MG, MK, MN, MW,	MX, MZ, NA, NI,					
		RO, RU, SC, SD, SE,						
		UG, US, UZ, VC, VN,						
		NA, SD, SL, SZ, TZ,						
, ,		TM, AT, BE, BG, CH,						
		IE, IT, LU, MC, NL,						
		CI, CM, GA, GN, GQ,						
SN. TD.		,,,,	, , , , , , , , , , , , , , , , , , , ,					
		AU 2004-285449	20041018 <					
		CA 2004-2542047						
EP 1677599		EP 2004-795564						
		GB, GR, IT, LI, LU,						
•	•	TR, BG, CZ, EE, HU,						
PRIORITY APPLN. INFO.			P 20031020 <					
FRIORIII AFFIIN. INTO.	•							
OTHER SOURCE(S):	MADDAT 142.4627	WO 2004-US34420 W 20041018						
OIRER SOURCE(S):	PIMARAI 142:403/	MARCAI 142:403/33						

Entered STN: 12 May 2005 ED

Title compds. I [bond "m" is either single or double; bond "n" is either AΒ single or double and when double, R7 and R8 are absent; the central ring

C)

containing A and B is pyrrolyl where one of A or B equals N while the other equals C; R1 = (un)substituted-arylalkyl or -heteroarylalkyl; R2 = H, (un) substituted alkyl; R3 = H, alkenyl, haloalkyl, alkynyl, etc.; R4 = H, (un)substituted-alkyl, -aryl, ester, etc.; R5 = H, (un)substituted alkyl; R6 = H, alkyl, (un)substituted-arylalkyl, etc.; R7 = H, alkyl, or alternatively R5 and R7 together form oxo or thioxo or spirocycloalkyl; R8 = H, alkyl, or alternatively R4 and R8 together form spirocycloalkyl; if R7 and R8 are absent, R4 and R5 together form a (un)substituted-benzene or a -6-membered heteroaryl ring, or a cycloalkane ring], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of HIV integrase and inhibitors of HIV replication. Thus, e.g., II was prepared via cyclocondensation of Et 3-[N-(3-ethoxy-3-oxopropyl)-N-(4fluorobenzyl)]amino-3-oxopropanoate (preparation given) to form pyridine III which was sulfonated with trifluoromethanesulfonic acid and reacted with piperazin-2-one under microwave irradiation to provide II. The compds. are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compds. are employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 851726-00-6P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of hydroxypyridopyrrolopyrazine dione derivs. as HIV integrase inhibitors)

RN 851726-00-6 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-8-ethyl-3,4,7,8-tetrahydro-10-hydroxy-7-methyl- (9CI) (CA INDEX NAME)

IT 851726-44-8P 851726-45-9P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxypyridopyrrolopyrazine dione derivs. as HIV integrase inhibitors)

RN 851726-44-8 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione,
2-[(3-chloro-4-fluorophenyl)methyl]-8-ethyl-3,4,7,8-tetrahydro-10-hydroxy7-methyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 851726-45-9 HCAPLUS

Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, CN 2-[(3-chloro-4-fluorophenyl)methyl]-8-ethyl-3,4,7,8-tetrahydro-10-hydroxy-7-methyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

IT 851725-24-1P 851725-28-5P 851725-29-6P 851725-41-2P 851725-44-5P 851725-50-3P 851725-55-8P 851725-84-3P 851725-92-3P 851726-03-9P 851726-04-0P 851726-06-2P 851726-09-5P 851726-10-8P 851726-12-0P 851726-18-6P 851726-20-0P 851726-21-1P 851726-22-2P 851726-23-3P 851726-24-4P 851726-25-5P 851726-26-6P 851726-27-7P 851726-30-2P 851726-31-3P 851726-32-4P 851726-33-5P 851726-34-6P 851726-36-8P 851726-37-9P 851726-38-0P 851726-39-1P 851726-40-4P 851726-41-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of hydroxypyridopyrrolopyrazine dione derivs. as HIV integrase inhibitors) RN

851725-24-1 HCAPLUS

Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, CN 8-[(3-chloro-4-fluorophenyl)methyl]-3,4,7,8-tetrahydro-10-hydroxy-2-methyl-(9CI) (CA INDEX NAME)

RN 851725-28-5 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 8-[(3,4-dichlorophenyl)methyl]-3,4,7,8-tetrahydro-10-hydroxy-2-methyl-(9CI) (CA INDEX NAME)

RN 851725-29-6 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 8-[(3-chlorophenyl)methyl]-3,4,7,8-tetrahydro-10-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

RN 851725-41-2 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]quinoxaline-6,8(5H,9H)-dione,
2-chloro-9-[(4-fluorophenyl)methyl]-10,11-dihydro-7-hydroxy- (9CI) (CA
INDEX NAME)

RN 851725-44-5 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-8-ethyl-3,4,7,8-tetrahydro-10-hydroxy6-methyl- (9CI) (CA INDEX NAME)

RN 851725-50-3 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione,
2-[(3-chloro-4-fluorophenyl)methyl]-3,4,7,8-tetrahydro-10-hydroxy-8-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 851725-55-8 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione,
2-[(3-chloro-4-fluorophenyl)methyl]-7,8-dihydro-10-hydroxy-4,8-dimethyl(9CI) (CA INDEX NAME)

Me N
$$CH_2$$
 F

RN 851725-84-3 HCAPLUS

CN Spiro[cyclopropane-1,6'(7'H)-pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine]1',9'(2'H,8'H)-dione, 2'-[(3-chloro-4-fluorophenyl)methyl]-8'-ethyl-3',4'dihydro-10'-hydroxy- (9CI) (CA INDEX NAME)

RN 851725-92-3 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-8-ethyl-3,4,7,8-tetrahydro-10-hydroxy-7,7-dimethyl- (9CI) (CA INDEX NAME)

RN 851726-03-9 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-8-(cyclopropylmethyl)-3,4,7,8tetrahydro-10-hydroxy-7-methyl- (9CI) (CA INDEX NAME)

RN 851726-04-0 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-3,4,7,8-tetrahydro-10-hydroxy-7,8-dimethyl- (9CI) (CA INDEX NAME)

Me N
$$CH_2$$
 F

RN 851726-06-2 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-8-cyclopropyl-3,4,7,8-tetrahydro-10hydroxy-7-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} & \text{C1} \\ \hline \\ \text{Me} & \text{N} & \text{CH}_2 \\ \hline \end{array}$$

RN 851726-09-5 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxylic acid,
2-[(3-chloro-4-fluorophenyl)methyl]-1,2,3,4,6,7,8,9-octahydro-10-hydroxy-8methyl-1,9-dioxo-, methyl ester (9CI) (CA INDEX NAME)

Me O OH O
$$\sim$$
 CH₂ \sim Cl \sim Cl \sim CH₂ \sim Cl \sim CH₂ \sim

RN 851726-10-8 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxamide,
2-[(3-chloro-4-fluorophenyl)methyl]-1,2,3,4,6,7,8,9-octahydro-10-hydroxyN,N,8-trimethyl-1,9-dioxo- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 CH₂ \sim F \sim CH₂ \sim F \sim CH₂

RN 851726-12-0 HCAPLUS

CN Morpholine, 4-[[2-[(3-chloro-4-fluorophenyl)methyl]-1,2,3,4,6,7,8,9-octahydro-10-hydroxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

851726-18-6 HCAPLUS RN

Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxylic acid, CN2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-hydroxy-8methyl-1,9-dioxo-, methyl ester (9CI) (CA INDEX NAME)

RN851726-20-0 HCAPLUS

Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxamide, CN 2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-hydroxy-N,8dimethyl-1,9-dioxo- (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 CH₂ \sim F \sim CH₂ \sim F

851726-21-1 HCAPLUS RN

Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxamide, CNtrimethyl-1,9-dioxo- (9CI) (CA INDEX NAME)

RN 851726-22-2 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxamide,
2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-hydroxy-8methyl-1,9-dioxo- (9CI) (CA INDEX NAME)

RN 851726-23-3 HCAPLUS

CN Morpholine, 4-[[2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-hydroxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 851726-24-4 HCAPLUS

CN Piperazine, 1-[[2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-hydroxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-

yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 851726-25-5 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxamide, 2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-hydroxy-N-methoxy-N,8-dimethyl-1,9-dioxo-(9CI) (CA INDEX NAME)

RN 851726-26-6 HCAPLUS

CN Azetidine, 1-[[2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-hydroxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

Me N
$$CH_2$$
 F

RN 851726-27-7 HCAPLUS

CN Pyrrolidine, 1-[[2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-

10-hydroxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]carbonyl]- (9CI) (CA INDEX NAME)

Me N
$$CH_2$$
 F

RN 851726-30-2 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxamide, 2-[(3-chloro-4-fluorophenyl)methyl]-8-ethyl-1,2,6,7,8,9-hexahydro-10hydroxy-N,6-dimethyl-1,9-dioxo-, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 851726-31-3 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxamide, 2-[(3-chloro-4-fluorophenyl)methyl]-8-ethyl-1,2,6,7,8,9-hexahydro-10hydroxy-N,N,6-trimethyl-1,9-dioxo-, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 851726-32-4 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carbonitrile,

89

2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-hydroxy-8-methyl-1,9-dioxo- (9CI) (CA INDEX NAME)

RN 851726-33-5 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-7,8-dihydro-10-hydroxy-8-methyl-4-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 851726-34-6 HCAPLUS

CN Methanesulfonamide, N-[2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-\dots hexahydro-10-hydroxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 851726-36-8 HCAPLUS

CN Acetamide, N-[2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-hydroxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]-N-

(CA INDEX NAME) methyl- (9CI)

Me N
$$\sim$$
 CH₂ \sim F \sim Me

851726-37-9 HCAPLUS RN

Carbamic acid, [2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-CN 10-hydroxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4yl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

Me N
$$CH_2$$

N CH_2

N CH_2

N CH_2

N CH_2

N CH_2

851726-38-0 HCAPLUS RN

Ethanediamide, [2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-CN10-hydroxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4yl]trimethyl- (9CI) (CA INDEX NAME)

851726-39-1 HCAPLUS RN

Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, CN4-bromo-2-[(3-chloro-4-fluorophenyl)methyl]-7,8-dihydro-10-hydroxy-8methyl- (9CI) (CA INDEX NAME)

RN 851726-40-4 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-7,8-dihydro-10-hydroxy-8-methyl-4-(methylthio)- (9CI) (CA INDEX NAME)

RN 851726-41-5 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione,
2-[(3-chloro-4-fluorophenyl)methyl]-7,8-dihydro-10-hydroxy-8-methyl-4(methylsulfonyl)- (9CI) (CA INDEX NAME)

IT 851727-08-7 851727-09-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxypyridopyrrolopyrazine dione derivs. as HIV integrase inhibitors)

RN 851727-08-7 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxamide, 2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-8-methyl-1,9-dioxo-10-(phenylmethoxy)- (9CI) (CA INDEX NAME)

851727-09-8 HCAPLUS RN

Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxylic acid, CN2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-methoxy-8methyl-1,9-dioxo- (9CI) (CA INDEX NAME)

851726-71-1P 851726-98-2P 851726-99-3P IT

851727-00-9P 851727-01-0P 851727-02-1P

851727-03-2P 851727-04-3P 851727-05-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of hydroxypyridopyrrolopyrazine dione derivs. as HIV integrase inhibitors)

851726-71-1 HCAPLUS RN

Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, CN

 $8-[(3-chloro-4-fluorophenyl)\,methyl]-3,4,7,8-tetrahydro-2,6-dimethyl-10-[(4-chloro-4-fluorophenyl)\,methyl]-3,4,7,8-tetrahydro-2,6-dimethyl-10-[(4-chloro-4-fluorophenyl)\,methyl]-3,4,7,8-tetrahydro-2,6-dimethyl-10-[(4-chloro-4-fluorophenyl)\,methyl]-3,4,7,8-tetrahydro-2,6-dimethyl-10-[(4-chloro-4-fluorophenyl)\,methyl]-3,4,7,8-tetrahydro-2,6-dimethyl-10-[(4-chloro-4-fluorophenyl)\,methyl]-3,4,7,8-tetrahydro-2,6-dimethyl-10-[(4-chloro-4-fluorophenyl)\,meth$

methylphenyl) methoxy] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ \hline \\ \text{CH}_2 \\ \hline \\ \text{N} \\ \hline \\ \text{N} \\ \hline \\ \text{Me} \\ \end{array}$$

RN 851726-98-2 HCAPLUS

39

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxylic acid, 2-[(3-chloro-4-fluorophenyl)methyl]-8-ethyl-1,2,3,4,6,7,8,9-octahydro-10-methoxy-6-methyl-1,9-dioxo-, methyl ester, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 851726-99-3 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-4-carboxylic acid, 2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-methoxy-8-methyl-1,9-dioxo-, 2-acetylhydrazide (9CI) (CA INDEX NAME)

RN 851727-00-9 HCAPLUS

CN Carbamic acid, [2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-methoxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]-

, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Me O OMe O N
$$\sim$$
 CH₂ \sim F \sim CH₂ \sim F \sim CH₂ \sim F \sim CH₂ \sim F \sim CH₂

RN 851727-01-0 HCAPLUS

CN Carbamic acid, [2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-methoxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl](methylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 851727-02-1 HCAPLUS

CN Methanesulfonamide, N-[2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-methoxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]- (9CI) (CA INDEX NAME)

RN 851727-03-2 HCAPLUS

Methanesulfonamide, N-[2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-methoxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 851727-04-3 HCAPLUS

CN Carbamic acid, [2-[(3-chloro-4-fluorophenyl)methyl]-1,2,6,7,8,9-hexahydro-10-methoxy-8-methyl-1,9-dioxopyrido[3',4':4,5]pyrrolo[1,2-a]pyrazin-4-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Me N
$$\sim$$
 CH2 \sim F \sim N \sim CH2 \sim F \sim Me O \sim N \sim CH2 \sim F \sim Me O

RN 851727-05-4 HCAPLUS

CN Pyrido[3',4':4,5]pyrrolo[1,2-a]pyrazine-1,9(2H,6H)-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-7,8-dihydro-10-methoxy-8-methyl-4-(methylamino)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L164 ANSWER 4 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:324000 HCAPLUS

DOCUMENT NUMBER:

142:392407

TITLE:

Preparation of monocyclic and bicyclic lactams, in

- -

particular derivatives of pyrrolidines and pyrroloimidazoles, as Factor Xa inhibitors Han, Wei; Qiao, Jennifer; Hu, Zilun Bristol-Myers Squibb Company, USA PCT Int. Appl., 329 pp.

SOURCE: PCT Int. Appl CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT ASSIGNEE(S):

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DATE
                                                                    APPLICATION NO.
                                       KIND
                                                 DATE
       PATENT NO.
                                                                    -----
                                       ----
                                                  20050414
                                                                                                         20040929 <--
                                                                  WO 2004-US31857
                                       A2
       WO 2005032468
             W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                   CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TD, RE, BT, CE, CG, CT, CM, GA, CN, CO, CH, MI, MB, NE
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                    SN, TD, TG
                                                                     US 2004-952397
                                                                                                         20040928 <--
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                                        A1
                                                                                                         20040929 <--
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                                                                                                    P 20031001 <--
                                                                     US 2003-507533P
PRIORITY APPLN. INFO.:
                                                                                                     Α
                                                                     US 2004-952397
                                                                                                         20040928
                                                                                                     W
                                                                                                         20040929
                                                                     WO 2004-US31857
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OTHER SOURCE(S): MARPAT 142:392407

Entered STN: 15 Apr 2005 ED Title compds. [I and II; V = (CH2)n; n = 1-3; U = (CH2)m; m = 1-2; one of AB T1 and T2 = C0, CS, S02, and the other = C0, CS, S02, CH2, CH0H; one of Z1 and Z2 = N, and the other = C; G = (un) substituted Ph, pyrimidyl, pyrazinyl, pyridazinyl, etc. optionally fused with a 5-6 membered ring containing 0-2 heteroatoms; G1 = SO2NH and derivs., NHCO, NHCSNH and derivs., (un) substituted alkylene, etc.; A = (un) substituted carbocycle, heterocycle; B = alkylene, SO2H and derivs., (un) substituted carbocyle, heterocycle, etc.; Rla at each occurrence = H, (un) substituted alkylene, alkenylene, alkynylene, etc.; or R1aCCR1a = (un)substituted 5-7 membered ring; their stereoisomers or pharmaceutically acceptable salts; with provisos], were prepared as inhibitors of trypsin-like serine proteases, specifically Factor Xa. For example, an eleven-step synthesis starting from trans-3-Hydroxy-L-proline is given for lactam III. I displayed Ki \leq 10 μM for the inhibition of Factor Xa. I were effective thrombin inhibitors; Ki \leq 10 μM . I are useful antithrombotics. 850000-08-7P, 5-Chloro-N-[[1-[4-[1-(morpholinomethyl)cyclopropyl]p IT

850000-08-7P, 5-Chloro-N-[[1-[4-[1-(morpholinomethyl)cyclopropyl]p
henyl]-5-oxopyrrolidin-3-yl]methyl]-1H-pyrrolo[3,2-b]pyridine-2carboxamide 850000-09-8P, 5-Chloro-N-[[5-oxo-1-[4-[1-(piperidin1-ylmethyl)cyclopropyl]phenyl]pyrrolidin-3-yl]methyl]-1H-pyrrolo[3,2b]pyridine-2-carboxamide 850000-10-1P, 5-Chloro-N-[[1-[4-[1[(dimethylamino)methyl]cyclopropyl]phenyl]-5-oxopyrrolidin-3-yl]methyl]-1Hpyrrolo[3,2-b]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; preparation of monocyclic and bicyclic lactams as Factor Xa

RN 850000-08-7 HCAPLUS

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CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5-chloro-N-[[1-[4-[1-(4-morpholinylmethyl)cyclopropyl]phenyl]-5-oxo-3-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 850000-09-8 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5-chloro-N-[[5-oxo-1-[4-[1-(1-piperidinylmethyl)cyclopropyl]phenyl]-3-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

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RN 850000-10-1 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5-chloro-N-[[1-[4-[1-[(dimethylamino)methyl]cyclopropyl]phenyl]-5-oxo-3-pyrrolidinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

L164 ANSWER 5 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:14399 HCAPLUS

DOCUMENT NUMBER: 142:114103

TITLE: Preparation of triazafluorenes as 5-HT2C receptor

agonists for the treatment of diabetes and obesity.

INVENTOR(S): Blench, Toby Jonathan; Hebeisen, Paul; Richter, Hans;

Roever, Stephan

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.; Vernalis Research

Limited

SOURCE:

PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE						
WO 2005000849	A1 20050106	WO 2004-EP6612	20040618 <						
W: AE, AG, AL	, AM, AT, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,						
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LK. LR. LS	LT, LU, LV, MA,	MD, MG, MK, MN, MW,	MX, MZ, NA, NI,						
NO NZ. OM	PG. PH. PL, PT,	RO, RU, SC, SD, SE,	SG, SK, SL, SY,						
TJ. TM. TN	, TR, TT, TZ, UA,	UG, US, UZ, VC, VN,	YU, ZA, ZM, ZW						
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SN, TD, TG									
AU 2004251847	A1 20050106	AU 2004-251847	20040618 <						
	AA 20050106	CA 2004-2530308	20040618 <						
EP 1641796	A1 20060405		20040618 <						
R: AT, BE, CH	I, DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,						
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CN 1812989	A 20060802								
DD 2004011936	Δ 20060829		20040618 <						
		US 2004-876954	20040625 <						
PRIORITY APPLN. INFO.:	•	GB 2003-14967	A 20030626 <						
		WO 2004-EP6612	W 20040618						
OTHER SOURCE(S):	MARPAT 142:1141	103							
ED Entered STN: 07 J	Jan 2005		1-1						
AB Title compds. (I;	R1 = H, alkyl, ha	aloalkyl, cycloalkyl,	nalo, alkoxy,						
cycloalkoxy, hydro	oxyalkyl, etc.; R	2 = alkyl, cycloalkyl,	alkoxy,						
cycloalkoxy, halo,	OH, hydroxyalky	l, alkoxyalkyl, aralko	oxyalkyl, etc.; ks						
= H, alkyl, cyclos	alkyl, hydroxyalky	/l, alkoxyalkyl, cyclo	dalkoxyalkyl, etc.;						
R4 = H, alkyl; R5	= alkyl), were p	repared Thus, tert-Bu	1 						
(4R,9aR)-7-fluoro-	-8-hydroxymethyl-	1-methyl-3,4,9,9a-tetr	ianyuro-in-2,4a,5-						
triazafluorene-2-0	carboxylate (prepa	aration given) was sti	irred 2.5 h with CBr4						
and Ph3P in CH2Cl2 to give an oil which was stirred 0.5 h with									

using human 5-HT2C receptors showed an EC50 of 13 nM. 823217-65-8P 823217-76-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

stirred 0.5 h with CF3CO2H to give (4R,9aR)-7-fluoro-4,8-dimethyl-3,4,9,9atetrahydro-1H-2,4a,5-triazafluorene. The latter in a functional assay

polymethylhydrosilane and Pd(OAc)2 in THF to give a residue which was

(preparation of triazafluorenes as 5-HT2C receptor agonists for the treatment of diabetes and obesity)

823217-65-8 HCAPLUS RN

Pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazin-6(7H)-one, 2-chloro-3-ethoxy-8,9-CN dihydro-9-methyl-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823217-76-1 HCAPLUS

CN Pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazin-6(7H)-one, 2-chloro-8,9-dihydro-3,9-dimethyl-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L164 ANSWER 6 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

2

ACCESSION NUMBER:

2004:1037102 HCAPLUS

DOCUMENT NUMBER:

142:23513

TITLE:

Preparation of pyrrolopyridine-2-carboxylic acid amide

as inhibitors of glycogen phosphorylase

INVENTOR(S):

Bradley, Stuart Edward; Krulle, Thomas Martin; Murray,

Peter John; Procter, Martin James; Rowley, Robert

John; Sambrook Smith, Colin Peter; Thomas, Gerard Hugh

PATENT ASSIGNEE(S):

Osi Pharmaceuticals, Inc., USA; Schofield, Karen

Lesley

SOURCE:

PCT Int. Appl., 188 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.			KIN	D :	DATE			APPL	ICAT	ION :	NO.		Di	ATE		
						-									-			
WO	2004	1040	01		A2		2004	1202		WO 2	004-	US16	243		2	0040	520 <	
WO	2004	1040	01		A 3		2005	0303										
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							DE,											
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
				•		•	TZ,		•	•		•	•	•	•	•	•	
	RW:	BW.	•	•	•	•		•		•	•	•	•	•		•		

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                                 20051215
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PRIORITY APPLN. INFO.:
                                             US 2004-551256P
                                                                  Ρ
                                                                     20040308
                                                                  W 20040520
                                             WO 2004-US16243
                          MARPAT 142:23513
OTHER SOURCE(S):
     Entered STN: 03 Dec 2004
     Heterocyclyl acyl amino acid derivs. I [one of X1-X4 is N and the others
AΒ
     are C; R1, R1' are each independently halo, hydroxy, cyano, alkyl, alkoxy,
     fluoromethyl, ethenyl or ethynyl; R2 is alkyl or substituted alkyl,
     carboxy ester or acyl; Y is alkyl or CH(OH); Z is CH2, CO, O,
     (cyclo)alkylamino or absent, but when Y is CH(OH), Z or R3 must be bonded
     to Y through a carbon-carbon bond; R3 is H, carbalkoxy, alkoxy, alkyl,
     arylalkyl, alkylamino, etc.] or their stereoisomers or
     pharmaceutically-acceptable salts were prepared as inhibitors of glycogen
     phosphorylase and are useful in the prophylactic or therapeutic treatment
     of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia,
     hyperlipidemia, hypertension, atherosclerosis, etc. Thus,
     pyrrolo[3,2-b]pyridine-2-carboxylic acid L-phenylalaninamide derivative II was
     prepared via peptide coupling reaction and showed IC50 < 1 mM in the
     glycogen phosphorylase assay in vitro.
     800397-99-3P 800398-33-8P 800398-34-9P
     800398-35-0P 800398-36-1P 800398-37-2P
     800398-38-3P 800398-42-9P 800399-22-8P
     800399-23-9P 800399-85-3P 800400-37-7P
     800400-46-8P 800400-49-1P 800400-52-6P
     800400-69-5P 800400-84-4P 800400-89-9P
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     800401-07-4P 800401-08-5P 800401-17-6P
     800401-18-7P 800401-22-3P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of
        glycogen phosphorylase)
     800397-99-3 HCAPLUS
RN
     1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-
CN
     fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI)
```

Absolute stereochemistry.

INDEX NAME)

RN 800398-33-8 HCAPLUS

CN Glycine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 800398-34-9 HCAPLUS

CN Glycine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

RN 800398-35-0 HCAPLUS

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-,
 ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-36-1 HCAPLUS

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-37-2 HCAPLUS

CN Benzenebutanoic acid, β -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]- α -hydroxy-, methyl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-38-3 HCAPLUS

CN Benzenebutanoic acid, β -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]- α -hydroxy-, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-42-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-fluorophenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 800399-22-8 HCAPLUS

CN Benzenebutanoic acid, β -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]- α -hydroxy-, methyl ester, (α S, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-23-9 HCAPLUS

CN Benzenebutanoic acid, β -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]- α -hydroxy-, (α S, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-85-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-amino-1-piperidinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-37-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-46-8 HCAPLUS

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-4-fluoro-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-49-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-52-6 HCAPLUS

CN Carbamic acid, [1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 800400-69-5 HCAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-84-4 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]methylamino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 800400-89-9 HCAPLUS

CN 4-Pyridinepropanoic acid, α -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-, methyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-95-7 HCAPLUS

CN 4-Pyridinepropanoic acid, α-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-97-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-hydroxy-2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800400-98-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-2-hydroxy-1-(methoxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

RN 800401-07-4 HCAPLUS

CN L-Phenylalanine, N-[(6-chloro-1H-pyrrolo[2,3-b]pyridin-2-yl)carbonyl]-4-fluoro-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-08-5 HCAPLUS

CN L-Phenylalanine, N-[(6-chloro-1H-pyrrolo[2,3-b]pyridin-2-yl)carbonyl]-4fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-17-6 HCAPLUS

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-2-yl)carbonyl]-4-fluoro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

800401-18-7 HCAPLUS RN

L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-2-yl)carbonyl]-4-CN fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800401-22-3 HCAPLUS RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CN fluorophenyl)methyl]-2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800397-93-7P 800397-98-2P 800398-00-9P ΙT 800398-03-2P 800398-04-3P 800398-05-4P 800398-06-5P 800398-07-6P 800398-08-7P 800398-09-8P 800398-10-1P 800398-11-2P 800398-12-3P 800398-13-4P 800398-14-5P 800398-21-4P 800398-22-5P 800398-23-6P 800398-24-7P 800398-25-8P 800398-26-9P 800398-27-0P 800398-28-1P 800398-29-2P

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     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of
        glycogen phosphorylase)
     800397-93-7 HCAPLUS
RN
     1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-
CN
     (dimethylamino) -2-oxo-1-(phenylmethyl) ethyl] - (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 800397-98-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-00-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl](9CI) (CA INDEX NAME)

RN 800398-03-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(2-phenoxyethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & \parallel \\
 & C - NH - CH_2 - CH_2 - OPh
\end{array}$$

RN 800398-04-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-05-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-methoxyphenoxy)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 800398-06-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(2-thienyl)ethyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 800398-07-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(2-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 800398-08-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(2-oxo-2-phenylethyl)-(9CI) (CA INDEX NAME)

RN 800398-09-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-5-chloro-(9CI) (CA INDEX NAME)

RN 800398-10-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 800398-11-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 800398-12-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-[[(2-chloro-6-fluorophenyl)methyl]thio]ethyl]- (9CI) (CA INDEX NAME)

RN 800398-13-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

RN 800398-14-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(1-naphthalenylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-21-4 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5-chloro-N-(2-phenoxyethyl)(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{O} \\ & \text{H} \\ \text{C-NH-CH}_2\text{-}\text{CH}_2\text{-}\text{OPh} \\ \\ \text{Cl} & \text{N} \end{array}$$

RN 800398-22-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{CH}_2 - \text{Ph} \\
 & \text{C} \\
 & \text{N} \\
 & \text{C} \\
\end{array}$$

RN 800398-23-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-[(phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 800398-24-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-oxo-2-(phenylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-25-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-oxo-2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 800398-26-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-oxo-2-[(2-thienylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 800398-27-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-[(4-methoxyphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 800398-28-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(phenylmethyl)-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-29-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-30-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-31-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(phenylmethyl)-2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-32-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(phenylmethyl)-2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-39-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-methoxyphenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 800398-40-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(3,4-difluorophenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ N & & \\ \hline & & \\ C - NH - CH_2 - C \end{array}$$

RN 800398-41-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-chlorophenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-43-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-fluorophenyl)-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \text{OH} & \text{OH} \\ & & & \\$$

RN 800398-44-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(3-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-45-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(3-pyridinylmethyl)-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-46-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(2-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-47-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-48-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(1-methylethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-49-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(methylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-50-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(ethylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-51-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclobutylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-52-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclopentylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-53-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[(1R,2R)-2-hydroxycyclopentyl]amino]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-54-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[(1S,2S)-2-hydroxycyclohexyl]amino]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-55-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[(1R,2S)-2-(hydroxymethyl)cyclohexyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-56-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[[(tetrahydro-2-furanyl)methyl]amino]ethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-57-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2-furanylmethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-58-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(1-azetidinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-59-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-60-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-61-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-62-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-63-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-64-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-65-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3R)-3-(acetylamino)-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-66-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4fluorophenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-67-8 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CN fluorophenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800398-68-9 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CN fluorophenyl)methyl]-2-[(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN800398-69-0 HCAPLUS CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2-methoxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-70-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[2-(methylthio)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-71-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[[2-(dimethylamino)ethyl]amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 800398-72-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[bis(2-hydroxyethyl)amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-73-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-74-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(diethylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-75-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-76-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(3-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-77-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[(3-pyridinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

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RN 800398-78-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(phenylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-79-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(2,5-dimethyl-1-pyrrolidinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-80-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[bis(2-methoxyethyl)amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

RN 800398-81-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S,4R)-3,4-dimethoxy-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-82-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-83-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-

(cyclohexylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-84-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(3-thiazolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-86-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]-(9CI) (CA INDEX NAME)

RN 800398-87-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[(tetrahydro-2H-thiopyran-4-yl)amino]ethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-89-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[[3-(dimethylamino)propyl]amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{Me}_2\text{N} \\ \text{(CH}_2)_3 \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{S} \end{array}$$

RN 800398-91-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3-hydroxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-93-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-hydroxyethyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-95-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[4-(1-pyrrolidinyl)-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

RN 800398-97-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(4-oxo-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-98-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclopropylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-99-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(4-hydroxybutyl)amino]-2-oxoethyl]- (9CI) (CA_INDEX_NAME)

HO (
$$CH_2$$
) $\frac{H}{A}$ O F

RN 800399-00-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{MeO} \\ \text{(CH2)}_{3} \\ \text{N} \\ \text{N} \\ \text{S} \\ \end{array}$$

RN 800399-01-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(2,3-dihydroxypropyl)amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-02-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]ethyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H & O & H \\
N & S & N \\
N & O & O
\end{array}$$
C1

RN 800399-03-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[[3-(cyclohexylamino)propyl]amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-04-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[3-(4-morpholinylsulfonyl)-1-azetidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-05-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[3-(1-azetidinylsulfonyl)-1-azetidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

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RN 800399-06-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(3-hydroxy-1-azetidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-07-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(3-hydroxy-1-azetidinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-08-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(3-hydroxy-1-azetidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800399-09-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800399-10-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800399-11-5 HCAPLUS

CN Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-βoxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 800399-12-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(methoxymethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800399-13-7 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-14-8 HCAPLUS CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-19-3 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-methoxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800399-20-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3R)-3-methoxy-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-21-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3S)-3-methoxy-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-24-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-3-(dimethylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 800399-25-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-26-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-3-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-27-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(propylamino)propyl]- (9CI) (CA INDEX NAME)

RN 800399-28-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S,2R)-3-amino-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-29-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-[(2-hydroxyethyl)amino]-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-30-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

RN 800399-31-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-(dimethylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-32-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-(cyclopropylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-33-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-(cyclobutylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-34-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-(cyclopentylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

RN 800399-35-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S,2R)-3-(1-azetidinyl)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-36-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-3-(phenylamino)-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-37-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

319

RN 800399-38-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-[(3R)-3-hydroxy-1-pyrrolidinyl]-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-39-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-[(3S)-3-hydroxy-1-pyrrolidinyl]-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-40-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 800399-41-1 HCAPLUS

CN [H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(4-hydroxy-1-piperidinyl)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-42-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-[4-(hydroxymethyl)-1-piperidinyl]-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-44-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(4-methyl-1-piperazinyl)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-45-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(4-methyl-1-piperazinyl)-3-oxo-1-(phenylmethyl)propyl]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 800399-44-4

CMF C23 H26 Cl N5 O3

Absolute stereochemistry.

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 800399-47-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-48-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-piperazinyl)propyl]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 800399-47-7

CMF C22 H24 Cl N5 O3

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 800399-49-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(4-morpholiny1)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-50-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S,2R)-3-(4-acetyl-1-piperazinyl)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-51-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[[2-(4-morpholinyl)ethyl]amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

800399-52-4 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-CN(cyclopentylamino) -2-oxo-1-(phenylmethyl)ethyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800399-53-5 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(4-methyl-1-CNpiperazinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800399-54-6 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-(2-CNhydroxyethyl)-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800399-55-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-acetyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-56-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3-hydroxypropyl)amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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$$\begin{array}{c|c}
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\end{array}$$
OH

RN 800399-57-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-(hydroxymethyl)-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-58-0 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(aminocarbonyl)-1-CNpiperidinyl]-2-oxo-1-(phenylmethyl)ethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800399-59-1 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[3-(aminocarbonyl)-1-CN piperidinyl]-2-oxo-1-(phenylmethyl)ethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

800399-60-4 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[3-CN (hydroxymethyl) -1-piperidinyl] -2-oxo-1-(phenylmethyl) ethyl] - (9CI) INDEX NAME)

Absolute stereochemistry.

800399-61-5 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(2R)-2-CN (hydroxymethyl)-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

: 49.0

RN 800399-62-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(3,6-dihydro-1(2H)-pyridinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-63-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(phenylmethyl)-2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-64-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-{(1S)-2-(4-morpholinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-65-9 HCAPLUS

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CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(1,1-dioxido-4-thiomorpholinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 800399-66-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-67-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3-methoxypropyl)amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 800399-68-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

16

RN 800399-70-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(3-hydroxy-1-piperidinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-72-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclopropylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-73-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3S)-3-(acetylamino)-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]-5-chloro- (9CI) (CA INDEX NAME)

800399-74-0 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-2-(3-oxo-1-CN piperazinyl) -1- (phenylmethyl) ethyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800399-75-1 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(4-fluoro-1-piperidinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

800399-76-2 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-CN(cyclobutylamino) -2-oxo-1-(phenylmethyl) ethyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800399-77-3 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(2-phenylpropyl)-CN (9CI) (CA INDEX NAME)

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RN 800399-78-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-chlorophenyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ N & C-NH-CH_2-CH_2 \end{array}$$

RN 800399-79-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(5-methoxy-1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 800399-80-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[4-(phenylmethoxy)-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-81-9 HCAPLUS

CN . 4-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

RN 800399-82-0 HCAPLUS

CN 3-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-83-1 HCAPLUS

CN 2-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

RN 800399-84-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(acetyloxy)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CFINDEX NAME)

Absolute stereochemistry.

RN 800399-86-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(aminomethyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 800399-87-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3R)-3-amino-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 800399-88-6 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3R)-3-amino-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-89-7 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3S)-3-amino-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-, monohydrochloride (9CI) (CA INDEX NAME)

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HCl

RN 800399-90-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3S)-3-amino-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-91-1 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(4-piperidinylamino)ethyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 800399-92-2 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(4-piperidinylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-93-3 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(hexahydro-1H-1,4-diazepin-1-yl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 800399-94-4 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(hexahydro-1H-1,4-diazepin-1-yl)-2-oxoethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 800399-95-5 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-(diacetylamino)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

RN 800399-96-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(methylamino)-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-97-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-[(methylamino)methyl]-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-98-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[4-(trifluoromethyl)-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

RN 800399-99-9 HCAPLUS

4-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-00-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[3-(hydroxymethyl)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-01-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[1,4'-bipiperidin]-1'-yl-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-02-6 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-acetyl-1-piperidinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-03-7 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-fluoro-1-piperidinyl)-2-oxoethyl]- (9CI) (CFINDEX NAME)

Absolute stereochemistry.

RN 800400-04-8 HCAPLUS

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CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(aminocarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-05-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-hydroxyethyl)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-06-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(aminocarbonyl)-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

RN 800400-07-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[3-[(diethylamino)carbonyl]-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-08-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[3-(aminocarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-09-3 HCAPLUS

CN 3-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, ethyl

ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-10-6 HCAPLUS

CN 2-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-11-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-12-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-methoxyethyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-13-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(3-methoxypropyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$(CH_2)_3$$
 OMe

RN 800400-14-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800400-16-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-19-5 HCAPLUS

CN D-Prolinamide, 5-chloro-1H-pyrrolo[2,3-c]pyridine-2-carbonyl-4-fluoro-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-21-9 HCAPLUS

CN Carbamic acid, [(3R)-1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-23-1 HCAPLUS

CN Carbamic acid, [(3S)-1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-25-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-(cyclopropylcarbonyl)-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-27-5 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]amino]-,

Вα

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-29-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(hexahydro-5-oxo-1H-1,4-diazepin-1-yl)-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-31-1 HCAPLUS

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- Th/x.a 1 1

RN 800400-33-3 HCAPLUS

CN L-Proline, 5-chloro-1H-pyrrolo[2,3-c]pyridine-2-carbonyl-4-fluoro-L-phenylalanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-35-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-39-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800400-41-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(3-hydroxypropyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-43-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-[2-(dimethylamino)ethyl]-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-45-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-

fluorophenyl)methyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

RN 800400-48-0 HCAPLUS

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-54-8 HCAPLUS

CN Carbamic acid, [[1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-56-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(4-morpholinyl)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-58-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-60-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3R)-3-hydroxy-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-61-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(3,6-dihydro-

1(2H)-pyridinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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800400-63-9 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CN fluorophenyl) methyl] -2-[2-(hydroxymethyl) -1-piperidinyl] -2-oxoethyl] -(CA INDEX NAME) (9CI)

Absolute stereochemistry.

800400-65-1 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(2,5-dihydro-CN 1H-pyrrol-1-yl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800400-67-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(1,1-dioxido-4-thiomorpholinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-71-9 HCAPLUS

CN 3-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-73-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(4-hydroxy-1-piperidinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-75-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(2S)-2-(aminocarbonyl)-

1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-77-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-methoxyethoxy)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-78-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(3-methoxypropoxy)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

$$(CH_2)_3$$

39

RN 800400-80-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(acetylamino)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 800400-82-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-[(acetylamino)methyl]-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-85-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(methyl-4-piperidinylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

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800400-86-6 HCAPLUS RN1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CNfluorophenyl) methyl] -2- [methyl (tetrahydro-2H-pyran-4-yl) amino] -2-oxoethyl] -(CA INDEX NAME)

Absolute stereochemistry.

800400-87-7 HCAPLUS RN1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-CN (dimethylamino) -1-piperidinyl] -1-[(4-fluorophenyl)methyl] -2-oxoethyl]-(9CI) (CA INDEX NAME)

RN 800400-88-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-[(methylsulfonyl)amino]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-90-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(4-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-91-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(4-pyridinylmethyl)-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800400-92-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(1,4-dioxa-7-azaspiro[4.5]dec-7-yl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-93-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3R,4R)-3,4-dihydroxy-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-94-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S,4S)-3,4-dihydroxy-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

89

RN 800400-96-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-2-phenyl-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-99-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-2-oxo-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-00-7 HCAPLUS

CN lH-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-(methoxymethyl)-2-oxo-2-phenylethyl]- (9CI) (CA INDEX NAME)

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RN 800401-01-8 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-oxo-2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 800401-02-9 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-2(dimethylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & &$$

RN 800401-03-0 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]- (9CI)
(CA INDEX NAME)

RN 800401-04-1 HCAPLUS

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-CNfluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-05-2 HCAPLUS

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-CN fluorophenyl)methyl]-2-(hexahydro-5-oxo-1H-1,4-diazepin-1-yl)-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN800401-06-3 HCAPLUS

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-(2-oxo-2-phenylethyl)-CN(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & \begin{array}{c} H & C \\ \parallel & C \\ \end{array} \\ \begin{array}{c} C- NH- CH_2- C- Ph \end{array}$$

RN800401-09-6 HCAPLUS

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-CN. fluorophenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

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800401-10-9P 800401-11-0P 800401-12-1P
IT
     800401-13-2P 800401-14-3P 800401-15-4P
     800401-16-5P 800401-19-8P 800401-20-1P
     800401-21-2P 800401-23-4P 800401-24-5P
     800401-25-6P 800401-26-7P 800401-27-8P
     800401-28-9P 800401-29-0P 800401-30-3P
     800401-31-4P 800401-32-5P 800401-33-6P
     800401-44-9P 800401-45-0P 800401-47-2P
     800401-48-3P 800401-49-4P 800401-50-7P
     800401-51-8P 800402-16-8P 800402-17-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of
        glycogen phosphorylase)
     800401-10-9 HCAPLUS
RN
     1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-
CN
     fluorophenyl)methyl]-2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]- (9CI)
     (CA INDEX NAME)
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Absolute stereochemistry.

RN 800401-11-0 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[(1S)-2-(4-acetyl-1-piperazinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-6-chloro-(9CI) (CAINDEX NAME)

RN 800401-12-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 800401-13-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-14-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800401-15-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-16-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-19-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800401-20-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-(2-oxo-2-phenylethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O & O \\
 & H & C-NH-CH_2-C-Ph \\
\end{array}$$

RN 800401-21-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(methoxymethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-23-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800401-24-5 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-25-6 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-26-7 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-hydroxyethyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-27-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[(1S)-2-(4-acetyl-1-piperazinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-28-9 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(3-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-29-0 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-

fluorophenyl)methyl]-2-[(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CFINDEX NAME)

Absolute stereochemistry.

MeO
$$(CH_2)_3$$
 $(CH_2)_3$ $(CH_2$

RN 800401-30-3 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(4-hydroxybutyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HO
$$(CH_2)_4$$
 $(CH_2)_4$ (CH_2)

RN 800401-31-4 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, 6-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-32-5 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800401-33-6 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-44-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[(1R,2R)-2-hydroxycyclohexyl]amino]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-45-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[(1S,2R)-2-(hydroxymethyl)cyclohexyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800401-47-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(4-cyclopentyl-1-piperazinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-48-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(ethylmethylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-49-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800401-50-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(3-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-51-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(3-oxo-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800402-16-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-amino-1-piperidinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 800402-17-9 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-[(methylamino)methyl]-1-piperidinyl]-2-oxoethyl], monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

IT 800401-77-8P 800401-78-9P 800401-79-0P

800401-80-3P 800401-95-0P 800401-99-4P

800402-01-1P 800402-02-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

RN 800401-77-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[[2-(4-methoxyphenyl)-1,3-dioxolan-2-yl]methyl]- (9CI) (CA INDEX NAME)

RN 800401-78-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[[2-(3,4-difluorophenyl)-1,3-dioxolan-2-yl]methyl]- (9CI) (CA INDEX NAME)

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RN 800401-79-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[[2-(4-chlorophenyl)-1,3-dioxolan-2-yl]methyl]- (9CI) (CA INDEX NAME)

RN 800401-80-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[[2-(4-fluorophenyl)-1,3-dioxolan-2-yl]methyl]- (9CI) (CA INDEX NAME)

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RN 800401-95-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-[methyl](2-nitrophenyl)sulfonyl]amino]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-99-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-phenyl-1-(2-phenyl-1,3-dioxolan-2-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 800402-01-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-hydroxy-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800402-02-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-oxo-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L164 ANSWER 7 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:757715 HCAPLUS

DOCUMENT NUMBER: 139:261088

TITLE: Preparation of broad-spectrum cephem compounds

INVENTOR(S): Nishitani, Yasuhiro; Yamano, Yoshinori

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 209 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

42 -

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APPLICATION NO.
                               DATE
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PRIORITY APPLN. INFO.:
                                            JP 2002-73526
                                                                W 20030318 <--
                                            WO 2003-JP3249
                         MARPAT 139:261088
OTHER SOURCE(S):
    Entered STN: 26 Sep 2003
     Cephem compds. I (T is S, SO, or O; X is halogeno, CN, carbamoyl which may
AB
     be substituted with lower alkyl, lower alkyl, lower alkoxy, or lower
     alkylthio; A is substituted lower alkylene (wherein the substituent is
     optionally substituted mono-lower alkyl, optionally substituted lower
     alkylidene, or optionally substituted lower alkylene); and Z+ is an
     optionally substituted nitrogenous heterocyclic group having a cationic
     group), their ester, protected 7-aminothiazole, or pharmaceutically
     acceptable salts or solvates, are prepared I [X = Me, A = Me2C, T = S, Z =
     1-(3-methylaminopropyl)-1H-imidazo[4,5-b]pyridinium-4-yl-] was prepared and
     showed antibacterial activities superior to that of ceftazidime.
     604000-76-2P
IT
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (preparation of broad-spectrum cephem compds.)
     604000-76-2 HCAPLUS
RN
     1H-Pyrrolo[3,2-c]pyridinium, 2-(aminocarbonyl)-5-[[(6R,7R)-7-[[(2Z)-(2-
CN
     amino-5-chloro-4-thiazolyl) [[(1S)-1-carboxyethoxy]imino]acetyl]amino]-2-
     carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt
```

Absolute stereochemistry.

Double bond geometry as shown.

(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS 18 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2006 ACS on STN L164 ANSWER 8 OF 30

ACCESSION NUMBER:

2003:610451 HCAPLUS

DOCUMENT NUMBER:

139:164811

TITLE:

Preparation of 2,4a,5-triazafluorenes as 5-HT2

receptor ligands.

INVENTOR(S):

Adams, David Reginald; Bentley, Jonathan Mark; Blench, Toby Jonathan; Hebeisen, Paul; Monck, Nathaniel Julius Thomas; Richter, Hans; Roever, Stephan; Roffey,

Jonathan Richard Anthony; Taylor, Sven

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche A.-G., Switz.; Vernalis Research

Limited

SOURCE:

PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE		APPLICATION NO.						DATE					
WO 2003064423				A1 20030807			WO 2003-EP459						20030117 <					
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BR 2	3R 2003007291				Α	A 20041207			BR 2003-7291						20030117 <			
CN 1	N 1625558				A 20050608				CN 2003-802943					20030117 <				
JP 2	JP 2005521671				T2	T2 20050721			JP 2003-564046					20030117 <				
AT 3	AT 318817									AT 2003-702462					20030117 <			
PT 1	PT 1472255				T	T 20060630				PT 2003-702462					20030117 <			

OTHER SOURCE(S): MARPAT 139:164811

. 02

ED Entered STN: 08 Aug 2003

Title compds. [I; R1 = H, halo, alkyl, cycloalkyl, alkenyl, AB alkoxycarbonylalkenyl, alkoxy, alkoxyalkyl, arylalkoxy, hydroxyalkyl, cyano, cycloalkylalkoxyalkyl, alkoxyalkoxyalkyl, arylalkoxyalkyl, amino, haloalkyl, hydroxyalkoxy, alkoxyalkoxy, hydroxyalkoxyalkyl, alkylcarbonyl, haloalkylcarbonyl, alkylthio, alkenylthio, A1, A2; R2 = H, alkyl, alkoxy; R3 = alkyl, hydroxyalkyl, alkoxyalkyl; R4 = H, alkyl; A1 = RaORbRcC; Ra = H, alkyl, cycloalkyl, cycloalkylalkyl; Rb = H, alkyl; RaRb = atoms to form tetrahydrofuranyl; Rc = haloalkyl, alkyl, alkoxyalkyl, thiazolyl; A2 = RdReNCO2CRfRg; Rd = alkyl, cycloalkyl, aryl, aralkyl, alkenyl; Re = H, alkyl; RdReN = pyrrolidinyl, benzyloxycarbonylpiperazinyl; Rf, Rg = H, alkyl], were prepared To a solution of tert-Bu (R)-6-bromo-4-methyl-3,4dihydro-1H-2,4a,5-triazafluorene-2-carboxylate (preparation given) in 1,2-dimethoxyethane was added (PPh3)4Pd; after 30 min, saturated aqueous Na2CO3 and trimethylboroxine in THF were added and the resulting suspension was heated to reflux for 5 h to give 71.1% tert-Bu (R)-4,6-dimethyl-3,4dihydro-1H-2,4a,5-triazafluorene-2-carboxylate. The latter was treated with CF3CO2H in CH2Cl2 and then with HCl to give 57% (R)-4,6-dimethyl-1,2,3,4-tetrahydro-2,4a,5-triazafluorene hydrochloride. The latter showed functional activity at human 5-HT2C receptors with EC50 = 19.2 nM. I can be used for the treatment of disorders of the central nervous system, cardiovascular system, gastrointestinal system, diabetes, obesity, and sleep apnea.

IT 577711-82-1P

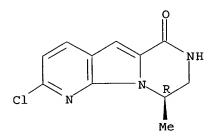
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of triazafluorenes as 5-HT2 receptor ligands)

RN 577711-82-1 HCAPLUS

CN Pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazin-6(7H)-one, 2-chloro-8,9-dihydro-9-methyl-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L164 ANSWER 9 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:221697 HCAPLUS

DOCUMENT NUMBER: 138:238006

TITLE:

Preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamid es for therapeutic use as nicotinic acetylcholine

receptor agonists

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INVENTOR(S):
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Wishka, Donn G.; Walker, Daniel Patrick; Corbett, Jeffrey W.; Reitz, Steven Charles; Rauckhorst, Mark

R.; Groppi, Vincent E., Jr.

PATENT ASSIGNEE(S):

Pharmacia & Upjohn Company, USA

PCT Int. Appl., 224 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

AB

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
· WO	WO 2003022856				A1	A1 20030320			WO 2002-US25959						20020904 <			
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EP	1425	286			A1		2004	0609		EP 2	002-	7571	32		2	0020	904	<
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MARPAT 138:238006 OTHER SOURCE(S):

Entered STN: 21 Mar 2003 ED

7-Aza[2.2.1]bicycloheptane derivs., such as amides I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, amide dihydrochloride II was prepared via a multistep synthetic sequence which included cycloaddn. of N-tert-butoxycarbonylpyrrole with BrC.tplbond.CCO2Me to form the azabicyclic ring, and subsequent amidation

reaction of tert-Bu (1S,2R,4R)-2-amino-7-azabicyclo[2.2.1]heptane-7carboxylate with 3-methylfuro[2,3-c]pyridine-5-carboxylic acid. prepared amides were assayed for human α 7-5HT3 receptor binding activity.

501892-47-3P, N-[(1S,2R,4R)-7-Azabicyclo[2.2.1]hept-2-yl]-1H-IT pyrrolo[2,3-c]pyridine-2-carboxamide dihydrochloride RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

501892-47-3 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-(1S,2R,4R)-7-CN azabicyclo[2.2.1]hept-2-yl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L164 ANSWER 10 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:769282 HCAPLUS

DOCUMENT NUMBER:

135:313616

TITLE:

Heterocyclic sulfonyl compounds and activated blood coagulation factor X (FXa) inhibitors containing them

INVENTOR(S):

Kobayashi, Shozo; Komoritani, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Yoshikawa, Kenji; Muto, Akira; Ozanai, Takeshi; Nakamoto, Yumi; Mochizuki, Akiyoshi; Nagata, Tsutomu

PATENT ASSIGNEE(S):

Daiichi Seiyaku Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 304 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

SOURCE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294572	A2	20011023	01 2000 30200	20000209 <
PRIORITY APPLN. INFO.:			JP 2000-38100	20000209 <

OTHER SOURCE(S):

MARPAT 135:313616

Entered STN: 23 Oct 2001 ED

Pharmaceuticals, useful for prevention and/or treatment of thrombus and AB

...'6 Shiao 10/8

embolus, contain Q1Q2T1SO2QA [I; Q1 = (un)substituted bicyclic or tricyclic group; Q2 = single bond, O, S, C1-6 alkylene, etc.; Q3 = N-containing cyclic group; QA = (un)substituted (hetero)arylalkenyl, bicyclic or tricyclic group, etc.; T1 = CO, (un)substituted methylene, etc.], their salts, or solvates. (2RS)-2-(N-tert-butoxycarbonylaminomethyl)-6-methoxycarbonyl-1,2,3,4-tetrahydronaphthalene was treated with NaOH, condensed with 1-[(6-chloronaphthalen-2-yl)sulfonyl]piperazine.HCl, and deprotected to give (RS)-I.HCl (Q1 = 6-aminomethyl-5,6,7,8-tetrahydronaphthalen-2-yl, Q2 = bond, T1 = CO, Q3 = 1,4-piperazinediyl, QA = 6-chloronaphthalen-2-yl, Q2 = bond, T1 = CO, Q3 = 1,4-piperazinediyl, QA = 1,4-piperazinediyl, QA = 6-chloronaphthalen-2-yl) in vitro inhibited human FXa with IC50 of 20 nM.

IT 259805-69-1P 259805-70-4P 368439-39-8P 368439-40-1P 368439-41-2P 368439-42-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic sulfonyl compds. as activated blood coagulation factor X inhibitors)

RN 259805-69-1 HCAPLUS

CN 5H-Pyrrolo[3,2-c]pyridine-5-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 259805-70-4 HCAPLUS

CN 5H-Pyrrolo[3,2-c]pyridine-5-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1,4,6,7-tetrahydro-1-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 368439-39-8 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

ີ. ບ

HCl

RN 368439-40-1 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 368439-41-2 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-ethyl-4,5,6,7-tetrahydro-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 368439-42-3 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1-methyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 259809-55-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic sulfonyl compds. as activated blood coagulation factor X inhibitors)

RN 259809-55-7 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-1,5(4H)-dicarboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

L164 ANSWER 11 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:133658 HCAPLUS

DOCUMENT NUMBER: 132:194391

TITLE: Preparation of sulfonyl moiety-containing heterocyclic

compounds as factor Xa inhibitors

INVENTOR(S): Kobayashi, Syozo; Komoriya, Satoshi; Haginoya,

Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu;

Nagahara, Takayasu; Nagata, Tsutomu; Horino, Haruhiko;

Ito, Masayuki; Mochizuki, Akiyoshi

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 883 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009480	A1	20000224	WO 1999-JP4344	19990811 <
W: AE, AL, AM,	AT. AU	. AZ. BA. BB	. BG. BR. BY. CA. CH.	CN. CU. CZ.

100

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DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
            MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
            ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            JP 1999-226878
                                                                    19990810 <--
    JP 2000119253
                          A2
                                20000425
                                            CA 1999-2340100
                                                                    19990811 <--
    CA 2340100
                          AA
                                20000224
                                                                    19990811 <--
                                            AU 1999-51963
    AU 9951963
                          A1
                                20000306
                                                                    19990811 <--
                                            EP 1999-937024
                                20010606
    EP 1104754
                          A1
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                                                    19990830 <--
                                            JP 1999-242814
                                20000526
    JP 2000143623
                          A2
                                                                    20010212 <--
                                            US 2001-762888
    US 6747023
                          B1
                                20040608
                                                                    20031009 <--
                                            US 2003-681205
    US 2004082611
                          A1
                                20040429
                                                                    19980811 <--
                                            JP 1998-227449
                                                                 Α
PRIORITY APPLN. INFO.:
                                            JP 1998-244175
                                                                 Α
                                                                    19980828 <--
                                                                 Α
                                            JP 1998-251674
                                                                    19980904 <--
                                                                 W
                                                                    19990811 <--
                                            WO 1999-JP4344
                                                                 A3 20010212 <--
                                            US 2001-762888
                         MARPAT 132:194391
    Entered STN: 25 Feb 2000
     The title compds. Q1Q2T1Q3SO2QA [wherein Q1 is an optionally substituted,
AB
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OTHER SOURCE(S):

saturated or unsatd., five- or six-membered cyclic hydrocarbon group, a fiveor six-membered heterocyclic group, or the like; Q2 is a single bond, oxygen, sulfur, C1-C6 alkylene or the like; Q3 is a heterocyclic ring (represented by several generic structures); QA is optionally substituted arylalkenyl, heteroarylalkenyl or the like; and T1 is carbonyl or the like] are prepared These compds. have potent factor Xa inhibiting effects and promptly exert satisfactory and persistent antithrombotic effects through oral administration, thus being useful as anticoagulant agents little accompanied with side effects. Several compds. of this invention in vitro showed IC50 values of 0.7 nM to 4.7 nM against factor Xa.

259805-66-8P 259805-67-9P 259805-68-0P IT259805-69-1P 259805-70-4P 259805-71-5P 259805-72-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonyl moiety-containing heterocyclic compds. as factor Xa inhibitors)

259805-66-8 HCAPLUS RN

Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-CN 1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:11) (9CI) INDEX NAME)

$$\begin{array}{c|c} H & O & N & S \\ \hline \\ HN & C & N & O \\ \end{array}$$

●11/10 HCl

RN 259805-67-9 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:13) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O & N & S \\ \hline N & C & N & O \\ \end{array}$$

●13/10 HCl

RN 259805-68-0 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-ethyl-4,5,6,7-tetrahydro-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)

●6/5 HCl

RN 259805-69-1 HCAPLUS

CN 5H-Pyrrolo[3,2-c]pyridine-5-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 259805-70-4 HCAPLUS

CN 5H-Pyrrolo[3,2-c]pyridine-5-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1,4,6,7-tetrahydro-1-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 259805-71-5 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1-methyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:7) (9CI) (CA INDEX NAME)

●7/5 HCl

RN 259805-72-6 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1,5-dimethyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:7) (9CI) (CA INDEX NAME)

●7/5 HCl

IT 259809-55-7P

1 40 .14

Me

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfonyl moiety-containing heterocyclic compds. as factor Xa inhibitors)

RN 259809-55-7 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-1,5(4H)-dicarboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L164 ANSWER 12 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:222935 HCAPLUS

DOCUMENT NUMBER:

130:267423

TITLE:

Preparation of N-(2-thiazolyl)indole-2-carboxamides

and analogs as CCK-A receptor agonists

INVENTOR (S):

Brodin, Roger; Boigegrain, Robert; Bignon, Eric;

Molimard, Jean-Charles; Olliero, Dominique

PATENT ASSIGNEE(S):

Sanofi, Fr.

SOURCE:

PCT Int. Appl., 121 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9915525	A1	19990401	WO 1998-FR2007	19980918 <

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AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
            UA, UG, US, UZ, VN, YU, ZW
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            FR 1997-11718
                                19990326
    FR 2768737
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                          В1
                                20000519
                                                                    19980423 <--
                                            FR 1998-5106
                                19991029
    FR 2777887
                          A1
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                          B3
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                                            ZA 1998-7961
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                          A1
                                19990412
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    AU 746707
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                                20020502
                                            EP 1998-944024
                                                                    19980918 <--
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           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                                                    19980918 <--
                                20000822
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                                            EE 2000-168
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                                20010416
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    TW 430664
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                                20010421
                                            TR 2000-200001218
                                                                    19980918 <--
                          T2
                                20010521
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                                            JP 2000-512830
                                                                    19980918 <--
    JP 2001517667
                          T2
                                20011009
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                                20031014
    JP 3456970
                                                                    19980918 <--
                                            NZ 1998-503339
                                20020328
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                                                                    19980918 <--
                                            IL 1998-134961
    IL 134961
                          A1
                                20020725
                                            NO 2000-1409
                                                                    20000317 <--
                                20000516
    NO 2000001409
                          Α
                          В1
                                20030324
    NO 314455
                                            HR 2000-153
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                          A1
                                20010430
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                                            BG 2000-104254
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    BG 104254
                                20010831
                          Α
                                                                    20000602 <--
                                            US 2000-508830
    US 6380230
                          В1
                                20020430
                                                                 A 19970919 <--
PRIORITY APPLN. INFO.:
                                            FR 1997-11718
                                                                 A 19980423 <--
                                             FR 1998-5106
                                            WO 1998-FR2007
                                                                 W 19980918 <--
                         MARPAT 130:267423
OTHER SOURCE(S):
     Entered STN: 12 Apr 1999
ED
     Title compds. [I; R = NHCOR3; R1 = MeOZ; R2 = R7CH2, R7CH2S, R7SCH2, etc.;
AΒ
     R3 = e.g., Z1(CH2)nR15 or Z1(CH2)mC6H4R15; R7 = (di)(methyl)cycloalkyl;
     R15 = CO2H or alkoxycarbonyl; Z = (un)substituted 1,2-phenylene; Z1 =
     (un) substituted indole-2,1-diyl; m = 0 or 1; n = 1-5] were prepared Thus, I
     (R1 = 2,5-dimethoxy-4-methylphenyl, R2 = 2-cyclohexylethyl) (II; R = NH2)
     was amidated by 1-tert-butoxycarbonylmethyl-5-methylindole-2-carboxylic
     acid (preparation each given) to give, after saponification, II (R =
NHCOZ1CH2CO2H, Z1
     = 5-methylindole-2,1-diyl). Data for biol. activity of I were given.
     221673-77-4P 221673-79-6P 221673-81-0P
TT
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of N-(2-thiazolyl)indole-2-carboxamides and analogs as CCK-A
        receptor agonists)
     221673-77-4 HCAPLUS
RN
     1H-Pyrrolo[3,2-c]pyridine-1-acetic acid, 2-[[[4-(4-chloro-2,5-
CN
     dimethoxyphenyl) -5-(2-cyclohexylethyl) -2-thiazolyl]amino]carbonyl]-,
     mono(trifluoroacetate) (9CI) (CA INDEX NAME)
     CM
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CRN 221673-76-3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 221673-79-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-1-acetic acid, 2-[[[4-(4-chloro-2,5-dimethoxyphenyl)-5-(2-cyclohexylethyl)-2-thiazolyl]amino]carbonyl]-5-methoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 221673-78-5 CMF C30 H33 Cl N4 O6 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 221673-81-0 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-acetic acid, 2-[[[4-(4-chloro-2,5-dimethoxyphenyl)-5-(2-cyclohexylethyl)-2-thiazolyl]amino]carbonyl]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 221673-80-9 CMF C29 H31 Cl N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L164 ANSWER 13 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1996:580282 HCAPLUS

125:221858

TITLE:

Preparation of tricyclic substituted benz[e]isoindoles

in rain in the part of

as $\alpha 1$ adrenergic antagonists

INVENTOR (S):

Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima Z.; Carroll, William A.; Drizin, Irene; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund L.; Elmore,

Steven W.; et al.

PATENT ASSIGNEE(S):

Abbott Laboratories, USA PCT Int. Appl., 180 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIŅD DATE	APPLICATION NO.	DATE
ыо осазова	71 10060901	WO 1996-US72	19960111 <
WO 9622992 W: AU, CA, JP,		WO 1996-0372	19900111 <
		GB, GR, IE, IT, LU, MC	, NL, PT, SE
US 5597823		US 1995-463528	
AU 9647457	A1 19960814	AU 1996-47457	19960111 <
AU 705283			
		EP 1996-903340	19960111 <
	B1 20000628		
		GB, GR, IT, LI, LU, NL	
AT 194141	E 20000715		
JP 2001504797	T2 20010410	JP 1996-522867	19960111 <
GR 3034485	T3 20001229	GR 2000-402174	20000926 <
PRIORITY APPLN. INFO.:		US 1995-379414	A 19950127 <
		US 1995-463528	A 19950605 <
		WO 1996-US72	W 19960111 <

OTHER SOURCE(S): MARPAT 125:221858

ED Entered STN: 30 Sep 1996

AB The title compds. [I; R1, R2 = H, alkoxy, OH, etc.; W = tricyclic heterocyclic ring system; n = 2-6] and their salts, useful in the treatment of benign prostatic hypertrophy (BPH), were prepared Thus, reaction of urea II with benz[e]isoindole III in the presence of (iPr)2NEt in DMSO afforded the desired product cis-IV.HCl which showed pA2 of 8.37 for inhibition of phenylepherine(PE)-induced contraction of rat vas.

IT 181282-07-5P 181282-28-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic substituted benz[e]isoindoles as α 1 adrenergic antagonists)

RN 181282-07-5 HCAPLUS

CN 1H-Pyrido[3',2':4,5]pyrrolo[3,2-d]pyrimidine-2,4(3H,5H)-dione, 3-[2-(1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl)ethyl]-5-methyl-, dihydrochloride, (3aR-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

19, .

•2 HCl

RN 181282-28-0 HCAPLUS
CN 1H-Pyrido[3',4':4,5]pyrrolo[3,2-d]pyrimidine-2,4(3H,5H)-dione,
3-[2-(1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl)ethyl]-,
monohydrochloride, (3aR-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

L164 ANSWER 14 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1975:97970 HCAPLUS

DOCUMENT NUMBER:

82:97970

TITLE:

Carbon-nitrogen vs nitrogen-nitrogen bond formation in

nitrenoid cyclization reactions. Pyrolysis of

3-azido-4-(2-pyridyl) carbostyrils

AUTHOR(S):

Ning, Robert Y.; Madan, Pradeep B.; Sternbach, Leo H.

CORPORATE SOURCE:

Chem. Res. Dep., Hoffmann-La Roche, Inc., Nutley, NJ,

USA

SOURCE:

Journal of Organic Chemistry (1973), 38(23),

3995-8

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE:

Journal

LANGUAGE:

English

ED Entered STN: 12 May 1984

AB Pyrolysis of I (R = H, Br; R1 = H, Et2NCH2CH2) gave mixts. of II and III.

IT 41895-22-1P 41895-23-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 41895-22-1 HCAPLUS

6H-Pyrido[2',3':4,5]pyrrolo[2,3-c]quinolin-6-one, 5,7-bis[2-CN (diethylamino)ethyl]-5,7-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & & & \\
N & & & \\
N & & & \\
CH_2-CH_2-NEt_2
\end{array}$$

$$Et_2N-CH_2-CH_2$$

2 HCl

41895-23-2 HCAPLUS RN

CN 6H-Pyrido[2',3':4,5]pyrrolo[2,3-c]quinolin-6-one, 2-bromo-5,7-bis[2-(diethylamino)ethyl]-5,7-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} & & \text{Br} \\ & & \\ & & \\ \text{N} & & \\ & & \\ \text{CH}_2-\text{CH}_2-\text{NEt}_2 \\ \\ \text{Et}_2\text{N}-\text{CH}_2-\text{CH}_2 \\ \end{array}$$

2 HCl

L164 ANSWER 15 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1973:546440 HCAPLUS

DOCUMENT NUMBER:

79:146440

TITLE:

Biosynthesis of porphyrins and related macrocycles. Synthesis of carbon-14-labeled pyrromethanes

AUTHOR (S):

Battersby, Alan R.; Evans, David A.; Gibson, Keith H.;

McDonald, Edward; Nixon, Leon

CORPORATE SOURCE:

Univ. Chem. Lab., Univ. Camb., Cambridge, UK

SOURCE:

Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (

1973), (15), 1546-56

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE:

Journal English

LANGUAGE:

ED Entered STN: 12 May 1984

Me 3-(4,5,6,7-tetrahydro-5-oxo-1H-pyrrolo[2,3-c]pyridin-3-yl)propionate-3-AB 14C (I), prepared in 6 steps from Et [2-(benzyloxy)-5-nitro-4pyridyl]pyruvate K enolate, with the 4-[methoxycarbonyl)methyl-14C]-5-(chloromethyl-14C) pyrrole (II), prepared in 5 steps from 2-Et 4-benzyl 3-[2-(ethoxycarbonyl)-ethyl]-5-methylpyrrole-2,4-dicarboxylate, gave the corresponding propionate [III; R = CO2CH2Ph, R1 = CH2CO2Me, R2 = (CH2)2CO2Me]. Debenzylation and decarboxylation gave III [R = H, R1 = CH2CO2Me, R2 = (CH2)2CO2Me]. III [R = H, R1 = (CH2)2CO2Me, R2 = CH2CO2Me] labeled at the dipyrrolylmethyl C was prepared similarly. Mild alkaline hydrolysis of the latter two compds. gave lactam ring cleavage and deesterification.

IT 50411-49-9P 50622-86-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 50411-49-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 3-[(dimethylamino)methyl]-N,N-dimethyl-5-(phenylmethoxy)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ \text{Ph-CH}_2 - \text{O} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

•2 HCl

RN 50622-86-1 HCAPLUS

CN Propanedioic acid, [[2-[(dimethylamino)carbonyl]-5-(phenylmethoxy)-1H-pyrrolo[2,3-c]pyridin-3-yl]methyl]-, diethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Ph-} & \text{CH}_2 - \text{O} & & \\ & & & \\ & & & \\ \text{CH}_2 - \text{CH-} & \text{C-OEt} \\ & & & \\$$

HCl

L164 ANSWER 16 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1970:450986 HCAPLUS

DOCUMENT NUMBER:

73:50986

TITLE: AUTHOR(S): Gamma-ray spectroscopy of potassium-42

Kawade, Kiyoshi; Yamamoto, Hiroshi; Yoshikawa, Kanzo; Iizawa, Katsuyuki; Kitamura, Isao; Amemiya, Susumu; Katoh, Toshio; Yoshizawa, Yasukazu

CORPORATE SOURCE: Fac. Eng., Nagoya Univ., Nagoya, Japan

Journal of the Physical Society of Japan (1970 SOURCE:

), 29(1), 43-6

CODEN: JUPSAU; ISSN: 0031-9015 Journal

DOCUMENT TYPE: LANGUAGE: English ED Entered STN: 12 May 1984

AB Decay of 42K was investigated by using a Ge(Li) detector and a NaI scintillation counter. Two new γ -ray peaks were observed at 0.692 and

1.228 MeV in the γ - γ coincidence spectrum. The 0.587 MeV

 γ -ray, previously reported by McCullen, et al., could not be seen and the upper limit of the intensity of this γ -ray relative to the 0.900 MeV γ -ray was 0.7%.

1433-05-2, properties

RL: RCT (Reactant); RACT (Reactant or reagent) (gamma rays from, from potassium-42 decay)

RN 1433-05-2 HCAPLUS

CN 6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 5,7,8,9-tetrahydro-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HCl

L164 ANSWER 17 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1970:515129 HCAPLUS

DOCUMENT NUMBER: 73:115129

TITLE: Hartree-Fock and Hartree-Fock-Bogolyubov calculations

for light nuclei

AUTHOR(S):

Sauer, P. U. CORPORATE SOURCE: Phys. Inst., Univ. Freiburg/Br., Freiburg/Br., Fed.

Rep. Ger.

SOURCE: Proceedings of the International School of Physics

Enrico Fermi (1969), Volume Date 1967, No.

40, 717-29

CODEN: PIPFA7; ISSN: 0074-784X

DOCUMENT TYPE: Journal LANGUAGE: English

ED Entered STN: 12 May 1984

AB The ground state properties (binding energy, quadrupole moment, deformation, and root-mean-square radius) of even-even light nuclei through Ca are calculated, by using Hartree-Fock and Hartree-Fock-Bogolyubov

methods. Agreement with experiment is only fair for some nuclei, but good for others.

IT **1433-05-2**, properties

RL: PRP (Properties)

(nuclear)

RN1433-05-2 HCAPLUS

CN 6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 5,7,8,9-tetrahydro-,

2.7

hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HCl

L164 ANSWER 18 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1966:420765 HCAPLUS

DOCUMENT NUMBER: 65:20765

ORIGINAL REFERENCE NO.: 65:3850h,3851a-c

TITLE: Indolization of 2,3-dioxopiperidine-3-(3-

pyridylhydrazones)

AUTHOR(S): Tacconi, Gianfranco; Perotti, Angelo

CORPORATE SOURCE: Univ. Pavia, Italy

SOURCE: Annali di Chimica (Rome, Italy) (1965),

55(12), 1223-32

CODEN: ANCRAI; ISSN: 0003-4592

DOCUMENT TYPE: Journal LANGUAGE: Italian

Entered STN: 22 Apr 2001 ED The Fischer indole synthesis carried out on the title compds. and on their AB N-oxides involves cyclization at the 2-position of the pyridine ring; if the pyridine ring is 2-substituted, the cyclization occurs at the 4-position. The title compds. were prepared as follows. A solution of 17.1 g. 3-carbethoxy-2-oxopiperidine (I), 7 g. KOH, and 200 ml. H2O kept 12 hrs. at 25-30°, 200 ml. EtOH and 12 ml. concentrated HCl added, the whole added at -10° with stirring to the diazo solution from 9.4 g. 3-aminopyridine (II), 7 g. NaNO2, 35 ml. concentrated HCl, and 70 ml. H2O, and the reaction mixture stirred 15 hrs. at -10° and 2 hrs. at room temperature yielded 12.6 g. III (X = H) (IIIa), as hydrochloride, m. 175-6° (EtOH-dilute HCl) (picrate m. 202-3°). By a similar procedure, I with the N-oxide of II gave the N-oxide (IV) of IIIa, as hydrochloride, m. 165-6°. Finally, I with 2-chloro-3-aminopyridine gave III (X = Cl) (IIIb), m. $181-2^{\circ}$. A mixture of 3 g. IIIa.HCl and 9 g. powdered ZnCl2 was heated at 130° , then at $200-5^{\circ}$ until gas evolution ceased. To the residue 9 ml. 2N HCl was added, the mixture refluxed 15 min., cooled, the precipitate (2.45 g., m. 259-61°) dissolved in hot H2O, and treated with picric acid to give 2.4 g. V picrate, m. 278-9°, which with resin Kastell A 300 in EtOH furnished V, m. 270-1° (H2O), $\lambda maximum$ 221 and 314 m $\mu.$ Similarly, 1 g. IV.-HCl with 3 g. ZnCl2 heated at 115°, then at 195°, yielded 0.8 g. of a solid which was hydrogenated in dilute EtOH and in the presence of Adams catalyst to give V. A mixture of 2 g. IIIb, 6 g. ZnCl2, and 0.6 g. NaCl heated at 140° , then at $165-70^{\circ}$, yielded 0.5 g. VI (X = Cl), m. >300° (H2O), λ maximum 229 and 292 m μ , which hydrogenated over Pd-C in dilute EtOH gave VI (X = H), m. $>300^{\circ}$, λ maximum 225 and 291 $m\mu.\,\,$ The proton N.M.R. spectra of V and VI were reported.

IT 6502-52-9, 1H-Dipyrido[3,4-b:4',3'-d]pyrrol-1-one, 8-chloro 2,3,4,9-tetrahydro-

(preparation of)

RN 6502-52-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c:5,4-c']dipyridin-1-one, 8-chloro-2,3,4,9-tetrahydro-(7CI, 8CI) (CA INDEX NAME)

L164 ANSWER 19 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1966:4032 HCAPLUS

DOCUMENT NUMBER: 64:4032

ORIGINAL REFERENCE NO.: 64:686a-h,687a-d

TITLE: Indolederivatives. Indolization of ketones

4-pyridylhydrazone 1-oxides

AUTHOR(S): Tacconi, Gianfranco; Pietra, Silvio

CORPORATE SOURCE: Univ. Pavia, Italy

SOURCE: Annali di Chimica (Rome, Italy) (1965),

55(8-9), 810-21

CODEN: ANCRAI; ISSN: 0003-4592

DOCUMENT TYPE: Journal LANGUAGE: Italian ED Entered STN: 22 Apr 2001

AB 5-Ethyl-2-methyl-4-nitropyridine 1-oxide (18.2 g.) in 300 ml. EtOH was hydrogenated at room temperature and atmospheric pressure with 1.8 g. Pd-C

until 3

moles H were adsorbed. Into the filtered solution cooled externally with ice dry HCl was bubbled 30-40 min., the solution evaporated to dryness in vacuo on a

steam bath, the residue taken up with Et2O and filtered to give 78% 5-ethyl-2-methyl-4-aminopyridine 1-oxide hydrochloride (I), m. 181-3° (ethanolic HCl); picrate m. 181-2°. 3-Methyl- (II) (80%), m. 219-20° and 2-methyl-4-aminopyridine 1-oxide hydrochloride (III) (77%), m. 191-2°, were similarly prepared from related nitropyridine 1-oxides. I (9.4 g.) in 35 ml. H2O and 10 ml. concentrated HCl, cooled until the internal temperature reached -15°, was diazotized with 3.5 g. NaNO2 in 10 ml. H2O, maintaining the internal temperature

below -10°. To this solution was added with stirring and maintaining the temperature below -10° a mixture prepd, as follows: to 8.6 g. 3-carbethoxy-2-piperidone and 8.55 g. KOH in 100 ml. H2O, kept overnight at 25-30°, 100 ml. EtOH and concentrated HCl until pH 2-3 was added. Addition of this mixture to the diazo solution ended, the whole was stirred 10 hrs. at -12°, kept 12 hrs. at room temperature, concentrated in vacuo on a steam bath to 1/3 initial volume, cooled, and filtered to give 9.5 g. 2,3-dioxopiperidine 3-[4-(5-ethyl-2-methyl)pyridylhydrazone 1-oxide] hydrochloride (IV), m. 212-15° (aqueous ethanolic HCl). By evaporating the filtrate to dryness in vacuo, dissolving the residue in 11.5 ml. boiling H2O, cooling, and filtering, another 4.1 g. IV was obtained. 2,3-Dioxopiperidine 3-[4-(3-methyl)-(V) (60%), m. 226-8°, and 2,3-dioxopiperidine 3-[4-(2-methyl)-pyridylhydrazone 1-oxide] hydrochloride (VI) (75%), m. 193-5°, were similarly prepared from II and III resp., with the difference for VI consisting in dissolving the filtrate residue in 20-25 ml. boiling EtOH, filtering, evaporating to dryness, taking up the residue in 10 ml. Me2CO and 1 ml. EtOH, and filtering.

(3.16 g.) and 11 g. ZnCl2 immersed in an oil bath at 110° stirred until the bath temperature reached 195-200°, maintained at this temperature until gas evolved, removed, cooled, the glassy product dissolved in 8 ml. 6N HCl, boiled with charcoal, filtered, cooled, the precipitate collected and recrystd. 3 times from H2O and once from 6N HCl to give 0.93 g. 1-hydroxy-3,4-dihydro-5-methyl-8-ethyl-6-aza-β-carboline 6-oxide hydrochloride, m. >300°. 1-Hydroxy-3,4-dihydro-8-methyl- (30%), m. 287-9° and 1-hydroxy-3,4 dihydro-5(7)-methyl-6-aza-β-carboline 6-oxide hydrochloride (VII) (28%), m. >300°, were similarly prepared from V and VI, resp. The position of the methyl group in VII was not 4-Pyridylhydrazone 1-oxides of certain ketones formed 2 series of hydrochlorides with base to acid molar ratios 1:1 and 2:1 (normal and abnormal salt), having very different infrared spectra: those of the normal salts showed a strong OH band at 2550-2380 cm.-1, while those of the abnormal salts lacked this band. The structure VIII was suggested for the abnormal hydrochlorides. VIII were prepared by this general procedure: to 0.02 mole 4-pyridylhydrazine 1-oxide (IX) in 0.01 mole 2N HCl was added 0.02 mole of a ketone, the precipitate collected, treated with a little absolute

EtOH, filtered, and crystallized from absolute EtOH (ketone, % yield, and m.p. given): cyclohexanone, 85, 207-8°; acetophenone, 93, 209°; ethyl pyruvate, 85, 155-7°. The normal 4-pyridylhydrazone 1-oxide hydrochlorides (X) of certain carbonyl compds. were prepared by this general procedure: to 0.02 mole IX in 0.02 mole 2N HCl was added 0.02 mole of a carbonyl compound, dissolved in equal weight of warm EtOH if solid. After short time the solution was filtered and the residue crystallized from

absolute EtOH

(carbonyl compound, % yield, m.p., and ir spectra given): cyclohexanone, 76, 212-13° (decomposition), OH 3.97 μ; acetophenone, 86, 239-40°, OH 4.21 μ; ethyl pyruvate, 70, 220-2°, OH 4.16 μ; cyclohexylpyruvamide, 89,243-4°, OH 4.21 μ; propionaldehyde, 70, 174-5°, OH 4.1 μ. Attempts to indolize the X of these carbonyl compds. was successful in the case of cyclohexanone only. Cyclohexanone 4-pyridylhydrazone 1-oxide hydrochloride (XI) (2 g.) was hydrogenated with 0.1 g. PtO2 in 60 ml. EtOH at atmospheric pressure and room temperature After 1.5 hrs.

and 250 ml. H adsorbed, the solution was filtered, evaporated to dryness in vacuo, the oily residue become crystalline after staying overnight, dissolved in 5 ml. H2O, filtered, and the filtrate poured into 1.5 ml. of a mixture of H2O and concentrated NH4H (1:1) to give 2 g. cyclohexanone 4-pyridylhydrazone, m. 169-70° (dilute MeOH 1:1). XI (2 g.), 6 g. ZnCl2 and 1 g. NaCl immersed in an oil bath, heated to 180°, and kept at this temperature until gas evolved, removed, cooled, dissolved in 5 ml. boiling 6N HCl, cooled, and filtered to give 1.12 g. crude product hydrogenated directly with 0.12 g. PtO2 in 18 ml. H2O and 0.3 ml. concentrated HCl at atmospheric pressure

and room temperature After 3 hrs. and 74 ml. H adsorbed the liquid was filtered, 0.78 g. NaOH was added portionwise to the warm filtrate, kept overnight and filtered to give 0.11 g. 6,7,8,9-tetrahydro-γ-carboline, m. 269-71° (decomposition) (EtOH). Cyclohexylpyruvamide 4-pyridylhydrazone 1-oxide hydrochloride (XII) (0.62 g.) hydrogenated with 0.05 g. PtO2 in 30 ml. H2O and 0.3 ml. concentrated HCl at atmospheric pressure and

room temperature, filtered after 1 hr. and 1 mole H adsorbed, and basified with NaHCO3 gave 0.45 g. cyclohexylpyruvamide 4-pyridylhydrazone, m. 168-9° (dilute EtOH 1:1). XII (3 g.) and 9 g. ZnCl2 warmed 30 min. in an oil bath at 218-20°, cooled, the glassy product crushed under Et2O, washed by decanting repeatedly with Et2O and C6H6, dried in vacuo, boiled in 15-20 ml. H2O with charcoal, filtered, and cooled gave 0.68 g. pyruvamide 4-pyridylhydrazone 1-oxide (XIII), m. 265-6° (H2O). XII

(0.5 g.) and 3 g. polyphosphoric acid heated at 135-40° 5 hrs., cooled, dissolved in 7 ml. H2O, the cold solution treated with charcoal, filtered, basified with 20% NaOH until pH 5-6, kept overnight, filtered, the residue dried on a porous dish and crystallized from H2O gave 0.29 g. XIII, also prepared (0.1 g.) by boiling for a few min. 0.4 g. ethyl pyruvate 4-pyridylhydrazone 1-oxide hydrochloride in 4 ml. absolute EtOH and 2 ml. concentrated NH4OH, concentrating, cooling, and filtering. 4329-61-7, 6H-Dipyrido[3,4-b:3',4'-d]pyrrol-6-one,

IT 5,7,8,9-tetrahydro-4-methyl-, 2-oxide, hydrochloride (preparation of)

4329-61-7 HCAPLUS RN

6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 5,7,8,9-tetrahydro-4-methyl-, CN 2-oxide, monohydrochloride (8CI) (CA INDEX NAME)

HCl

L164 ANSWER 20 OF 30 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1965:9057 HCAPLUS

DOCUMENT NUMBER: 62:9057

ORIGINAL REFERENCE NO.: 62:1637d-h,1638d-g

Indole derivatives. IX. Synthesis of 5-azatryptamine TITLE:

AUTHOR(S): Pietra, S.; Tacconi, G.

CORPORATE SOURCE: Univ. Pavia, Italy

Farmaco, Edizione Scientifica (1964), 19(9), SOURCE:

741-50

CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal Italian LANGUAGE:

CASREACT 62:9057 OTHER SOURCE(S):

Entered STN: 22 Apr 2001

cf. CA 59, 9954e. 4-Nitropyridine 1-oxide (5.6 g.) in 70 cc. anhydrous EtOH was hydrogenated over 0.15 g. 10% Pd-C at normal pressure and room temperature until 3 moles H was absorbed; the filtered solution was treated with HCl gas and concentrated in vacuo on a water bath to a small volume to give 3.75 g. 4-aminopyridine 1-oxide hydrochloride (I), m. 181-3° (decomposition). A suspension of 2 g. I in 55 cc. H2O and 16 cc. concentrated HCl was cooled to -15°, diazotized at -10° with a solution of 5.6 g. NaNO2 in 16

cc. H2O, and maintaining the same temperature, a solution was added, which had been

prepared as follows: 13.6 g. 3-carbethoxy-2-piperidone, 5.6 g. KOH, and 160 cc. H2O was kept 12 hrs. at 25-30°, then 200 cc. EtOH and concentrated HCl was added until pH 2-3. The mixture was kept 24 hrs. at -10° and 2 hrs. at room temperature, and the precipitate filtered to give 10.7 g. 3-(4-pyridylhydrazone 1-oxide) of 2,3-dioxopiperidine hydrochloride (II), m. 256-7° (decomposition) (1:1 acidified H2O-EtOH). The concentrated mother liquor was treated with 24 cc. boiling H2O to give, after cooling, another 5.5 g. II (containing 25% inorg. salts). II (0.5 g.) in 8 cc. EtOH and 6 cc. H2O was treated with 6 cc. Kastel A 300 resin, previously treated with 4%

e - ..

NaOH and washed with H2O and EtOH; after 24 hrs. the resin was filtered off and the solution evaporated to dryness to give 0.31 g. free base of II, m. 252° (70% EtOH). This same product was prepared in 8% yield by diazotization with a buffer of AcONa. A mixture of 5.1 g. II, 2.5 g. powdered NaCl, and 15 g. ZnCl2 was heated at 110°, the bath temperature was raised to 195-200°, and the mixture stirred until an exothermic reaction took place, cooled, taken up in 20 cc. warm N HCl, boiled, treated with C, and filtered to give a precipitate of 1.5 g. 1-hydroxy-3,4-dihydro-6-aza- β carboline 6oxide hydrochloride (III), m. 264-5° (3:2 and 4:1 ${\tt H2O-HCl)}$. The free base of III (0.83 g.), m. above 300° (H2O), was prepared by treating 1 g. III in 20 cc. H2O with 10% NaOH to pH 9-10. III (2.4 g.) was suspended in 50 cc. H2O and hydrogenated over 0.5 g. Pd-C at normal pressure and room temperature; after 90 min. 1 mole H was absorbed, the mixture filtered, 30 cc. EtOH added, and the solution evaporated in vacuo to dryness to give 1.9 g. 1-hydroxy-3,4-dihydro-6-aza-β6-carboline hydrochloride (IV), m. above 300° (4:1 H2O-HCl). The free base of $\overline{\text{IV}}$, prepared as above, m. above 300° (H2O). III (4 g.) was refluxed 5 hrs. with 60 cc. 2:1 HCl-H2O to give, after cooling, 4.25 g. 3-(2-aminoethyl)-5-azaindole-2-5azacarboxylic acid 5-oxide hydrochloride (V), m. 288-9° (decomposition) (2:1 HCl-H2O). The free base of V (1.92 g.), m. above 300° (H2O), was prepared by refluxing 2 g. III during 5 hrs. with 40 cc. 1:1 aqueous alc. KOH, concentrating in vacuo, neutralizing with 3.5

cc. AcOH, and filtering. IV (3.9 g.) was refluxed 5 hrs. with 60 cc. 1:1 H2O-HCl and cooled to give 4.4 g. 3-(2-aminoethyl)-5azaindole-2-carboxylic acid hydrochloride (VI), m. above 300° 2:1 HCl-H2O). Hydrogenation as above of 1.4 g. V gave also 1.25 g. VI. VI free base was prepared quant. by treating a solution of VI in 4 parts H2O with NaOH to pH 8-9. Amixt. of 1 g. VI free base (dried at 135-40°/0.1-0.05 mm. 3-4 hrs.) and 0.75 g. electrolytic Cu was added in 2 portions to 16 cc. boiling quinoline; after 10-12 min. CO2 development was finished, the solvent was evaporated in vacuo, the residue washed with a steam current, the aqueous solution treated

with

- C, filtered, and evaporated to dryness, the residue taken up in 5 cc. EtOH, a small amount of IV filtered off, and the solution evaporated in vacuo to give 0.6
- g. 5-azatryptamine (VII), as a brown oil. VII (0.54 g.) was heated 5 min. with 3.6 cc. Ac20 on a water bath, the mixture evaporated in vacuo, and the residue taken up in H2O. This procedure was repeated 4 times, 3 cc. saturated NaHCO3 solution added, and the mixture kept 2 days to give 0.48 g. N-acetyl-5-azatryptamine, m. 215-16° decomposition) (H2O). VII (0.27 g.) and 0.5 g. phthalic anhydride were mixed and heated with stirring in an oil bath at 210° 15 min.; the product was taken up in Et2O, the mixture filtered, the residue suspended in 6 cc. warm H2O and cooled, 3-4 cc. saturated NaHCO3 solution added, and the mixture filtered to give 0.35 g. N-phthalyl-5-azatryptamine, m. 255-6° (decomposition) (EtOH).

RN 1433-04-1 HCAPLUS

CN 6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 5,7,8,9-tetrahydro-, 2-oxide, monohydrochloride (8CI) (CA INDEX NAME)

HCl

RN 1433-05-2 HCAPLUS

6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 5,7,8,9-tetrahydro-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

CN

HCl

=> d ibib ab hitstr 21-27
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, CAOLD' - CONTINUE? (Y)/N:y

L164 ANSWER 21 OF 30 USPATFULL on STN

DUPLICATE 2

ACCESSION NUMBER:

TITLE:

2003:294870 USPATFULL Piperazine derivatives

INVENTOR(S):

Adams, David Reginald, Wokingham, UNITED KINGDOM Bentley, Jonathan Mark, Wokingham, UNITED KINGDOM

Blench, Toby Jonathan, Wokingham, UNITED KINGDOM Hebeisen, Paul, Basle, SWITZERLAND

Monck, Nathaniel Julius Thomas, Wokingham, UNITED

KINGDOM

Richter, Hans, Grenzach-Wyhlen, GERMANY, FEDERAL

REPUBLIC OF

Roever, Stephan, Inzlingen, GERMANY, FEDERAL REPUBLIC

OF

Roffey, Jonathan Richard Anthony, Wokingham, UNITED

KINGDOM

Taylor, Sven, Riedisheim, FRANCE

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 2003207888		20031106		<
APPLICATION INFO.:	US 7098337 US 2003-350616	B2 A1	20060829 20030124	(10)	<

NUMBER DATE ______

GB 2002-2015 20020129 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 LEGAL REPRESENTATIVE:

KINGSLAND STREET, NUTLEY, NJ, 07110

NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 3712

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to compounds of formula (I) ##STR1##

as well as pharmaceutically acceptable salts, solvates and esters thereof. These compounds can be used to prepare pharmaceutical compositions for the treatment or prevention of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, gastrointestinal disorders, diabetes, obesity and sleep apnoea.

577711-82-1P IT

(preparation of triazafluorenes as 5-HT2 receptor ligands)

577711-82-1 USPATFULL RN

Pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazin-6(7H)-one, 2-chloro-8,9-dihydro-9-CN methyl-, (9R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L164 ANSWER 22 OF 30 USPATFULL on STN

ACCESSION NUMBER:

TITLE:

2005:177869 USPATFULL

INVENTOR(S):

Broad -spectrum cephem compounds Nishitani, Yasuhiro, Osaka-shi, JAPAN Yamano, Yoshinori, Toyonaka-shi, JAPAN

PATENT ASSIGNEE(S):

SHIONOGI & CO., LTD., Osak-shi, JAPAN (non-U.S.

corporation)

	NUMBER	KIND DATE		
PATENT INFORMATION: APPLICATION INFO.:	US 2005153950 US 2003-507502 WO 2003-JP3249	A1 20050714 A1 20030318 20030318	(10)	<
	NUMBER	DATE		
PRIORITY INFORMATION:	JP 2002-73526	20020318		<

DOCUMENT TYPE:

Utility APPLICATION

FILE SEGMENT: LEGAL REPRESENTATIVE:

FOLEY AND LARDNER, SUITE 500, 3000 K STREET NW,

WASHINGTON, DC, 20007, US

NUMBER OF CLAIMS: 26 EXEMPLARY CLAIM: 1 LINE COUNT: 4829

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of the formula: ##STR1## (wherein, T is S, SO or O; X is halogen, CN, carbamoyl optionally substituted with lower alkyl, lower alkyl, lower alkyl, lower alkyl, lower alkylene (wherein the substituent is optionally substituted mono lower alkyl, optionally substituted lower alkylidene, or optionally substituted lower alkylene);

Z.sup.+ is an optionally substituted, a cation and an N atom-containing heterocyclic group), ester, amino-protected compound wherein the amino bonds to a thiazole ring at the 7-position, or pharmaceutically acceptable salt or solvate thereof.

IT 604000-76-2P

(preparation of broad-spectrum cephem compds.)

RN 604000-76-2 USPATFULL

CN 1H-Pyrrolo[3,2-c]pyridinium, 2-(aminocarbonyl)-5-[[(6R,7R)-7-[[(2Z)-(2-amino-5-chloro-4-thiazolyl)[[(1S)-1-carboxyethoxy]imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

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L164 ANSWER 23 OF 30 USPATFULL on STN

ACCESSION NUMBER:

2005:31488 USPATFULL 5HT2c receptor agonists

TITLE: INVENTOR(S):

Blench, Toby Jonathan, Winnersh, UNITED KINGDOM

Hebeisen, Paul, Basel, SWITZERLAND

KIND

Richter, Hans, Grenzach-Wyhlen, GERMANY, FEDERAL

REPUBLIC OF

NUMBER

Roever, Stephan, Inzlingen, GERMANY, FEDERAL REPUBLIC

DATE

OF

PATENT INFORMATION: APPLICATION INFO.:	US 2005026925 US 2004-876954	A1 20050203 A1 20040625	(10)	
	NUMBER	DATE		
PRIORITY INFORMATION: DOCUMENT TYPE:	GB 2003-14967 Utility	20030626	<-	

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340

KINGSLAND STREET, NUTLEY, NJ, 07110

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

LINE COUNT:

4227

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ッシ

The present invention provides piperazine derivatives of formula (I)

##STR1##

as well as pharmaceutically acceptable salts and esters thereof, wherein R.sup.1 to R.sup.5 have the significance given in the description. They can be used for the treatment of obesity.

823217-65-8P 823217-76-1P IT

(preparation of triazafluorenes as 5-HT2C receptor agonists for the treatment of diabetes and obesity)

823217-65-8 USPATFULL RN

Pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazin-6(7H)-one, 2-chloro-3-ethoxy-8,9-CN dihydro-9-methyl-, (9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

823217-76-1 USPATFULL RN

Pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazin-6(7H)-one, 2-chloro-8,9-dihydro-3,9-CN dimethyl-, (9R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L164 ANSWER 24 OF 30 USPATFULL on STN

ACCESSION NUMBER:

2004:108209 USPATFULL

TITLE:

Novel sulfonyl derivatives

INVENTOR (S):

Kobayashi, Syozo, Tokyo, JAPAN Komoriya, Satoshi, Tokyo, JAPAN Haginoya, Noriyasu, Tokyo, JAPAN Suzuki, Masanori, Tokyo, JAPAN Yoshino, Toshiharu, Tokyo, JAPAN Nagahara, Takayasu, Tokyo, JAPAN

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Nagata, Tsutomu, Tokyo, JAPAN Horino, Haruhiko, Tokyo, JAPAN Ito, Masayuki, Tokyo, JAPAN

Mochizuki, Akiyoshi, Tokyo, JAPAN

PATENT ASSIGNEE(S): DAIICHI PHARMACEUTICAL CO., LTD., Tokyo, JAPAN

(non-U.S. corporation)

PATENT INFORMATION: US 2004082611 A1 2004042 APPLICATION INFO.: US 2003-681205 A1 2003100

APPLICATION INFO.: US 2003-681205 A1 20031009 (10) <-RELATED APPLN. INFO.: Division of Ser. No. US 2001-762888, filed on 12 Feb

2001, PENDING A 371 of International Ser. No. WO

1999-JP4344, filed on 11 Aug 1999, UNKNOWN

JP 1998-251674 19980904 <--

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940

DUKE STREET, ALEXANDRIA, VA, 22314

NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
LINE COUNT: 25945

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

141 1 1 1000

AB Described in the present invention are a sulfonyl derivative represented by the following formula (I):

Q.sup.1-Q.sup.2-T.sup.1-Q.sup.3-S0.sub.2-Q.sup.A (I)

[wherein Q.sup.1 represents a saturated or unsaturated 5- or 6-membered cyclic hydrocarbon group, 5- or 6-membered heterocyclic group, dicyclic fused ring or tricyclic fused ring group which may have a substituent;

Q.sup.2 represents a single bond, an oxygen atom, a sulfur atom, a linear or branched C.sub.1-6 alkylene group or the like;

Q.sup.A represents an arylalkenyl group which may have a substituent or a heteroarylalkenyl group which may have a substituent; and

T.sup.1 represents a carbonyl group or the like] and a medicament comprising the same. The compound has strong FXa inhibitory action, provides prompt, sufficient and long-lasting anti-thrombus effects when orally administered, and has low side effects and is therefore useful as an excellent anticoagulant.

IT 259805-66-8P 259805-67-9P 259805-68-0P

259805-69-1P 259805-70-4P 259805-71-5P

259805-72-6P

(preparation of sulfonyl moiety-containing heterocyclic compds. as factor Xa inhibitors)

RN 259805-66-8 USPATFULL

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H & O & N & S \\
N & C & N & O \\
\end{array}$$

●11/10 HCl

RN 259805-67-9 USPATFULL
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:13)
(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H & O & N & S \\
N & O & N & S \\
N & O & O & C1
\end{array}$$

●13/10 HCl

RN 259805-68-0 USPATFULL
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-ethyl-4,5,6,7-tetrahydro-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)

●6/5 HCl

RN 259805-70-4 USPATFULL

5H-Pyrrolo[3,2-c]pyridine-5-carboxylic acid, 2-[[4-[(6-chloro-2-CN naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1,4,6,7-tetrahydro-1methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & Me & O & N & S \\ \hline & N & C & N & O \\ \hline & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN259805-71-5 USPATFULL

Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1-CNmethyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:7) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & O & N & S \\ \hline & N & C & N & O \\ \hline & N & C & N & C \\ \end{array}$$

●7/5 HCl

RN

259805-72-6 USPATFULL Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-CN 1,5-dimethyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:7) (9CI) (CA INDEX NAME)

●7/5 HCl

259809-55-7P

(preparation of sulfonyl moiety-containing heterocyclic compds. as factor Xa inhibitors)

259809-55-7 USPATFULL RN

1H-Pyrrolo[3,2-c]pyridine-1,5(4H)-dicarboxylic acid, 2-[[4-[(6-chloro-2-CNnaphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

L164 ANSWER 25 OF 30 USPATFULL on STN

ACCESSION NUMBER: 2004:141182 USPATFULL

TITLE: Sulfonyl derivatives

Kobayashi, Syozo, Tokyo, JAPAN Komoriya, Satoshi, Tokyo, JAPAN INVENTOR(S): Haginoya, Noriyasu, Tokyo, JAPAN Suzuki, Masanori, Tokyo, JAPAN Yoshino, Toshiharu, Tokyo, JAPAN Nagahara, Takayasu, Tokyo, JAPAN Nagata, Tsutomu, Tokyo, JAPAN Horino, Haruhiko, Tokyo, JAPAN

Ito, Masayuki, Tokyo, JAPAN Mochizuki, Akiyoshi, Tokyo, JAPAN

Daiichi Pharmaceutical Co., Ltd., Tokyo, JAPAN PATENT ASSIGNEE(S):

(non-U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 6747023	B1	20040608		
	WO 2000009480		20000224		<
APPLICATION INFO.:	US 2001-762888		20010212	(9)	<
	WO 1999-JP4344		19990811		<

NUMBER DATE PRIORITY INFORMATION: JP 1998-227449 19980811 JP 1998-244175 19980828 <--JP 1998-251674 19980904 DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED Raymond, Richard L. PRIMARY EXAMINER:

ASSISTANT EXAMINER: Habte, Kahsay

LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.

NUMBER OF CLAIMS: 24 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 23888

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Described in the present invention are a sulfonyl derivative represented by the following formula (I):

Q.sup.1--Q.sup.2--T.sup.1--Q.sup.3--SO.sub.2--Q.sup.A (I)

[wherein Q.sup.1 represents a saturated or unsaturated 5- or 6-membered cyclic hydrocarbon group, 5- or 6-membered heterocyclic group, dicyclic fused ring or tricyclic fused ring group which may have a substituent;

Q.sup.2 represents a single bond, an oxygen atom, a sulfur atom, a linear or branched C.sub.1-6 alkylene group or the like;

Q.sup.A represents an arylalkenyl group which may have a substituent or a heteroarylalkenyl group which may have a substituent; and

T.sup.l represents a carbonyl group or the like] and a medicament comprising the same. The compound has strong FXa inhibitory action, provides prompt, sufficient and long-lasting anti-thrombus effects when orally administered, and has low side effects and is therefore useful as an excellent anticoagulant.

IT 259805-66-8P 259805-67-9P 259805-68-0P

259805-69-1P 259805-70-4P 259805-71-5P

259805-72-6P

(preparation of sulfonyl moiety-containing heterocyclic compds. as factor Xa inhibitors)

RN 259805-66-8 USPATFULL

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O & N & S \\ \hline N & C & N & O \\ \end{array}$$

●11/10 HCl

RN 259805-67-9 USPATFULL

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:13) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H & 0 & N & S \\
N & C & N & 0
\end{array}$$
C1

●13/10 HCl

RN 259805-68-0 USPATFULL

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-ethyl-4,5,6,7-tetrahydro-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)

●6/5 HCl

RN 259805-69-1 USPATFULL

CN 5H-Pyrrolo[3,2-c]pyridine-5-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1,4,6,7-tetrahydro-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 259805-70-4 USPATFULL

CN 5H-Pyrrolo[3,2-c]pyridine-5-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1,4,6,7-tetrahydro-1-

methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 259805-71-5 USPATFULL

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1-methyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:7) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} & \text{N} & \text{S} \\ \hline & \text{N} & \text{C} & \text{N} & \text{O} \\ & \text{N} & \text{C} & \text{C} \\ \end{array}$$

●7/5 HCl

RN 259805-72-6 USPATFULL

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1,5-dimethyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:7) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} & \text{N} - \text{S} \\ \hline & \text{N} & \text{C} - \text{N} & \text{O} \\ \end{array}$$

●7/5 HCl

IT 259809-55-7P

(preparation of sulfonyl moiety-containing heterocyclic compds. as factor Xa inhibitors)

RN 259809-55-7 USPATFULL

CN 1H-Pyrrolo[3,2-c]pyridine-1,5(4H)-dicarboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

L164 ANSWER 26 OF 30 USPATFULL on STN

ACCESSION NUMBER:

2003:153421 USPATFULL

TITLE:

Substituted 7-aza[2.2.1]bicycloheptanes for the

treatment of disease

INVENTOR (S):

Wishka, Donn G., Kalamazoo, MI, UNITED STATES Walker, Daniel Patrick, Kalamazoo, MI, UNITED STATES Corbett, Jeffrey W., Portage, MI, UNITED STATES Reitz, Steven Charles, Toledo, OH, UNITED STATES Rauckhorst, Mark R., Portage, MI, UNITED STATES

Groppi, Vincent E., JR., Kalamazoo, MI, UNITED STATES

	NUMBER	KIND DATE	
PATENT INFORMATION:	US 2003105089	A1 20030605	<
APPLICATION INFO.:	US 2002-234575	A1 20020904	(10) <
	NUMBER	DATE	
PRIORITY INFORMATION:	US 2001-322346P	20010912 (60)	<
	US 2001-322333P	20010912 (60)	<
	US 2001-322100P		<
	US 2002-399530P		<
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	PHARMACIA & UPJOH	N, 301 HENRIETTA	ST, 0228-32-LAW,
BEOLE NEI NEU NEU NEU NEU NEU NEU NEU NEU NEU NEU	KALAMAZOO, MI, 49		
NUMBER OF CLAIMS:	70		
EXEMPLARY CLAIM:	1		
LINE COUNT:	7572		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides compounds of Formula I: AB

> which may be in the form of pharmaceutical acceptable salts or compositions, are useful in treating diseases or conditions in which α 7 nicotinic acetylcholine receptors (nAChRs) are known to be involved.

IT 501892-47-3P, N-[(1S,2R,4R)-7-Azabicyclo[2.2.1]hept-2-yl]-1H-

pyrrolo[2,3-c]pyridine-2-carboxamide dihydrochloride

(preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

501892-47-3 USPATFULL RNCN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-(1S,2R,4R)-7azabicyclo[2.2.1]hept-2-yl-, dihydrochloride (9CI) (CA INDEX NAME) Absolute stereochemistry.

●2 HCl

L164 ANSWER 27 OF 30 USPATFULL on STN

ACCESSION NUMBER:

2002:95821 USPATFULL

TITLE:

Carboxamidothiazole derivatives, preparation,

pharmaceutical compositions containing them Brodin, Roger, Montpellier, FRANCE

INVENTOR(S):

Brodin, Roger, Montpellier, FRANCE
Boigegrain, Robert, Assas, FRANCE
Bignon, Eric, Pinsaguel, FRANCE

Molimard, Jean Charles, Saint Gely Du Fesc, FRANCE

Olliero, Dominique, Montpellier, FRANCE

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Paris, FRANCE (non-U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 6380230	B1	20020430		<
	WO 9915525		19990401		<
APPLICATION INFO.:	US 2000-508830		20000602	(9)	<
	WO 1998-FR2007		19980918		<
			20000602	PCT 3	71 date

FORMATION: FR 1997-11718 19970919 <--FR 1998-5106 19980423 <--

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Gerstl, Robert

LEGAL REPRESENTATIVE: Alexander, Michael D., Dupont, Paul E.

NUMBER OF CLAIMS: 33 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 2847

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to cholecystokinin (CCK)-agonist substituted thiazoles of formula: ##STR1##

in which R.sub.1 is a substituted phenyl group, R.sub.2 is a group chosen from CH.sub.2--R.sub.7, (CH.sub.2).sub.2--R.sub.7, S--CH.sub.2--R.sub.7, CH.sub.2--S--R.sub.7 and (C.sub.5-C.sub.8)alkyl with R.sub.7 being a (C.sub.5-C.sub.7)cycloalkyl group, and R.sub.3 is a group ##STR2##

with R.sub.8 being a group (CH.sub.2).sub.nR.sub.15 or ##STR3##

and R.sub.15 being COOH or COO(C.sub.1-C.sub.4) alkyl. The invention also relates to a process for the preparation of the pharmaceutical compositions containing them and to their uses for the preparation of medicines.

IT 221673-77-4P 221673-79-6P 221673-81-0P

(preparation of N-(2-thiazolyl)indole-2-carboxamides and analogs as CCK-A receptor agonists)

RN 221673-77-4 USPATFULL

CN 1H-Pyrrolo[3,2-c]pyridine-1-acetic acid, 2-[[[4-(4-chloro-2,5-dimethoxyphenyl)-5-(2-cyclohexylethyl)-2-thiazolyl]amino]carbonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 221673-76-3 CMF C29 H31 Cl N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 221673-79-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-1-acetic acid, 2-[[[4-(4-chloro-2,5-dimethoxyphenyl)-5-(2-cyclohexylethyl)-2-thiazolyl]amino]carbonyl]-5-methoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 221673-78-5

CMF C30 H33 C1 N4 O6 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CM 1

CRN 221673-80-9 CMF C29 H31 Cl N4 O5 S

CM 2

a. .

CRN 76-05-1 CMF C2 H F3 O2

=> fil caold FILE 'CAOLD' ENTERED AT 16:32:09 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> file stnguide FILE 'STNGUIDE' ENTERED AT 16:32:25 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 25, 2006 (20061025/UP).

=> d iall hitstr 28
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, CAOLD' - CONTINUE? (Y)/N:y

L164 ANSWER 28 OF 30 CAOLD COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: CA65:3851c CAOLD

TITLE: studies on the decarbonylation and decarboxylation reactions

of 5,6-epoxy-4,5-diphenyl-2-pyrone

AUTHOR NAME: Padwa, Albert; Hartman, R. B.

INDEX TERM: 954-54-1 1203-80-1 2348-77-8 6496-80-6

6502-52-9 6502-53-0 6620-27-5

IT 6502-52-9

RN 6502-52-9 CAOLD

CN 1H-Pyrrolo[2,3-c:5,4-c']dipyridin-1-one, 8-chloro-2,3,4,9-tetrahydro-(7CI, 8CI) (CA INDEX NAME)

=> d iall hitstr 29-30
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, CAOLD' - CONTINUE? (Y)/N:y

L164 ANSWER 29 OF 30 CAOLD COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: CA64:686a CAOLD

TITLE: indole derivs.-indolization of ketones 4-pyridylhydrazone

1-oxides

Sept. 10 1 1 1 1 2 3

AUTHOR NAME: Tacconi, Gianfranco; Pietra, S.

INDEX TERM: 1135-35-9 4329-57-1 4329-61-7 4329-62-8

4329-63-9 4329-64-0 4329-69-5 4329-70-8 4329-71-9

4552-86-7 6688-62-6 6688-63-7 6688-64-8 6688-65-9 6688-66-0 6688-68-2 6688-69-3 **6806-57-1** 13509-08-5 13553-92-9 96748-91-3

96776-15-7

IT 4329-61-7 4552-86-7 6806-57-1

96776-15-7

RN 4329-61-7 CAOLD

CN 6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 5,7,8,9-tetrahydro-4-methyl-, 2-oxide, monohydrochloride (8CI) (CA INDEX NAME)

● HCl

RN 4552-86-7 CAOLD

CN 6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 4-ethyl-5,7,8,9-tetrahydro-1-methyl-, 2-oxide, monohydrochloride (8CI) (CA INDEX NAME)

HC1

RN 6806-57-1 CAOLD

CN $6H-Pyrrolo\left[2,3-c:4,5-c'\right] dipyridin-6-one, \ 5,7,8,9-tetrahydro-3-methyl-, \\$ 2-oxide, hydrochloride (7CI, 8CI) (CA INDEX NAME)

HCl

96776-15-7 CAOLD RN

6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 5,7,8,9-tetrahydro-1-methyl-, CN 2-oxide, hydrochloride (7CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & H \\ HN & N \\ \hline \end{array}$$

● HCl

L164 ANSWER 30 OF 30 CAOLD COPYRIGHT 2006 ACS on STN

CA62:1637d CAOLD ACCESSION NUMBER:

indole derivs. - (IX) synthesis of 5-azatryptamine Pietra, Silvio; Tacconi, G. TITLE:

AUTHOR NAME:

INDEX TERM: 1137-00-4 1203-80-1 1207-13-2 1207-18-7 659-05-2

1210-55-5 1211-96-7 1211-97-8 1433-03-0

1433-04-1 1433-05-2 1433-06-3

1778-77-4 7647-01-0 1778-74-1 1778-75-2 1778-76-3

10/26/2006 Shiao 10/849/089 A Principle 1 10/26/2006

90946-20-6 93692-35-4 94487-85-1

IT 1433-04-1 1433-05-2

RN 1433-04-1 CAOLD

CN 6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 5,7,8,9-tetrahydro-, 2-oxide, monohydrochloride (8CI) (CA INDEX NAME)

HCl

RN 1433-05-2 CAOLD

CN 6H-Pyrrolo[2,3-c:4,5-c']dipyridin-6-one, 5,7,8,9-tetrahydro-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

• HCl

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=> d que nos 1147
L1
               STR
        45329 SEA FILE=REGISTRY SSS FUL L1
L2
L32
               STR
         4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32
L34
L42
               STR
          1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
L45
           93 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L45
            13 SEA FILE=HCAPLUS ABB=ON PLU=ON L46
L48
               QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
               <2004 OR REVIEW/DT
             7 SEA FILE=HCAPLUS ABB=ON PLU=ON L48 AND L49
L51
             6 SEA FILE=HCAPLUS ABB=ON PLU=ON L48 NOT L51
L52
          608 SEA FILE=REGISTRY ABB=ON PLU=ON L45 AND CL/ELS
L127
          39 SEA FILE=HCAPLUS ABB=ON PLU=ON L127
L143
           24 SEA FILE=HCAPLUS ABB=ON PLU=ON L143 AND L49
L144
           15 SEA FILE=HCAPLUS ABB=ON PLU=ON L143 NOT L144
L146
            11 SEA FILE=HCAPLUS ABB=ON PLU=ON L146 NOT L52
L147
=> d his 1153
     (FILE 'USPATFULL, USPAT2, TOXCENTER, CASREACT, CHEMCATS' ENTERED AT
     15:54:34 ON 25 OCT 2006)
            29 S L152 NOT L84
L153
=> d que nos 1153
               STR
         45329 SEA FILE=REGISTRY SSS FUL L1
L2
               STR
L32
          4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32
L34
               STR
L42
          1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
L45
            93 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L45
               QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY
               <2004 OR REVIEW/DT
            27 SEA L46
L82
            11 SEA L82 AND L49
L83
            16 SEA L82 NOT L83
L84
          608 SEA FILE=REGISTRY ABB=ON PLU=ON L45 AND CL/ELS
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=> dup rem 1147 1153 DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'. ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE FILE 'HCAPLUS' ENTERED AT 16:33:40 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 16:33:40 ON 25 OCT 2006 CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 16:33:40 ON 25 OCT 2006 COPYRIGHT (C) 2006 ACS

55 SEA L127

18 SEA L148 AND L49

37 SEA L148 NOT L149

29 SEA L152 NOT L84

L127

L148

L149

L152

L153

FILE 'CASREACT' ENTERED AT 16:33:40 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CHEMCATS' ENTERED AT 16:33:40 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 American Chemical Society (ACS) PROCESSING COMPLETED FOR L147 PROCESSING COMPLETED FOR L153

33 DUP REM L147 L153 (7 DUPLICATES REMOVED)

ANSWERS '1-11' FROM FILE HCAPLUS ANSWER '12' FROM FILE USPATFULL ANSWER '13' FROM FILE TOXCENTER ANSWERS '14-33' FROM FILE CHEMCATS

=> file stnquide FILE 'STNGUIDE' ENTERED AT 16:33:44 ON 25 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION. LAST RELOADED: Oct 25, 2006 (20061025/UP). => d ibib ed ab retable hitstr
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, TOXCENTER, CHEMCATS' CONTINUE? (Y)/N:y

```
L165 ANSWER 1 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2006:578103 HCAPLUS

DOCUMENT NUMBER: 145:62867

TITLE: Preparation of substituted aza/indoles as kinase inhibitors, and their compositions and use for treatment of angiogenesis-related diseases, especially
```

cancer

INVENTOR(S):

Halley, Frank; Souaille, Catherine; Tabart, Michel; Bacque, Eric; Viviani, Fabrice; Ronan, Baptiste; Letallec, Jean-Philippe; Filoche-Romme, Bruno

PATENT ASSIGNEE(S):

Aventis Pharma S.A., Fr. PCT Int. Appl., 121 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

SOURCE:

Patent French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT	KIND DATE			1	APPL:	ICAT:	ION 1	DATE							
WO 2006	WO 2006061493			A1 20060615		1	WO 2	005-1	FR30	03	20051202				
W:	AE, AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
	CN, CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE, GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KN,	KΡ,	KR,
	KZ, LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
	MZ, NA,														
	SG, SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,
	VN, YU,	ZA,	ZM,	zw											
RW:	AT, BE,														
	IS, IT,														
	CF, CG,														
	GM, KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
	KG, KZ,	MD,	RU,	ТJ,	TM										
FR 2878	849		A1		2006	0609		FR 2	004-	1296	6		2	0041	206
PRIORITY APPLN. INFO.:								FR 2	004-	1296	6	Ī	A 2	0041	206
						US 2	005-	6504	65P]	P 2	0050	207		

OTHER SOURCE(S): MARPAT 145:62867

D Entered STN: 16 Jun 2006

Title compds. I [A, Ar = independently (un) substituted hetero/aryl; R1 = H, (un) substituted alkyl; X = N, CH and derivs.; L = a bond, CO, NH, CONH, NHCO, SONH, NHSO2, NHCONH, NHCSNH, etc.; R5-R7 = independently H, halo, CF3, NO2, CN, alkoxy, alkyl, CO2H and derivs., etc.; Q = H, Me, cyclopropyl] were prepared as kinase inhibitors for treatment especially of cancer. E.g., a 7-step synthesis starting from 2-methyl-3-nitropyridine and Et oxalate, was given for azaindole II. Pyrrolopyridine II inhibited KDR and Tie2 kinases with an IC50 of 12 nM and 4 nM. Thus, I and their pharmaceutical compns. are useful for treating angiogenesis-related diseases such as cancers, psoriasis, rheumatoid arthritis, diabetic retinopathy, etc.

RETABLE

(RAU)		(RVL)	(RPG)	Referenced Work (RWK)	Referenced File
Anon	+====- 				

Anon	1973		278	Chem Ind	1
Anon	1924		60	No publication given	
Anon	1970		İ	No publication given	
Anon	1997	27	1439	Synthesis Communicat	
B Frydman & Co	1968	33	3762	JOURNAL OF ORGANIC C	
Brehm, W	1949	71	3541	JOURNAL OF THE AMERI	HCAPLUS
Heinz-Werner, K	2004		ĺ	WO 2004007480 A	HCAPLUS
Kurt, F	1972	37	2010	JOURNAL OF ORGANIC C	
Monnet, M	1993	49	5831	TETRAHEDRON	HCAPLUS
Roswell, P	2003		1	WO 03035621 A	HCAPLUS
S H Maddirala &co	2003	44	5665	TETRAHEDRON LETTERS	
Schering Corporation Us	2003			WO 2004000831 A1	HCAPLUS
Sugen Inc	1996			WO 9640115 A1	HCAPLUS
Tang, P	2001		1	WO 0121589 A	HCAPLUS
Yasuoki Murakami & Co	1984		738	SYNTHESIS	

IT 890435-53-7P, 3-[4-[3-(4-Chloro-3-trifluoromethylphenyl)ureido]phe
nyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-54-8P,
3-[4-[3-(2-Chloro-5-trifluoromethylphenyl)ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide 890435-67-3P,
3-[4-[3-[3-Chloro-4-(difluoromethoxy)phenyl]ureido]phenyl]-1H-pyrrolo[3,2-b]pyridine-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aza/indoles as kinase inhibitors for treating angiogenesis-related diseases)

RN 890435-53-7 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 890435-54-8 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[2-chloro-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 890435-67-3 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 3-[4-[[[[3-chloro-4-(difluoromethoxy)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

=> d ibib ed ab retable hitstr 2-11
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, TOXCENTER, CHEMCATS' CONTINUE? (Y)/N:y

L165 ANSWER 2 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2006:541929 HCAPLUS

DOCUMENT NUMBER: 145:8468

TITLE: Preparation of pyrrolopyridine-2-carboxylic acid

phenylalaninamide derivative useful as inhibitor of

glycogen phosphorylase

INVENTOR(S): Repasi, Jozsef; Szabo, Andras

PATENT ASSIGNEE(S): Prosidion Ltd., UK
SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
     _____
                                            -----
                         ----
                                -----
     WO 2006059165
                         A1
                                20060608 WO 2005-GB50234
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                             US 2004-632463P P 20041202
                         CASREACT 145:8468; MARPAT 145:8468
OTHER SOURCE(S):
     Entered STN: 09 Jun 2006
ED
AR
     The invention relates to pyrrolopyridine-2-carboxylic acid amide I, which
     is an inhibitor of glycogen phosphorylase for use in therapy. Thus,
     treatment of 5-chloropyrrolo[2,3-c]pyridine-2-carboxylic acid with thionyl
```

then 4-hydroxypiperidine in THF to afford I. Thermogravimetric anal. and X-ray diffraction measurements were performed on I.HCl. PETABLE

chloride in acetonitrile afforded the acid chloride HCl salt, which was

treated with L-4-fluorophenylalanine in aqueous THF containing NaOH and Na2CO3

KEIADLE					
Referenced Author	Year	VOL	PG	Referenced Work	Referenced
(RAU)	(RPY)	(RVL)	(RPG)	(RWK)	File
	+=====+	 -====	}======	+==============	+========
Bartlett, J	2002			WO 0220530 A	HCAPLUS
Bradley, S	2004			WO 2004104001 A	HCAPLUS

888328-02-7P

and

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(X-ray diffraction and thermogravimetric anal.; preparation of pyrrolopyridinecarboxylic acid phenylalaninamide derivative useful as inhibitor of glycogen phosphorylase)

RN 888328-02-7 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CN fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

IT 800397-99-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinecarboxylic acid phenylalaninamide derivative useful as inhibitor of glycogen phosphorylase)

RN 800397-99-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 800400-48-0P 888328-04-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridinecarboxylic acid phenylalaninamide derivative useful as inhibitor of glycogen phosphorylase)

RN 800400-48-0 HCAPLUS

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

888328-04-9 HCAPLUS RN

CNL-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-4fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HC1

L165 ANSWER 3 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2006:542611 HCAPLUS

DOCUMENT NUMBER: 145:21196

TITLE: Treatment of diabetes and diabetes-related conditions

with glycogen phosphorylase inhibitors

Thomas, Gerard Hugh; Thomsen, Mikael INVENTOR(S):

PATENT ASSIGNEE(S): Prosidion Ltd., UK SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			KIND DATE				APPLICATION NO.							DATE		
WO 2006	0591	63		A1 20060608			1	WO 2005-GB50232						20051202		
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KΕ,	KG,	KM,	KN,	ΚP,	KR,
	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
	SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,
	VN,	ΥU,	ZA,	ZM,	ZW											
RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
	IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,

KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2004-632591P

P 20041202

OTHER SOURCE(S):

CASREACT 145:21196

ED Entered STN: 09 Jun 2006

The invention provides a method of treatment of diabetes, particularly type II diabetes, or a diabetes related condition, comprising night time dosing of an inhibitor of glycogen phosphorylase, optionally in combination another antidiabetic therapy. Preparation of e.g. 5-chloropyrrolo[2,3-c]pyridine-2-carboxylic acid [1-(S)-(4-fluorobenzyl)-2-(4-hydroxypiperidin-1-yl)-2-oxoethyl]amide hydrochloride is described.

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
=======================================	+====- ·	H=====+	-====	+======================================	+=====================================
Babcock, W	2001			WO 0168092 A	HCAPLUS
Barrila, M	2003			US 2003187051 A1	HCAPLUS
Bartlett, J	2003			US 2003232875 A1	HCAPLUS
Bradley, S	2004			WO 2004104001 A	HCAPLUS
Bradley, S	2005			WO 2005085194 A	HCAPLUS
Bradley, S	2005	Ì		WO 2005085245 A	HCAPLUS
Du Bois, D	2002	j		US 6399601 B1	HCAPLUS
Hoover	2000	j		US 6107329 A	HCAPLUS
Hoover, D	2001	j	Ì	WO 0168055 A	HCAPLUS
Hoover, D	2001	j	Ì	US 6277877 B1	HCAPLUS
Hulin, B	1996	İ	Ì	WO 9639385 A	HCAPLUS
Hulin, B	2001	İ	İ	US 6297269 B1	HCAPLUS
Japan Tobacco Inc	2004	j	ĺ	EP 1452526 A	HCAPLUS
Lundgren	1998	i	Ì	US 5854272 A	HCAPLUS
Onda, K	2003	İ		WO 03091213 A	HCAPLUS
Pfizer Products Inc	2001	İ	İ	EP 1136071 A	HCAPLUS
Pfizer Products Inc	2003	İ	j	EP 1340500 A	HCAPLUS
Sher, P	2004		i .	US 2004002495 A1	
Sher, P	2004	i	i	US 2004142938 A1	
Treadway, J	2003		İ	US 2003004162 A1	HCAPLUS

IT 800397-99-3P 888328-02-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(glycogen phosphorylase inhibitors for treatment of diabetes and diabetes-related conditions, and use with other agents)

RN 800397-99-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

RN 888328-02-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

IT 800397-99-3D, salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(glycogen phosphorylase inhibitors for treatment of diabetes and diabetes-related conditions, and use with other agents)

RN 800397-99-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 800400-48-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(glycogen phosphorylase inhibitors for treatment of diabetes and diabetes-related conditions, and use with other agents)

RN 800400-48-0 HCAPLUS

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 888328-04-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(glycogen phosphorylase inhibitors for treatment of diabetes and diabetes-related conditions, and use with other agents)

RN 888328-04-9 HCAPLUS

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

L165 ANSWER 4 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2004:747454 HCAPLUS

DOCUMENT NUMBER: 141:395464

TITLE: Synthesis and Conformational Analysis of a Non-Amidine

Factor Xa Inhibitor That Incorporates

5-Methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine as

S4 Binding Element

AUTHOR(S): Haginoya, Noriyasu; Kobayashi, Syozo; Komoriya,

Satoshi; Yoshino, Toshiharu; Suzuki, Makoto; Shimada, Takashi; Watanabe, Kengo; Hirokawa, Yumiko; Furugori,

Taketoshi; Nagahara, Takayasu

CORPORATE SOURCE: Medicinal Chemistry Research Laboratory, Daiichi

Pharmaceutical Co. Ltd, Edogawa-ku, Tokyo, 134-8630,

Japan

SOURCE: Journal of Medicinal Chemistry (2004), 47(21),

5167-5182

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:395464

ED Entered STN: 14 Sep 2004



Our exploratory study was based on the concept that a non-amidine factor Xa (fXa) inhibitor is suitable for an orally available anticoagulant. We synthesized and evaluated a series of N-(6-chloronaphthalen-2yl) sulfonylpiperazine derivs. incorporating various fused-bicyclic rings containing an aliphatic amine expected to be S4 binding element. Among this series, 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine type I displayed orally potent anti-fXa activity and evident prolongation of prothrombin time (PT) with the moderate bioavailability in rats. The X-ray crystal anal. afforded an obvious binding mode that 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine and 6-chloronaphthalene resp. bound to S4 and S1 subsites. In this X-ray study, we discovered a novel intramol. S-O close contact. Ab initio energy calcns. of model compds. deduced that conformers with the most close S-O proximity were most stable. The Mulliken population anal. proposed that this energy profile was caused by both of electrostatic S-O affinity and N-O repulsion. The results of these calcns. and X-ray anal. suggested a possibility that the restricted conformation effected the affinity to S4 subsite of fXa.

RETABLE

KETABLE		_			
Referenced Author	Year	VOL	PG	Referenced Work	Referenced
(RAU)	(RPY)	(RVL)	(RPG)	(RWK)	File
					+======
Adler, M	•	39	12534	Biochemistry	HCAPLUS
Adler, M	!	41	15514	Biochemistry	HCAPLUS
Al-Obeidi, F	1999	9	931	Exp Opin Ther Pat	HCAPLUS
Brandstetter, H	1996	271	29988	J Biol Chem	HCAPLUS
Brieger, D	1988	31	1449	J Am Coll Cardiol	
Burling, F	1992	114	2313	J Am Chem Soc	HCAPLUS
Choi-Seledeski, Y	1999	9	2539	Bioorg Med Chem Lett	
Choi-Sledeski, Y	2003	46	681	J Med Chem	HCAPLUS
Chou, Y	2003	13	507	Bioorg Med Chem Lett	HCAPLUS
Collaborative Computati	1994	D50	760	Acta Crystallogr	
Collins, I	2002	45	1887	J Med Chem	HCAPLUS
Compudrug Chemistry Inc				Pallas ver 3.0	
Corrodi, H	1966	49	798	Helv Chim Acta	HCAPLUS
Faull, A	1996	ĺ		WO 9610022	HCAPLUS
Fife, W	1984	22	93	Heterocycles	HCAPLUS
Franchetti, P	1995	38	3829	J Med Chem	HCAPLUS
Franchetti, P	2000	43	1264	J Med Chem	HCAPLUS
Galemmo, R	2000	10	301	Bioorg Med Chem Lett	HCAPLUS
Goldstein, B	2000	40	405		HCAPLUS
Goldstein, B	1999	6	519	Curr Med Chem	HCAPLUS
Gong, Y	2000	10	1033	Bioorg Med Chem Lett	HCAPLUS
He, W	2000	10	1737	Bioorg Med Chem Lett	HCAPLUS
He, W	2002	12	919	Bioorg Med Chem Lett	
Hellon, D	2000	43	859	J Med Chem	
Hirsh, J	1994	154	282	Arch Int Med	MEDLINE
Jia, Z	2002	12	1651	Bioorg Med Chem Lett	HCAPLUS
Jones, T	1985	115	157	Methods Enzymol	HCAPLUS
Kamata, K	1998	95	6630	Proc Natl Acad Sci U	HCAPLUS
Katano, K	1996	6	2601	Bioorg Med Chem Lett	
Kumagai, T	1998	63	8145	J Org Chem	HCAPLUS
Kunitada, S	1996	2	531	Curr Pharm Des	HCAPLUS
Lam, P	2003	13	1795	Bioorg Med Chem Lett	HCAPLUS
Lam, P	2003	46	4405	J Med Chem	HCAPLUS
Leslie, A	1992			Joint CCP4 + ESF-EAM	
Maignan, S	2001	1	161	Curr Top Med Chem	HCAPLUS
Maignan, S	2000	43	3226	J Med Chem	HCAPLUS
Maignan, S	2003	46	685	J Med Chem	HCAPLUS
Makara, G	1997	40	4154	J Med Chem	HCAPLUS
• •		_		1	,

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Matter, H	!	45	2749	J Med Chem	HCAPLUS
Matzsch, T	1986	56	293	Thromb Haemost	MEDLINE
Mulliken, R	1955	23	1833	J Chem Phys	HCAPLUS
Mulliken, R	1955	23	1841	J Chem Phys	HCAPLUS
Mulliken, R	1955	23	2338	J Chem Phys	HCAPLUS
Mulliken, R	1955	23	2343	J Chem Phys	HCAPLUS
Murshudov, G	1997	D53	240	Acta Cryst	HCAPLUS
Nagahara, T	1994	37	1200	J Med Chem	HCAPLUS
Nagao, Y	2001	49	1660	Chem Pharm Bull	HCAPLUS
Nagao, Y	1998	120	3104	J Am Chem Soc	HCAPLUS
Nagao, Y	İ	İ	35	Seminars (Naruto in	į
Nishida, H	2001	49	1237	Chem Pharm Bull	HCAPLUS
Padmanabhan, K	1993	232	947	J Mol Biol	HCAPLUS
Pauls, H	2001	1	83	Curr Top Med Chem	HCAPLUS
Pinto, D	2001	44	566	J Med Chem	HCAPLUS
Preston, J	1998	i		WO 9821188	HCAPLUS
Pruitt, J	2003	46	5298	J Med Chem	HCAPLUS
Quan, M	2003	13	1023	Bioorg Med Chem Lett	HCAPLUS
Quan, M	2003	13	369	Bioorg Med Chem Lett	
Rai, R	2001	8	101	Curr Med Chem	HCAPLUS
Schmidt, M	1993	14	1347	J Comput Chem	HCAPLUS
Sheehan, S	2003	13	2225	Bioorg Med Chem Lett	ĺ
Shiozawa, A	1984	32	2522	Chem Pharm Bull	HCAPLUS
Shrader, W	2001	11	1801	Bioorg Med Chem Lett	HCAPLUS
Song, Y	2002	12	2043	Bioorg Med Chem Lett	
Stein, P	1994	70	S72	Postgrad Med	İ
Takahashi, T	1954	2	196	Pharm Bull	HCAPLUS
Takahashi, T	1954	2	34	Pharm Bull	HCAPLUS
Tanaka, R	1997	5	1389	Bioorg Med Chem	HCAPLUS
Trotman-Dikenson, A	1949	İ	1293	J Chem Soc	
Tucker, T	1997	40	1565	J Med Chem	HCAPLUS
Walenga, J	1999	1	13	Curr Opin Cardiovasc	
Wender, P	1983	39	3767	Tetrahedron	HCAPLUS
Zhu, B	2000	35	83	•	HCAPLUS
TE OFOCOF CC OD	12000	,	,	in the state of th	1 3: :- 2 : 0

IT 259805-66-8P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation, factor Xa inhibition activity and structure-activity relationship of (chloronaphthalenylsulfonyl)piperazines bearing fused-heterobicyclic rings)

RN 259805-66-8 HCAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

●11/10 HCl

IT 259805-67-9P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation, factor Xa inhibition activity and structure-activity relationship of (chloronaphthalenylsulfonyl)piperazines bearing

RN 259805-67-9 HCAPLUS

fused-heterobicyclic rings)

●13/10 HCl

IT 259809-55-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, factor Xa inhibition activity and structure-activity relationship of (chloronaphthalenylsulfonyl)piperazines bearing fused-heterobicyclic rings)

RN 259809-55-7 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-1,5(4H)-dicarboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

L165 ANSWER 5 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5

ACCESSION NUMBER:
DOCUMENT NUMBER:

2004:758616 HCAPLUS

DOCOMENT

141:379838

TITLE:

A flexible, palladium-catalyzed indole and azaindole synthesis by direct annulation of chloroanilines and

chloroaminopyridines with ketones

AUTHOR (S):

Nazare, Marc; Schneider, Claudia; Lindenschmidt,

Andreas; Will, David William

Medicinal Chemistry, DI&A Chemistry, Aventis Pharma CORPORATE SOURCE: Deutschland GmbH, Frankfurt am Main, 65926, Germany

Angewandte Chemie, International Edition (2004), SOURCE:

43 (34), 4526-4528

CODEN: ACIEF5; ISSN: 1433-7851 Wiley-VCH Verlag GmbH & Co. KGaA

Journal DOCUMENT TYPE: English LANGUAGE:

CASREACT 141:379838 OTHER SOURCE(S):

Entered STN: 17 Sep 2004

PUBLISHER:

The "ringmaster" [Pd(tBu3P)2] served as the catalyst in the direct synthesis of indoles, e.g., I, by annulation of ortho-chloroanilines with ketones. This versatile method can be used to synthesize a variety of functionalized indoles and azaindoles, e.g., II.

Referenced Author Year VOL PG Referenced Work Referenced (RAU) (RPY) (RVL) (RPG) (RWK) File	
(1415)	
=======================================	
Arcadi, A 1994 50 437 Tetrahedron HCAPLUS	
Arcadi, A 1992 33 3915 Tetrahedron Lett HCAPLUS	
Barluenga, J 2003 115 2508 Angew Chem	
Barluenga, J 2003 42 2406 Angew Chem Int Ed HCAPLUS	
Brown, J 2000 41 1623 Tetrahedron Lett HCAPLUS	
Chen, C 1997 62 2676 J Org Chem HCAPLUS	
Dai, C 2001 123 2719 J Am Chem Soc HCAPLUS	
Ezquerra, J 1996 61 5805 J Org Chem	
Fox, J 2000 122 1360 J Am Chem Soc HCAPLUS	
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Hegedus, L 1988 100 1147 Angew Chem HCAPLUS	
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Kawatsura, M 1999 121 1473 J Am Chem Soc HCAPLUS	
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Larock, R 1991 113 6689 J Am Chem Soc HCAPLUS	
Larock, R 1998 63 7653 J Org Chem	
Littke, A 2002 124 6343 J Am Chem Soc HCAPLUS	
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Masters, N 1989 45 5955 Tetrahedron HCAPLUS	
Merour, J 2001 5 471 Curr Org Chem HCAPLUS	
Nakagawa, K 1994 39 31 Heterocycles HCAPLUS	
Nishiyama, M 1998 39 617 Tetrahedron Lett HCAPLUS	
Patchett, A 1995 92 7001 Proc Natl Acad Sci U HCAPLUS	
Pindur, U . 2001 8 1681 Curr Med Chem HCAPLUS	
Rodriguez, A 2000 112 2607 Angew Chem	
Rodriguez, A 2000 39 2488 Angew Chem Int Ed HCAPLUS	
Sakamoto, T 1990 215 Synthesis HCAPLUS	
Somei, M 2003 20 216 Nat Prod Rep HCAPLUS	
Yamazaki, K 2003 68 6011 J Org Chem HCAPLUS	
IT 784144-08-7P	

RL: SPN (Synthetic preparation); PREP (Preparation) (regioselective preparation of indoles and azaindoles via palladium-catalyzed annulation of haloanilines or aminochloropyridines with cyclic and acyclic ketones)

784144-08-7 HCAPLUS RN

1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5-chloro-N,N-diethyl- (9CI) CN INDEX NAME)

L165 ANSWER 6 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 6

ACCESSION NUMBER:

2004:102826 HCAPLUS

DOCUMENT NUMBER:

140:303629

TITLE:

AUTHOR (S):

Design and Synthesis of New Templates Derived from Pyrrolopyrimidine as Selective Multidrug-Resistance-Associated Protein Inhibitors in Multidrug Resistance Wang, Shouming; Wan, Nan Chi; Harrison, John; Miller, Warren; Chuckowree, Irina; Sohal, Sukhjit; Hancox, Timothy C.: Baker, Stewart: Folkes, Adrian: Wilson.

Timothy C.; Baker, Stewart; Folkes, Adrian; Wilson, Francis; Thompson, Deanne; Cocks, Simon; Farmer,

Hayley; Boyce, Anthony; Freathy, Caroline;

Broadbridge, Jan; Scott, John; Depledge, Paul; Faint,

Richard; Mistry, Prakash; Charlton, Peter

CORPORATE SOURCE:

Department of Medicinal Chemistry, Department of Pharmacology, Analytical Department, Xenova Ltd.,

Berkshire, SL1 4NL, UK

SOURCE:

Journal of Medicinal Chemistry (2004), 47(6),

1339-1350

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 140:303629

ED Entered STN: 09 Feb 2004

AB In a continued effort to identify selective MRP1 modulators, two novel templates, i.e., derivs. of I and II, were developed through rational drug design by identifying the key pharmacophore interaction at the 7-position of a pyrrolopyrimidine template III. Further synthesis and SAR work on these novel templates gave a number of potent MRP1 modulators with great selectivity against Pgp. Addnl. studies to reduce the CYP3A4 inhibition are also reported. Several compds. of these classes were subjected to in vivo xenograft studies and in vivo efficacies were demonstrated.

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL	PG (RPG)	Referenced Work (RWK)	Referenced
Barecki, M Evstratova, M Kadushkin, A	2001 1995 1990	29 29 29 24	1173 134 875	Drug Metab Dispos Pharm Chem J Pharm Chem J	HCAPLUS
Klaubert, D	1981	24	742	J Med Chem	HCAPLUS
Lee, J	1990	27	1653	J Heterocycl Chem	HCAPLUS
Leonard, W	1956	21	1077	J Org Chem	
Merino, L	1999	54	255	Farmaco	
Nettekoven, M	2001	11	2169	Bioorg Med Chem Lett	HCAPLUS
Norman, B	1998	23	1001	Drugs Future	HCAPLUS
Persidis, A 'Shuman, R Simakov, S	1999	17	94	Nat Biotechnol	HCAPLUS
	1990	55	738	J Org Chem	HCAPLUS
	1983	17	707	Pharm Chem J	

HCAPLUS Trecourt, F 1998 63 2892 J Org Chem 2004 J Med Chem Wang, S Crit Rev Toxicol **HCAPLUS** Wrighton, S 1992 22 1 Yakovlev, M 1996 30 107 |Pharm Chem J

IT 676601-76-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of pyrrolopyrimidine derivs. as selective multidrug-resistance-associated protein inhibitors in multidrug resistant diseases)

RN 676601-76-6 HCAPLUS

CN 4H-Pyrido[3',4':4,5]pyrrolo[3,2-d]pyrimidin-4-one, 2-(chloromethyl)-1,5-dihydro-9-methoxy-5-methyl- (9CI) (CA INDEX NAME)

L165 ANSWER 7 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:542232 HCAPLUS

DOCUMENT NUMBER:

145:46047

TITLE:

Preparation of pyrrolopyridine-2-carboxylic acid

amides as glycogen phosphorylase inhibitors

INVENTOR(S): Krulle, Thomas Martin; Rowley, Robert John; Thomas,

Gerard Hugh

PATENT ASSIGNEE(S):

Prosidion Ltd., UK
PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

ED

English

DANGUAGE.

FAMILY ACC. NUM. COUNT:

Entered STN: 09 Jun 2006

PATENT INFORMATION:

PA'	PATENT NO.							i	APPL	ICAT:		DATE					
WO	WO 2006059164		0608	WO 2005-GB50233						20051202							
WO	WO 2006059164				A3		20060817										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	ΚP,	KR,
		ΚŻ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	ΨG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										
PRIORIT	Y APP	LN.	INFO	. :					1	US 2	004-	6324	61P	1	P 20	0041	202
OTHER S	OURCE	(S):			MAR	PAT	145:	4604	7								

AB The title compds. I [one of X1-X4 is N and the others are C; when C(R4)-Y is a single bond then Y = CHR6, NH, O, S, etc.; when C(R4)-Y is a double bond then Y = CR6 or N; A = (hetero)aryl; R1, R11 = H, halo, OH, etc.; R2 = H, alkyl, aryl, etc.; R3, R33 = H, halo, OH, etc.; R4 = H, alkyl, aryl or alkenyl; R5, R6 = H, alkyl, aryl, etc.; n = 0-1] which are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis or tissue ischemia e.g. myocardial ischemia, and as cardioprotectants, were prepared Thus, reacting 3-amino-3,4-dihydro-1H-quinolin-2-one with 6-chloro-1H-pyrrolo[2,3-b]pyridine-2-carboxylic acid (prepns. given) afforded II. The exemplified compds. I have an IC50 of < 1 mM in in vitro GP assay. Pharmaceutical composition comprising the compound I

is disclosed.

IT 890121-32-1P 890121-33-2P 890121-39-8P

890121-49-0P 890121-51-4P 890121-53-6P

890121-82-1P 890121-86-5P 890121-92-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrrolopyridine-2-carboxamides as glycogen phosphorylase inhibitors)

RN 890121-32-1 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, methyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-33-2 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-39-8 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-7-fluoro-3,4-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 890121-49-0 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 890121-51-4 HCAPLUS

CN Carbamic acid, [2-[3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-1(2H)-quinolinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 890121-53-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[1-(2-aminoethyl)-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]-5-chloro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 890121-52-5 CMF C19 H18 Cl N5 O2

$$\begin{array}{c|c} H & O \\ \hline N & C - NH \\ \hline \\ H_2N - CH_2 - CH_2 \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 890121-82-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, .5-chloro-N-[1-(cyanomethyl)-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-86-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-[2-(methylthio)ethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-92-3 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 7-chloro-3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, methyl ester (9CI) (CAINDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & &$$

890121-28-5P 890121-29-6P 890121-30-9P TΤ 890121-31-0P 890121-34-3P 890121-35-4P 890121-36-5P 890121-37-6P 890121-38-7P 890121-40-1P 890121-41-2P 890121-42-3P 890121-43-4P 890121-44-5P 890121-45-6P 890121-46-7P 890121-47-8P 890121-48-9P 890121-50-3P 890121-54-7P 890121-55-8P 890121-56-9P 890121-57-0P 890121-58-1P 890121-59-2P 890121-60-5P 890121-61-6P 890121-62-7P 890121-63-8P 890121-64-9P 890121-65-0P 890121-66-1P 890121-67-2P 890121-68-3P 890121-69-4P 890121-70-7P 890121-71-8P 890121-72-9P 890121-73-0P 890121-74-1P 890121-75-2P 890121-76-3P 890121-77-4P 890121-78-5P 890121-79-6P 890121-80-9P 890121-81-0P 890121-83-2P 890121-84-3P 890121-85-4P 890121-87-6P 890121-88-7P 890121-89-8P 890121-90-1P 890121-91-2P 890121-93-4P 890121-94-5P 890121-95-6P 890121-96-7P 890121-97-8P 890121-98-9P 890121-99-0P 890122-00-6P 890122-01-7P 890122-02-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrrolopyridine-2-carboxamides as glycogen phosphorylase inhibitors)

RN 890121-28-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-(1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 890121-29-6 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-(7-chloro-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 890121-30-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(3R)-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-31-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(3S)-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-34-3 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-35-4 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-36-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(3R)-1,2,3,4-tetrahydro-1-(2-hydroxyethyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-37-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(3S)-1,2,3,4-

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tetrahydro-1-(2-hydroxyethyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-38-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(7-fluoro-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 890121-40-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(6-fluoro-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 890121-41-2 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-7-fluoro-3,4-dihydro-2-oxo- (9CI) (CA INDEX NAME)

RN 890121-42-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[7-fluoro-1,2,3,4-

tetrahydro-1-(2-hydroxyethyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

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RN 890121-43-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-(3-hydroxypropyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-44-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-[2-(hydroxyamino)-2-iminoethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-45-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-[2-(methylsulfinyl)ethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-46-7 HCAPLUS

o n

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-[2-(methylsulfonyl)ethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ N & C-NH \\ O & O \\ Me-S-CH_2-CH_2 \\ O & O \\ \end{array}$$

RN 890121-47-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-2-oxo-1-(1H-tetrazol-5-ylmethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-48-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-(2-hydroxyethyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-50-3 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-(9CI) (CA INDEX NAME)

890121-54-7 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-CN[2-[(methylsulfonyl)amino]ethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

890121-55-8 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[1-[2-(acetylamino)ethyl]-CN 1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]-5-chloro- (9CI) (CA INDEX NAME)

890121-56-9 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-[2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]-2-oxo-3-quinolinyl]- (9CI) (CA CN INDEX NAME)

RN890121-57-0 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-CN [2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-58-1 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-CN[2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-59-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1-[2-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 890121-60-5 HCAPLUS

CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-N-methoxy-N-methyl-2-oxo-(9CI) (CA INDEX NAME)

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RN 890121-61-6 HCAPLUS

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CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-N,N-dimethyl-2-oxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & &$$

RN 890121-62-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-[2-(4-morpholinyl)-2-oxoethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-63-8 HCAPLUS

CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN890121-64-9 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[1-[2-(4-acetyl-1-piperazinyl)-CN 2-oxoethyl]-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]-5-chloro- (9CI) (CA INDEX NAME)

890121-65-0 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-CN[2-(3-hydroxy-1-piperidinyl)-2-oxoethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-66-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-2-oxo-1-[2-oxo-2-(3-oxo-1-piperazinyl)ethyl]-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-67-2 HCAPLUS

CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-N-(2-hydroxyethyl)-2-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 890121-68-3 HCAPLUS

CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-N-(2-methoxyethyl)-2-oxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline N & C - NH \\ \hline MeO-CH_2-CH_2-NH-C-CH_2 \\ \hline O \\ \end{array}$$

RN 890121-69-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[1-[2-(1-azetidinyl)-2-oxoethyl]-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]-5-chloro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ \hline & & & \\ C1 & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 890121-70-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-71-8 HCAPLUS

CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-N-[2-(dimethylamino)ethyl]-3,4-dihydro-2-oxo-(9CI)(CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ N & C - NH \\ \hline \\ Me_2N-CH_2-CH_2-NH-C-CH_2 \\ \hline \\ O \\ \end{array}$$

RN 890121-72-9 HCAPLUS

CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-N-1,3,4-thiadiazol-2-yl- (9CI) (CA INDEX NAME)

RN 890121-73-0 HCAPLUS

CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-N-(1-methyl-1H-pyrazol-3-yl)-2-oxo-(9CI) (CA INDEX NAME)

10/26/2006

RN 890121-74-1 HCAPLUS

CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 890121-75-2 HCAPLUS

CN 1(2H)-Quinolineacetamide, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-(9CI) (CA INDEX NAME)

RN 890121-76-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 890121-77-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(7-chloro-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 890121-78-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-2-oxo-7-(trifluoromethyl)-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-79-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(1,2,3,4-tetrahydro-6,7-dimethoxy-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

890121-80-9 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(5-fluoro-1,2,3,4-CNtetrahydro-2-oxo-3-quinolinyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & & \\ C1 & & & \\ \end{array}$$

890121-81-0 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(1,2,3,4-tetrahydro-6-CNmethyl-2-oxo-3-quinolinyl) - (9CI) (CA INDEX NAME)

890121-83-2 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-CN (2-methoxyethyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

890121-84-3 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-CN [2-(2-methoxyethoxy)ethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline N & C - NH \\ \hline \\ MeO-CH_2-CH_2-O-CH_2-CH_2 \\ \end{array}$$

RN 890121-85-4 HCAPLUS

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CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-87-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-2-oxo-1-[(tetrahydro-2-furanyl)methyl]-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-88-7 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-(7-chloro-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 890121-89-8 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-

(2-methoxyethyl)-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-90-1 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-[2-(2-methoxyethoxy)ethyl]-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

 $MeO-CH_2-CH_2-O-CH_2-CH_2$

RN 890121-91-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890121-93-4 HCAPLUS

CN 1(2H)-Quinolineacetic acid, 7-chloro-3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo- (9CI) (CA INDEX NAME)

RN 890121-94-5 HCAPLUS

RN 890121-95-6 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, 6-chloro-N-(1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & H & O \\ \hline N & C - NH \\ \hline N & O \\ \hline N & H \\ \end{array}$$

RN 890121-96-7 HCAPLUS

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, 6-chloro-N-(7-chloro-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl)- (9CI) (CA INDEX NAME)

RN 890121-97-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(1,2,3,4-tetrahydro-2-oxo-1,7-naphthyridin-3-yl)- (9CI) (CA INDEX NAME)

RN 890121-98-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(1,2,3,4-tetrahydro-2-oxo-1,8-naphthyridin-3-yl)- (9CI) (CA INDEX NAME)

RN 890121-99-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(1,2,3,4-tetrahydro-2-oxo-1,6-naphthyridin-3-yl)- (9CI) (CA INDEX NAME)

RN 890122-00-6 HCAPLUS

CN 1,6-Naphthyridine-1(2H)-acetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ | \\ CH_2-C-OMe \\ \hline \\ N \\ \hline \\ NH-C \\ \hline \\ N \\ H \\ \end{array}$$

RN 890122-01-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1,2,3,4-tetrahydro-1-(2-hydroxyethyl)-2-oxo-1,7-naphthyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 890122-02-8 HCAPLUS

CN 1,7-Naphthyridine-1(2H)-acetic acid, 3-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3,4-dihydro-2-oxo-, methyl ester (9CI) (CFINDEX NAME)

10/26/2006

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

IT 890122-08-4P 890122-09-5P 890122-16-4P 890122-17-5P 890122-18-6P 890122-31-3P 890122-32-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridine-2-carboxamides as glycogen phosphorylase inhibitors)

RN890122-08-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(3R)-1-[2-[[(1,1dimethylethyl)dimethylsilyl]oxy]ethyl]-1,2,3,4-tetrahydro-2-oxo-3quinolinyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN890122-09-5 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(3S)-1-[2-[[(1,1-CN dimethylethyl)dimethylsilyl]oxy]ethyl]-1,2,3,4-tetrahydro-2-oxo-3quinolinyl] - (9CI) (CA INDEX NAME)

RN 890122-16-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-7-fluoro-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & \\ C & & \\ & & \\ C & & \\$$

RN 890122-17-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

C1
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 $(\text{CH}_2)_3 - 0 - \text{Si-Bu-t}$
 Me

RN 890122-18-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

RN 890122-31-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-1,2,3,4-tetrahydro-2-oxo-1,7-naphthyridin-3-yl]- (9CI) (CA INDEX NAME)

RN 890122-32-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[7-chloro-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-1,2,3,4-tetrahydro-2-oxo-3-quinolinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & H & O \\
 & N & C - NH \\
 & \dot{M}e & O & N \\
 & t - Bu - Si - O - CH_2 - CH_2 \\
 & Me & Me
\end{array}$$

L165 ANSWER 8 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:87894 HCAPLUS

DOCUMENT NUMBER:

144:331406

TITLE:

Synthesis and biological evaluation of novel

hexahydro-pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazines as

potent and selective 5-HT2C receptor agonists

AUTHOR (S):

Richter, Hans G. F.; Adams, D. R.; Benardeau, A.; Bickerdike, M. J.; Bentley, J. M.; Blench, T. J.; Cliffe, I. A.; Dourish, C.; Hebeisen, P.; Kennett, G. A.; Knight, A. R.; Malcolm, C. S.; Mattei, P.; Misra, A.; Mizrahi, J.; Monck, N. J. T.; Plancher, J.-M.; Roever, S.; Roffey, J. R. A.; Taylor, S.; Vickers, S.

Р.

CORPORATE SOURCE: Discovery Research, F. Hoffmann-La Roche Ltd, Basel,

4070, Switz.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),

16(5), 1207-1211

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 31 Jan 2006

Further lead optimization efforts on previously described 1,2,3,4,10,10a-hexahydro-1H-pyrazino[1,2-a]indoles led to the new class of 5,5a,6,7,8,9-hexahydro-pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazines culminating in the discovery of (5aR,9R)-2-[(cyclopropylmethoxy)methyl]-5,5a,6,7,8,9-hexahydro-9-methyl-pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazine as

a potent, full 5-HT2C receptor agonist with an outstanding selectivity

profile and excellent hERG and phospholipidosis properties.

RETABLE					
Referenced Author	Year	VOL	PG	Referenced Work	Referenced
(RAU)	(RPY)	(RVL)	(RPG)	(RWK)	File
=======================================	+====-	h=====	+=====	+======================================	+========
Bentley, J	2002			WO 2002010169 A1	HCAPLUS
Bentley, J	2002			WO 2002051844 A1	HCAPLUS
Bickerdike, M	1999	1	207	Diabetes Obes Metab	HCAPLUS
Brodin, R	1995			WO 199915525 A1	HCAPLUS
Carek, P	1999	57	883	Drugs	HCAPLUS
Centers for Disease Con	ĺ		ļ	http://www.cdc.gov/	
Hoyer, D	1985	118	13	Eur J Pharmacol	HCAPLUS
Kennett, G	1997	36	609	Neuropharmacology	HCAPLUS
McKenna, D	1989	9	3482	J Neurosci	HCAPLUS
Porter, R	1999	128	13	Br J Pharmacol	HCAPLUS
Posakony, J	2002	67	5164	J Org Chem	HCAPLUS
Roever, S	2005	15	3604	Bioorg Med Chem Lett	HCAPLUS
Sargent, P	1997	133	309	Psychopharmacology	HCAPLUS
Schmuck, K	1994	342	85	FEBS Lett	HCAPLUS
Tecott, L	1995	374	542	Nature	HCAPLUS
The Cambridge Crystallo	İ			www.ccdc.cam.ac.uk/d	
Ullmann-Rauch, R	1996	110	27	Toxicology	1
Vickers, S	2001	41	200	Neuropharmacology	HCAPLUS
Tm	•	•			

IT 577711-82-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel hexahydro-pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazines as potent and selective 5-HT2C receptor agonists)

RN 577711-82-1 HCAPLUS

CN Pyrido[3',2':4,5]pyrrolo[1,2-a]pyrazin-6(7H)-one, 2-chloro-8,9-dihydro-9-methyl-, (9R)- (9CI) (CA INDEX NAME)

L165 ANSWER 9 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1123770 HCAPLUS

DOCUMENT NUMBER:

143:422339

TITLE:

Preparation of 6-azaindoles as IkB kinase

inhibitors for treating diabetes and inflammatory

diseases

INVENTOR (S):

Horiguchi, Yoshiaki; Imoto, Hiroshi; Wolf, Mark A.

Takeda Pharmaceutical Company Limited, Japan

SOURCE:

PCT Int. Appl., 205 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.			KIND DATE		APPLICATION NO.						DATE						
WO 2005097129			A2 20051020			,	WO 2005-US11531						20050404				
WO 2005097129						WO 2003-0311331						20030404					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	ΚP,	KR,	ΚZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NΙ,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,
		SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VC,	VN,	YU,	ZA,
		ZM,	ZW														
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW;	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG											
RITY APPLN. INFO.:						US 2004-558981P P 20							00404	105			
R SOURCE(S):					MARPAT 143·422339												

PRIOR

OTHER SOURCE(S):

ED Entered STN: 20 Oct 2005

Azaindoles I [wherein R1-R3, R6 = independently H, a substituent; one of ΔR R4 and R5 is H, the other is selected from -C(:X)-R7, -C(:O)-R10, -CH(OH)-R10, -C(:O)-NH-(CH2)n-Ar, -C(:O)-Het, -CH(R12)-NR13R14; R8, R10 =independently H, or a group bonded via a C; R7 = H, or a substituent; n = 0-2; Ar = aryl; Het = (un)substituted heterocyclic group bonded via a N; R12 = H, hydrocarbyl; R13, R14 = independently H, (un) substituted hydrocarbyl, heterocyclyl, etc; with the exception of certain compds.; and their salts] were prepared as compds. having a superior IkB kinase inhibitory activity, and useful as pharmaceutical agents such as agents for preventing or treating diabetes and the like. For example, azaindole II. 2HCl was prepared by reacting of phenyl(1H-pyrrolo[2,3-c]pyridin-2yl)methanone (preparation given) with tert-Bu 3-(aminooxy)pyrrolidine-1carboxylate (preparation given), deprotection (no data) and acidulation with HCl. . Pyrrolopyridine salt II+2HCl displayed an IC50 of 1.7 μM for the inhibition of IKKB.

867034-38-6P, 7-Chloro-N-(2-pyridinylmethyl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide 867034-40-0P, 7-Chloro-N-(4-pyridinylmethyl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide 867034-48-8P, 7-Chloro-2-(1-pyrrolidinylcarbonyl)-1H-pyrrolo[2,3-c]pyridine 867034-56-8P, 7-Chloro-N-(3-pyridinyl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of 6-azaindoles as IkB kinase inhibitors for treating diabetes and inflammatory diseases)

RN 867034-38-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & 0 \\
N & | \\
N & C-NH-CH_2 \\
N & N
\end{array}$$

RN 867034-40-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-(4-pyridinylmethyl)(9CI) (CA INDEX NAME)

RN 867034-48-8 HCAPLUS

CN Pyrrolidine, 1-[(7-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & H & O \\
N & C & N
\end{array}$$

RN 867034-56-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-3-pyridinyl- (9CI) (CA INDEX NAME)

867034-36-4P, N-Benzyl-7-chloro-1H-pyrrolo[2,3-c]pyridine-2-IT carboxamide 867034-37-5P, 7-Chloro-2-(4-morpholinylcarbonyl)-1Hpyrrolo[2,3-c]pyridine 867034-39-7P, 7-Chloro-N-(3pyridinylmethyl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide 867034-41-1P, 7-Chloro-N-(2-furylmethyl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide 867034-42-2P, 7-Chloro-N-(2-thienylmethyl)-1Hpyrrolo[2,3-c]pyridine-2-carboxamide 867034-47-7P, 2-[(4-Acetyl-1-piperazinyl)carbonyl]-7-chloro-1H-pyrrolo[2,3-c]pyridine 867034-49-9P, 7-Chloro-2-(4-thiomorpholinylcarbonyl)-1Hpyrrolo[2,3-c]pyridine 867034-54-6P, 7-Chloro-2-[(4-methyl-1piperazinyl)carbonyl]-1H-pyrrolo[2,3-c]pyridine 867034-55-7P, 7-Chloro-N-(2-pyridinyl)-1H-pyrrolo[2,3-c]pyridine-2-carboxamide 867034-57-9P, 7-Chloro-N-(4-pyridinyl)-1H-pyrrolo[2,3-c]pyridine-2carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of 6-azaindoles as IkB kinase inhibitors for treating diabetes and inflammatory diseases) RN

867034-36-4 HCAPLUS

CN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

867034-37-5 HCAPLUS RN Morpholine, 4-[(7-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]- (9CI) CN (CA INDEX NAME)

$$\begin{array}{c|c} C1 & H & O \\ N & C & N \end{array}$$

RN867034-39-7 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-(3-pyridinylmethyl)-CN(9CI) (CA INDEX NAME)

RN 867034-41-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-(2-furanylmethyl)(9CI) (CA INDEX NAME)

RN 867034-42-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-(2-thienylmethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & O \\ N & N & C-NH-CH_2 & S \\ \end{array}$$

RN 867034-47-7 HCAPLUS

CN Piperazine, 1-acetyl-4-[(7-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl](9CI) (CA INDEX NAME)

RN 867034-49-9 HCAPLUS

CN Thiomorpholine, 4-[(7-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl](9CI) (CA INDEX NAME)

867034-54-6 HCAPLUS RN

Piperazine, 1-[(7-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-4-methyl-CN (9CI) (CA INDEX NAME)

RN867034-55-7 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-2-pyridinyl- (9CI) CN (CA INDEX NAME)

RN867034-57-9 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-4-pyridinyl- (9CI) CN (CA INDEX NAME)

IT 867034-12-6P, 7-Chloro-N-cyclohexyl-1H-pyrrolo[2,3-c]pyridine-2carboxamide 867034-33-1P, 7-Chloro-N-[2-hydroxy-1,1-

bis (hydroxymethyl) ethyl] -1H-pyrrolo[2,3-c]pyridine-2-carboxamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 6-azaindoles as IkB kinase inhibitors

for treating diabetes and inflammatory diseases)

RN 867034-12-6 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-cyclohexyl- (9CI) CN INDEX NAME)

867034-33-1 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-[2-hydroxy-1,1-CN bis(hydroxymethyl)- (9CI) (CA INDEX NAME)

867034-21-7, 7-Chloro-N-cyclopentyl-1H-pyrrolo[2,3-c]pyridine-2-IT carboxamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 6-azaindoles as IkB kinase inhibitors for treating diabetes and inflammatory diseases)

867034-21-7 HCAPLUS RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 7-chloro-N-cyclopentyl- (9CI) CN(CA INDEX NAME)

L165 ANSWER 10 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1004744 HCAPLUS

DOCUMENT NUMBER:

143:306292

TITLE:

Preparation of pyrrolopyridine-2-carboxylic acid

hydrazides as glycogen phosphorylase inhibitors

INVENTOR(S):

Bradley, Stuart Edward; Jeevaratnam, Revathy Perpetua; Krulle, Thomas Martin; Procter, Martin James; Rowley,

Robert John; Thomas, Gerard Hugh; Valdes, Ana

PATENT ASSIGNEE(S):

Prosidion Limited, UK

SOURCE:

PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT I	NO.			KIN)	DATE		i	APPL	ICAT:	ION I	NO.		D	ATE		
						-									-			
WO	2005	08524	45		A1	:	2005	0915	1	WO 2	005-0	GB88	5		20	0050	308	
WO	2005	08524	45		C1		2005	1110										
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ.	BY.	KG.	KZ.	MD.	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	

PRIORITY APPLN. INFO.:

,40

US 2004-551254P

10 711,549, 4

P 20040308

OTHER SOURCE(S): MARPAT 143:306292

ED Entered STN: 16 Sep 2005

Title compds. of formula I [one of X1-X4 is N and the others are C; Y = AB CO, SO2, C(NH); Z = alkylene, O, alkyleneoxy, (substituted) NH, etc.; R, R1 = H, halo, OH, CN, alkyl, alkoxy, CH2F, ethenyl, ethynyl, etc.; R2 = H, alkyl, alkoxycarbonyl, acyl, alkoxy, arylalkyl, etc.; R3 = H, alkoxycarbonyl, alkoxy, arylalkylthio, arylalkyl, etc.] are prepared as inhibitors of glycogen phosphorylase and are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis or tissue ischemia e.g. myocardial ischemia, or as cardioprotectants or inhibitors of abnormal cell growth. Thus, II was prepared from 5-chloro-1H-pyrrolo[2,3c]pyridine-2-carboxylic acid hydrazide TFA salt (preparation given) and 2-thienyl isocyanate. The prepared compds. had IC50 values better than 100μM against glycogen phosphorylase.

RETABLE

Referenced Author (RAU)	•	VOL (RVL)	Referenced Work (RWK)	Referenced File
Bradley, S Nakamura, T	2004		WO 2004104001 A	HCAPLUS HCAPLUS

ΙT 864547-64-8P

> RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrrolopyridinecarboxylic acid hydrazides as glycogen phosphorylase inhibitors)

864547-64-8 HCAPLUS RN

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-,

2-[(1,1-dimethylethoxy)carbonyl]hydrazide (9CI) (CA INDEX NAME)

. IT 864547-40-0P 864547-41-1P 864547-42-2P 864547-43-3P 864547-44-4P 864547-45-5P 864547-46-6P 864547-47-7P 864547-48-8P 864547-49-9P 864547-50-2P 864547-51-3P 864547-52-4P 864547-53-5P 864547-54-6P 864547-55-7P 864547-56-8P 864547-57-9P 864547-58-0P 864547-59-1P 864547-60-4P 864547-61-5P 864547-62-6P 864547-63-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinecarboxylic acid hydrazides as glycogen phosphorylase inhibitors)

RN 864547-40-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[(2-thienylamino)carbonyl]hydrazide (9CI) (CA INDEX NAME)

RN 864547-41-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(3-thienylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

RN 864547-42-2 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(3-furanylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

RN 864547-43-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[(tetrahydro-3-furanyl)carbonyl]hydrazide (9CI) (CA INDEX NAME)

RN 864547-44-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(1H-pyrrol-2-ylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 864547-45-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-benzoylhydrazide (9CI) (CA INDEX NAME)

RN 864547-46-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(1,3-benzodioxol-5-ylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

RN 864547-47-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[(tetrahydro-2H-pyran-4-yl)carbonyl]hydrazide (9CI) (CA INDEX NAME)

RN 864547-48-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(cyclopropylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 864547-49-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(4-methylbenzoyl)hydrazide (9CI) (CA INDEX NAME)

RN 864547-50-2 HCAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 1-(1,1-dimethylethyl) ester,
2-[2-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]hydrazide], (2S)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 864547-51-3 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[(2S)-2-pyrrolidinylcarbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 864547-52-4 HCAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 1-(1,1-dimethylethyl) ester, 3-[2-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]hydrazide], (3S)-(9CI) (CA INDEX NAME)

RN 864547-53-5 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[(3S)-3-pyrrolidinylcarbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 864547-54-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(3-pyridinylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

RN 864547-55-7 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(phenylacetyl)hydrazide (9CI) (CA INDEX NAME)

RN 864547-56-8 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[[(4-chlorophenyl)amino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

RN 864547-57-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(3,4-dichlorobenzoyl)hydrazide (9CI) (CA INDEX NAME)

RN 864547-58-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]hydrazide (9CI) (CA INDEX NAME)

RN 864547-59-1 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[(2-chlorophenoxy)acetyl]hydrazide (9CI) (CA INDEX NAME)

RN 864547-60-4 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(2-thienylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

RN 864547-61-5 HCAPLUS

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CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-(2-furanylcarbonyl)hydrazide (9CI) (CA INDEX NAME)

RN 864547-62-6 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, 2-[(1-methyl-1H-pyrrol-2-yl)carbonyl]hydrazide (9CI) (CA INDEX NAME)

RN 864547-63-7 HCAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxylic acid, 5-chloro-, 2-(3,4-dichlorobenzoyl)hydrazide (9CI) (CA INDEX NAME)

IT 864547-65-9P 864547-66-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridinecarboxylic acid hydrazides as glycogen phosphorylase inhibitors)

RN 864547-65-9 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, hydrazide (9CI) (CA INDEX NAME)

RN 864547-66-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, hydrazide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 864547-65-9 CMF C8 H7 Cl N4 O

$$\begin{array}{c|c} & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L165 ANSWER 11 OF 33 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1004705 HCAPLUS

DOCUMENT NUMBER: 143:306169

TITLE: Indole-2-carboxylic acid hydrazides

INVENTOR(S): Bradley, Stuart Edward; Jeevaratnam, Revathy Perpetua;

Krulle, Thomas Martin; Procter, Martin James; Rowley,

Robert John; Thomas, Gerard Hugh; Valdes, Ana

PATENT ASSIGNEE(S): Prosidion Limited, UK

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

20050915 WO 2005-GB872 WO 2005085194 A2 WO 2005085194 20060105

A3 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,

PRIORITY APPLN. INFO.:

90

US 2004-551255P P 20040308

MARPAT 143:306169 OTHER SOURCE(S):

MR, NE, SN, TD, TG

ED Entered STN: 16 Sep 2005

Compds. of formula I [wherein Y = -C(0) - ... -S(0) 2 - , or -C(NH) - ; Z =AB C1-4alkylene, O, -(CH2)mO-, -O(CH2)m, etc. (m = 1-4); R1, R2 =independently halogen, hydroxym cyano, etc.; R3 = C0-4alkyl, C1-4alkoxyC1-3alkyl-, hydroxyC1-4alkyl, etc.; R4 = H, -C00C0-4alkyl, C1-4alkyl, etc.] or pharmaceutically acceptable salts thereof, were prepared as inhibitors of glycogen phosphorylase. Thus, a solution of 5-chloro-1H-indole-2-carboxylic acid hydrazide (II) in 1,4-dioxane was treated with phenylmethanesulfonyl chloride and DIPEA for 16H at room temperature to provide 5-chloro-1H-indole-2-carboxylic acid N'-(phenylmethanesulfonyl) hydrazide (III). Compds. of formula I are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis or tissue ischemia, e.g. myocardial ischemia, or as cardioprotectants or inhibitors of abnormal cell growth.

IT 864659-01-8P 864659-02-9P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of indole-2-carboxylic acid hydrazides as inhibitors of glycogen phosphorylase)

RN 864659-01-8 HCAPLUS

CN1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 2-[(5-chloro-1H-indol-2yl)carbonyl]hydrazide (9CI) (CA INDEX NAME)

RN864659-02-9 HCAPLUS

1H-Pyrrolo[2,3-c]pyridine-2-carboxylic acid, 5-chloro-, CN 2-[(5-chloro-1H-indol-2-yl)carbonyl]hydrazide (9CI) (CA INDEX NAME)

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L165 ANSWER 12 OF 33 USPATFULL on STN

ACCESSION NUMBER:

2005:299603 USPATFULL

TITLE:

Pyrrolopyridine-2-carboxylic acid amide inhibitors of

glycogen phosphorylase

INVENTOR(S):

Bradley, Stuart Edward, Oxford, UNITED KINGDOM Krulle, Thomas Martin, Oxford, UNITED KINGDOM Murray, Peter John, Oxford, UNITED KINGDOM Procter, Martin James, Oxford, UNITED KINGDOM Rowley, Robert John, Oxford, UNITED KINGDOM

Sambrook Smith, Colin Peter, Oxford, UNITED KINGDOM

Thomas, Gerard Hugh, Oxford, UNITED KINGDOM

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005261272	A1	20051124	
APPLICATION INFO.:	US 2004-851902	A1	20040520	(10)

DATE NUMBER ______

PRIORITY INFORMATION:

US 2004-551256P 20040308 (60)

DOCUMENT TYPE: FILE SEGMENT:

Utility APPLICATION

LEGAL REPRESENTATIVE:

Shu M. Lee, OSI Pharmaceuticals, Inc., Suite 110, 58

South Service Road, Melville, NY, 11747, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

LINE COUNT:

1 4901

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds represented by Formula (I): ##STR1## or pharmaceutically acceptable salts thereof, are inhibitors of glycogen phosphorylase and are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis or tissue ischemia e.g. myocardial ischemia, and as cardioprotectants.

IT 800397-99-3P 800398-33-8P 800398-34-9P

800398-35-0P 800398-36-1P 800398-37-2P

800398-38-3P 800398-42-9P 800399-22-8P

800399-23-9P 800399-85-3P 800400-37-7P

800400-46-8P 800400-49-1P 800400-52-6P

800400-69-5P 800400-84-4P 800400-89-9P

800400-95-7P 800400-97-9P 800400-98-0P

800401-07-4P 800401-08-5P 800401-17-6P

800401-18-7P 800401-22-3P

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

RN800397-99-3 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CN fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI)

INDEX NAME)

The second secon

RN 800398-33-8 USPATFULL

CN Glycine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 800398-34-9 USPATFULL

CN Glycine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

RN 800398-35-0 USPATFULL

Absolute stereochemistry.

RN 800398-36-1 USPATFULL

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-37-2 USPATFULL

CN Benzenebutanoic acid, β -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]- α -hydroxy-, methyl ester, $(\alpha R, \beta S)$ -(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-38-3 USPATFULL

CN Benzenebutanoic acid, β -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]- α -hydroxy-, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-42-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-fluorophenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H & O & O \\
N & C - NH - CH_2 - C
\end{array}$$

RN 800399-22-8 USPATFULL

CN Benzenebutanoic acid, β -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]- α -hydroxy-, methyl ester, (α S, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-23-9 USPATFULL

CN Benzenebutanoic acid, β -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]- α -hydroxy-, (α S, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-85-3 USPATFULL

CN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-amino-1-piperidinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-37-7 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-46-8 USPATFULL

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-4-fluoro-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-49-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-52-6 USPATFULL

CN Carbamic acid, [1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-4-piperidinyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

2 1140 10/849 085

RN 800400-69-5 USPATFULL

CN 4-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-84-4 USPATFULL

CN 1-Piperidinecarboxylic acid, 4-[[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]methylamino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 800400-89-9 USPATFULL

CN 4-Pyridinepropanoic acid, α -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-, methyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-95-7 USPATFULL

CN 4-Pyridinepropanoic acid, α -[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-97-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-hydroxy-2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{O} & & \text{OH} \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 800400-98-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-2-hydroxy-1-(methoxymethyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

RN 800401-07-4 USPATFULL

CN L-Phenylalanine, N-[(6-chloro-1H-pyrrolo[2,3-b]pyridin-2-yl)carbonyl]-4-fluoro-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-08-5 USPATFULL

CN L-Phenylalanine, N-[(6-chloro-1H-pyrrolo[2,3-b]pyridin-2-yl)carbonyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-17-6 USPATFULL

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-2-yl)carbonyl]-4-fluoro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 800401-18-7 USPATFULL

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-2-yl)carbonyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-22-3 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 800397-93-7P 800397-98-2P 800398-00-9P 800398-03-2P 800398-04-3P 800398-05-4P 800398-06-5P 800398-07-6P 800398-08-7P 800398-09-8P 800398-10-1P 800398-11-2P 800398-12-3P 800398-13-4P 800398-14-5P 800398-21-4P 800398-22-5P 800398-23-6P 800398-24-7P 800398-25-8P 800398-26-9P 800398-27-0P 800398-28-1P 800398-29-2P

-n 50 10/849,009

89

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800398-30-5P 800398-31-6P 800398-32-7P
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      800400-99-1P 800401-00-7P 800401-01-8P
      800401-02-9P 800401-03-0P 800401-04-1P
      800401-05-2P 800401-06-3P
        (preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of
        glycogen phosphorylase)
RN
     800397-93-7 USPATFULL
     1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-
CN
       (dimethylamino) -2-oxo-1-(phenylmethyl) ethyl] - (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

RN 800397-98-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-00-9 USPATFULL

CN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl](9CI) (CA INDEX NAME)

OH OH OH

RN 800398-03-2 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(2-phenoxyethyl)-CN(9CI) (CA INDEX NAME)

RN800398-04-3 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-CN morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-05-4 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-CNmethoxyphenoxy)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline N & C-NH-CH_2-CH_2-O \end{array}$$

RN800398-06-5 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(2-thienyl)ethyl]-CN (9CI) (CA INDEX NAME)

RN 800398-07-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(2-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 800398-08-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(2-oxo-2-phenylethyl)(9CI) (CA INDEX NAME)

RN 800398-09-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-5-chloro-(9CI) (CA INDEX NAME)

RN 800398-10-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN800398-11-2 USPATFULL

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CN1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)

RN800398-12-3 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-[[(2-chloro-6-CNfluorophenyl) methyl] thio] ethyl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & C1 \\ & N \\ & C \\ & C1 \\ & C1 \\ & C1 \\ & C1 \\ & C1 \\ & C1 \\ & C1 \\ & C1 \\ & C1 \\ & C2 \\ & C1 \\ & C2 \\ & C1 \\ & C2 \\ & C1 \\ & C2 \\ & C1 \\ & C3 \\ & C4 \\ &$$

RN800398-13-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(2,3-dihydro-1,4benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & H & O \\
 & N & C - NH - CH_2 & O \\
\end{array}$$

RN800398-14-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(1naphthalenylamino)ethyl] - (9CI) (CA INDEX NAME)

RN 800398-21-4 USPATFULL CN 1H-Pyrrolo[3,2-b]pyridine-2-carboxamide, 5-chloro-N-(2-phenoxyethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ H \\ N \\ \end{array} \begin{array}{c} C \\ - NH - CH_2 - CH_2 - OPh \end{array}$$

RN 800398-22-5 USPATFULL CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 800398-23-6 USPATFULL CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-[(phenylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

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RN 800398-24-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-oxo-2-(phenylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-25-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-oxo-2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

800398-26-9 USPATFULL

RN

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-oxo-2-[(2-thienylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 800398-27-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-[(4-methoxyphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-28-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(phenylmethyl)-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-29-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-30-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-31-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(phenylmethyl)-2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800398-32-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(phenylmethyl)-2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-39-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-methoxyphenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-40-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(3,4-difluorophenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-41-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-chlorophenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 800398-43-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-fluorophenyl)-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

RN 800398-44-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(3-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-45-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(3-pyridinylmethyl)-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

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RN 800398-46-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(2-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-47-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-48-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(1-methylethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-49-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(methylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-50-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(ethylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-51-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclobutylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-52-1 USPATFULL CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclopentylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-53-2 USPATFULL
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[(1R,2R)-2-hydroxycyclopentyl]amino]-2-oxoethyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-54-3 USPATFULL CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4fluorophenyl)methyl]-2-[[(1S,2S)-2-hydroxycyclohexyl]amino]-2-oxoethyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-55-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[(1R,2S)-2-(hydroxymethyl)cyclohexyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-56-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[[(tetrahydro-2-furanyl)methyl]amino]ethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-57-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-

fluorophenyl) methyl] -2-[(2-furanylmethyl) amino] -2-oxoethyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-58-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(1-azetidinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-59-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-60-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-

fluorophenyl)methyl]-2-oxo-2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-61-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-62-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-63-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-64-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-65-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3R)-3-(acetylamino)-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-66-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-67-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-68-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800398-69-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2-methoxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-70-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[2-(methylthio)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-71-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[[2-(dimethylamino)ethyl]amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 800398-72-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[bis(2-hydroxyethyl)amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-73-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-74-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(diethylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

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RN 800398-75-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-76-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(3-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-77-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[(3-pyridinylmethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 800398-78-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(phenylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-79-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(2,5-dimethyl-1-pyrrolidinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-80-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[bis(2-methoxyethyl)amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-

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(9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 800398-81-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S,4R)-3,4-dimethoxy-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-82-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-83-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-

(cyclohexylamino) -1-[(4-fluorophenyl)methyl] -2-oxoethyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-84-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(3-thiazolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-86-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]-(9CI) (CA INDEX NAME)

RN 800398-87-2 USPATFULL
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[(tetrahydro-2H-thiopyran-4-yl)amino]ethyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-89-4 USPATFULL
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[[3-(dimethylamino)propyl]amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 800398-91-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3-hydroxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

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RN 800398-97-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(4-oxo-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-98-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclopropylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800398-99-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(4-hydroxybutyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

HO
$$(CH_2)_4$$
 $(CH_2)_4$ (CH_2)

RN 800399-00-2 USPATFULL CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-01-3 USPATFULL
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(2,3-dihydroxypropyl)amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 800399-02-4 USPATFULL
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]ethy
1]- (9CI) (CA INDEX NAME)

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RN 800399-03-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[[3-(cyclohexylamino)propyl]amino]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-04-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[3-(4-morpholinylsulfonyl)-1-azetidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-05-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[3-(1-azetidinylsulfonyl)-1-azetidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

RN 800399-06-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(3-hydroxy-1-azetidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-07-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(3-hydroxy-1-azetidinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-08-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(3-hydroxy-1-azetidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800399-09-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-hydroxy-1-piperidiny1)-2-oxoethy1]- (9CI) (CA INDEX NAME)

RN 800399-10-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline N & C - NH - CH_2 - C - N \end{array}$$

RN 800399-11-5 USPATFULL

CN Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-βoxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 800399-12-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(methoxymethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800399-13-7 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-14-8 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-19-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-methoxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

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RN 800399-20-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3R)-3-methoxy-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-21-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3S)-3-methoxy-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-24-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-3-(dimethylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 800399-25-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-26-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-3-[(3S,4R)-3,4-dihydroxy-1-pyrrolidinyl]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-27-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(propylamino)propyl]- (9CI) (CA INDEX NAME)

RN 800399-28-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S,2R)-3-amino-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-29-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-[(2-hydroxyethyl)amino]-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-30-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methoxymethylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

RN 800399-31-9 USPATFULL

Absolute stereochemistry.

RN 800399-32-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-(cyclopropylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-33-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-(cyclobutylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-34-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-(cyclopentylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

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RN 800399-35-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S,2R)-3-(1-azetidinyl)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-36-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-3-(phenylamino)-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-37-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 800399-38-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-[(3R)-3-hydroxy-1-pyrrolidinyl]-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-39-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-[(3S)-3-hydroxy-1-pyrrolidinyl]-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-40-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

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RN 800399-41-1 USPATFULL

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CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(4-hydroxy-1-piperidinyl)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-42-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-[4-(hydroxymethyl)-1-piperidinyl]-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-44-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(4-methyl-1-piperazinyl)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-45-5 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(4-methyl-1-piperazinyl)-3-oxo-1-(phenylmethyl)propyl]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 800399-44-4

CMF C23 H26 Cl N5 O3

Absolute stereochemistry.

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 800399-47-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-48-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-oxo-1-(phenylmethyl)-3-(1-piperazinyl)propyl]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 800399-47-7 CMF C22 H24 Cl N5 O3

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CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 800399-49-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(4-morpholinyl)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-50-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S,2R)-3-(4-acetyl-1-piperazinyl)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-51-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[[2-(4-morpholinyl)ethyl]amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-52-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclopentylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-53-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(4-methyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-54-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-(2-hydroxyethyl)-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CAINDEX NAME)

RN 800399-55-7 USPATFULL

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CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-acetyl-1-piperazinyl)-2-oxo-1-(phenylmethyl)ethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-56-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3-hydroxypropyl)amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 800399-57-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-(hydroxymethyl)-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800399-58-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(aminocarbonyl)-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-59-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[3-(aminocarbonyl)-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-60-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[3-(hydroxymethyl)-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

RN 800399-61-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800399-62-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(3,6-dihydro-1(2H)-pyridinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-63-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(phenylmethyl)-2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-64-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(4-morpholinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-65-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(1,1-dioxido-4-thiomorpholinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-66-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-67-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3-methoxypropyl)amino]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-68-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

RN 800399-70-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(3-hydroxy-1-piperidinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-72-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclopropylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-73-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3S)-3-(acetylamino)-1-pyrrolidinyl]-2-oxo-1-(phenylmethyl)ethyl]-5-chloro-(9CI) (CA INDEX NAME)

RN 800399-74-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-2-(3-oxo-1-piperazinyl)-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-75-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(4-fluoro-1-piperidinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-76-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(cyclobutylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-77-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-(2-phenylpropyl)(9CI) (CA INDEX NAME)

800399-78-4 USPATFULL RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(4-CNchlorophenyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

800399-79-5 USPATFULL RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-(5-methoxy-1H-indol-CN3-yl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ N & C - NH - CH_2 - CH_2 \\ & & N \\ \end{array}$$

RN800399-80-8 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CN fluorophenyl)methyl]-2-oxo-2-[4-(phenylmethoxy)-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-81-9 USPATFULL

4-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-CN c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 800399-82-0 USPATFULL

CN 3-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-83-1 USPATFULL

CN 2-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

RN 800399-84-2 USPATFULL

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CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(acetyloxy)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-86-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(aminomethyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-87-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3R)-3-amino-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 800399-88-6 USPATFULL
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3R)-3-amino-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 800399-89-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3S)-3-amino-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN800399-90-0 USPATFULL CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(3S)-3-amino-1pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN800399-91-1 USPATFULL CN1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4fluorophenyl) methyl] -2-oxo-2-(4-piperidinylamino) ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 800399-92-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(4-piperidinylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-93-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(hexahydro-1H-1,4-diazepin-1-yl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

800399-94-4 USPATFULL RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CNfluorophenyl) methyl] -2-(hexahydro-1H-1,4-diazepin-1-yl) -2-oxoethyl]-(CA INDEX NAME) (9CI)

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Absolute stereochemistry.

800399-95-5 USPATFULL

RN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-CN (diacetylamino) -1-piperidinyl] -1-[(4-fluorophenyl)methyl] -2-oxoethyl] - (9CI) (CA INDEX NAME)

RN 800399-96-6 USPATFULL

Absolute stereochemistry.

RN 800399-97-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-[(methylamino)methyl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800399-98-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[4-(trifluoromethyl)-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

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RN 800399-99-9 USPATFULL

CN 4-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-00-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[3-(hydroxymethyl)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-01-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[1,4'-bipiperidin]-1'-yl-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

RN 800400-02-6 USPATFULL CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-acetyl-1-piperidinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-03-7 USPATFULL
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-fluoro-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800400-04-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(aminocarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-05-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-hydroxyethyl)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-06-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(aminocarbonyl)-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

RN 800400-07-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[3-[(diethylamino)carbonyl]-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-08-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[3-(aminocarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-09-3 USPATFULL

CN 3-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, ethyl

ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-10-6 USPATFULL

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CN 2-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-11-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-12-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-methoxyethyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-13-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(3-methoxypropyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 800400-14-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800400-16-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-acetylhexahydro-1H-1,4-diazepin-1-yl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-19-5 USPATFULL

CN D-Prolinamide, 5-chloro-1H-pyrrolo[2,3-c]pyridine-2-carbonyl-4-fluoro-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-21-9 USPATFULL

CN Carbamic acid, [(3R)-1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 800400-23-1 USPATFULL

CN Carbamic acid, [(3S)-1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-25-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-(cyclopropylcarbonyl)-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-27-5 USPATFULL

CN 1-Piperidinecarboxylic acid, 4-[[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]amino]-,

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1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-29-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(hexahydro-5-oxo-1H-1,4-diazepin-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-31-1 USPATFULL

CN 1H-1,4-Diazepine-1-carboxylic acid, 4-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]hexahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 800400-33-3 USPATFULL

CN L-Proline, 5-chloro-1H-pyrrolo[2,3-c]pyridine-2-carbonyl-4-fluoro-L-phenylalanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-35-5 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-39-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 800400-41-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(3-hydroxypropyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-43-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-[2-(dimethylamino)ethyl]-1-piperazinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-45-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-

fluorophenyl)methyl]-2-oxo-2-(1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-48-0 USPATFULL

CN L-Phenylalanine, N-[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-54-8 USPATFULL

CN Carbamic acid, [[1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-56-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(4-morpholinyl)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

85

RN 800400-58-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[hexahydro-4-(2-hydroxyethyl)-1H-1,4-diazepin-1-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-60-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3R)-3-hydroxy-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-61-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(3,6-dihydro-1(2H)-pyridinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-63-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[2-(hydroxymethyl)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-65-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(2,5-dihydro-1H-pyrrol-1-yl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800400-67-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(1,1-dioxido-4-thiomorpholinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-71-9 USPATFULL

CN 3-Piperidinecarboxylic acid, 1-[(2S)-2-[[(5-chloro-1H-pyrrolo[2,3-c]pyridin-2-yl)carbonyl]amino]-3-(4-fluorophenyl)-1-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-73-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(4-hydroxy-1-piperidinyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-75-3 USPATFULL

SH140 10/649,

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[(2S)-2-(aminocarbonyl)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-77-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-methoxyethoxy)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-78-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(3-methoxypropoxy)-1-piperidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

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RN 800400-80-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-(acetylamino)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-82-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-[4-[(acetylamino)methyl]-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-85-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(methyl-4-piperidinylamino)-2-oxoethyl]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

RN 800400-86-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[methyl(tetrahydro-2H-pyran-4-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-87-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[4-(dimethylamino)-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

RN 800400-88-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-[(methylsulfonyl)amino]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-90-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(4-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-91-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-1-(4-pyridinylmethyl)-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-92-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(1,4-dioxa-7-azaspiro[4.5]dec-7-yl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-93-5 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3R,4R)-3,4-dihydroxy-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-94-6 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-[(3S,4S)-3,4-

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dihydroxy-1-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 800400-96-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-oxo-2-phenyl-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800400-99-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-(hydroxymethyl)-2-oxo-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-00-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-(methoxymethyl)-2-oxo-2-phenylethyl]- (9CI) (CA INDEX NAME)

RN 800401-01-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[2-oxo-2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

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RN 800401-02-9 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-03-0 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

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RN 800401-04-1 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-05-2 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(hexahydro-5-oxo-1H-1,4-diazepin-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-06-3 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-(2-oxo-2-phenylethyl)(9CI) (CA INDEX NAME)

IT 800401-09-6P 800401-10-9P 800401-11-0P 800401-12-1P 800401-13-2P 800401-14-3P 800401-15-4P 800401-16-5P 800401-19-8P 800401-20-1P 800401-21-2P 800401-23-4P 800401-24-5P 800401-25-6P 800401-26-7P 800401-27-8P 800401-28-9P 800401-29-0P 800401-30-3P 800401-31-4P 800401-32-5P

800401-33-6P 800401-44-9P 800401-45-0P
800401-47-2P 800401-48-3P 800401-49-4P
800401-50-7P 800401-51-8P 800402-16-8P
800402-17-9P
(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)
RN 800401-09-6 USPATFULL
CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX

Absolute stereochemistry.

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Absolute stereochemistry.

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RN 800401-12-1 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-13-2 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-14-3 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

800401-15-4 USPATFULL RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-CNfluorophenyl) methyl] -2-oxo-2-(4-thiomorpholinyl) ethyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800401-16-5 USPATFULL RN

1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-CN fluorophenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800401-19-8 USPATFULL

RN1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CN fluorophenyl) methyl] -2-[(3S) -3-hydroxy-1-pyrrolidinyl] -2-oxoethyl]-(9CI) (CA INDEX NAME)

RN 800401-20-1 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-(2-oxo-2-phenylethyl)(9CI) (CA INDEX NAME)

RN 800401-21-2 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(methoxymethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-23-4 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

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RN 800401-24-5 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-methyl-1-piperazinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-25-6 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-26-7 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(2-hydroxyethyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

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Absolute stereochemistry.

RN 800401-27-8 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, N-[(1S)-2-(4-acetyl-1-piperazinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-28-9 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(3-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX·NAME)

Absolute stereochemistry.

RN 800401-29-0 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-

fluorophenyl)methyl]-2-[(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-30-3 USPATFULL

CN 1H-Pyrrolo[2,3-b]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(4-hydroxybutyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-31-4 USPATFULL

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, 6-chloro-N-[(1S)-2-(dimethylamino)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-32-5 USPATFULL

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800401-33-6 USPATFULL

CN 1H-Pyrrolo[3,2-c]pyridine-2-carboxamide, 6-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-44-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[(1R,2R)-2-hydroxycyclohexyl]amino]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-45-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[[(1S,2R)-2-(hydroxymethyl)cyclohexyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 800401-47-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(4-cyclopentyl-1-piperazinyl)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-48-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-(ethylmethylamino)-1-[(4-fluorophenyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-49-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(4-thiomorpholinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-50-7 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-(3-hydroxy-1-piperidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-51-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-oxo-2-(3-oxo-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800402-16-8 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, N-[(1S)-2-(4-amino-1-piperidinyl)-CN1-[(4-fluorophenyl)methyl]-2-oxoethyl]-5-chloro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

800402-17-9 USPATFULL RN

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CN fluorophenyl) methyl] -2-[4-[(methylamino) methyl] -1-piperidinyl] -2oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

800402-18-0

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

RN800402-18-0 USPATFULL

1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-CNfluorophenyl) methyl] -2-[4-[[methyl[(2-nitrophenyl)sulfonyl]amino]methyl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

IT 800401-77-8P 800401-78-9P 800401-79-0P

800401-80-3P 800401-95-0P 800401-99-4P

800402-01-1P 800402-02-2P

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

RN 800401-77-8 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[[2-(4-methoxyphenyl)-1,3-dioxolan-2-yl]methyl]- (9CI) (CA INDEX NAME)

RN 800401-78-9 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[[2-(3,4-difluorophenyl)-1,3-dioxolan-2-yl]methyl]- (9CI) (CA INDEX NAME)

RN 800401-79-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[[2-(4-chlorophenyl)-1,3-dioxolan-2-yl]methyl]- (9CI) (CA INDEX NAME)

RN 800401-80-3 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[[2-(4-fluorophenyl)-1,3-dioxolan-2-yl]methyl]- (9CI) (CA INDEX NAME)

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RN 800401-95-0 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-[methyl[(2-nitrophenyl)sulfonyl]amino]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 800401-99-4 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-2-phenyl-1-(2-phenyl-1,3-dioxolan-2-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 800402-01-1 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2S)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-hydroxy-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

800402-02-2 USPATFULL

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-oxo-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> d ibib ed ab hitind 13
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, TOXCENTER, CHEMCATS' CONTINUE? (Y)/N:y

L165 ANSWER 13 OF 33 TOXCENTER COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:22645 TOXCENTER Copyright 2006 ACS

COPYRIGHT: DOCUMENT NUMBER:

CA14207114103S

TITLE:

RN

Preparation of triazafluorenes as 5-HT2C receptor agonists

for the treatment of diabetes and obesity.

AUTHOR(S):

Blench, Toby Jonathan; Hebeisen, Paul; Richter, Hans;

Roever, Stephan CORPORATE SOURCE: ASSIGNEE: Vernalis Research Limited WO 2005000849 Al 6 Jan 2005 PATENT INFORMATION: SOURCE: (2005) PCT Int. Appl., 148 pp. CODEN: PIXXD2. SWITZERLAND COUNTRY: DOCUMENT TYPE: Patent FILE SEGMENT: CAPLUS CAPLUS 2005:14399 OTHER SOURCE: English LANGUAGE: ENTRY DATE: Entered STN: 1 Feb 2005 Last Updated on STN: 29 Nov 2005 ED Entered STN: 1 Feb 2005 Last Updated on STN: 29 Nov 2005 Title compds. (I; R1 = H, alkyl, haloalkyl, cycloalkyl, halo, alkoxy, AΒ cycloalkoxy, hydroxyalkyl, etc.; R2 = alkyl, cycloalkyl, alkoxy, cycloalkoxy, halo, OH, hydroxyalkyl, alkoxyalkyl, aralkoxyalkyl, etc.; R3 = H, alkyl, cycloalkyl, hydroxyalkyl, alkoxyalkyl, cycloalkoxyalkyl, etc.; R4 = H, alkyl; R5 = alkyl), were prepared Thus, tert-Bu (4R, 9aR) -7-fluoro-8-hydroxymethyl-4-methyl-3,4,9,9a-tetrahydro-1H-2,4a,5triazafluorene-2-carboxylate (preparation given) was stirred 2.5 h with CBr4 and Ph3P in CH2Cl2 to give an oil which was stirred 0.5 h with polymethylhydrosilane and Pd(OAc)2 in THF to give a residue which was stirred 0.5 h with CF3CO2H to give (4R,9aR)-7-fluoro-4,8-dimethyl-3,4,9,9atetrahydro-1H-2,4a,5-triazafluorene. The latter in a functional assay using human 5-HT2C receptors showed an EC50 of 13 nM. CC 28-17 Miscellaneous Descriptors ST triazafluorene prepn 5HT2C receptor agonist diabetes obesity treatment 96829-58-2 (Orlistat) RN 68-12-2 (Dimethylformamide) 74-96-4 (Ethyl bromide) 75-07-0 (Acetaldehyde) 75-26-3 (2-Bromopropane) 97-94-9 (Triethylborane) 100-39-0 (Benzyl bromide) 110-81-6 (Diethyl disulfide) 127-19-5 (Dimethylacetamide) 541-41-3 (Ethyl chloroformate) 593-56-6 (O-Methylhydroxylamine hydrochloride) 629-19-6 (Propyl disulfide) 4333-56-6 (Cyclopropyl bromide) 5720-07-0 (4-Methoxyphenylboronic acid) 6482-24-2 (2-Bromoethyl methyl ether) 7051-34-5 (Bromomethylcyclopropane) 17739-45-6 (2-(2-Bromoethoxy)tetrahydro-2H-pyran) 21717-96-4 (2-Amino-5-fluoropyridine) 220474-36-2 (Dimethylprop-2-ynyloxy-(1,1,2-trimethylpropyl)silane) 396074-50-3 ((S)-5-Methyl-2,2-dioxo-[1,2,3]oxathiazolidine-3-carboxylic acid tert-butyl ester) 784155-54-0 (N-(5-Fluoropyridin-2-yl)-2,2-dimethylpropionamide) 823218-50-4 (N-(5-Fluoro-3-iodopyridin-2-yl)-2,2-dimethylpropionamide) 823218-51-5 (5-Fluoro-3-iodopyridin-2-ylamine) 823216-64-4; 823216-65-5; 823216-66-6; 823216-67-7; 823216-68-8; RN823216-69-9; 823216-70-2; 823216-71-3; 823216-72-4; 823216-73-5; 823216-74-6; 823216-75-7; 823216-76-8; 823216-77-9; 823216-78-0; 823216-79-1; 823216-81-5; 823216-82-6; 823216-83-7; 823216-84-8;

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577712-52-8; 577712-57-3; 577712-59-5; 577712-70-0; 577712-80-2;
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=> d ide 14-33
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, TOXCENTER, CHEMCATS' CONTINUE? (Y)/N:y

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L165 ANSWER 14 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN Accession No. (AN): 2006:4499996 CHEMCATS
Catalog Name (CO): Aurora Screening Library
Publication Date (PD): 10 May 2006
Order Number (ON): kcd-383972
Chemical Name (CN): Chemical name not yet assigned
CAS Registry No. (RN): 904455-47-6
Supplementary Term (ST): CHEMICAL LIBRARY
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823218-91-3; 823218-92-4; 823218-93-5

Structure

$$\begin{array}{c|c}
N & (CH_2)_3 - NH - C & Me \\
N & N & N & N & N & N & N & N
\end{array}$$

2.7004

L165 ANSWER 15 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4498824 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-384029

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 904443-62-5 Supplementary Term (ST): CHEMICAL LIBRARY

Structure :

L165 ANSWER 16 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

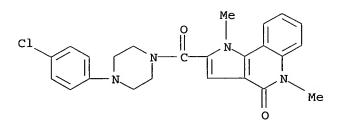
Accession No. (AN): 2006:4498808 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-383999

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 904443-46-5 Supplementary Term (ST): CHEMICAL LIBRARY

Structure :



L165 ANSWER 17 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4498802 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006

Order Number (ON): kcd-383988

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 904443-40-9
Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L165 ANSWER 18 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4498770 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-383934

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 904443-08-9

Supplementary Term (ST): CHEMICAL LIBRARY

Structure

$$\begin{array}{c|c} & & & \\ &$$

L165 ANSWER 19 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4498762 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-383920

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 904443-00-1 Supplementary Term (ST): CHEMICAL LIBRARY

Structure

$$\begin{array}{c|c} C1 & \text{Me} \\ \hline \\ NH-C & N \\ \hline \\ N & Me \\ \hline \\ N & Me \\ \hline \end{array}$$

L165 ANSWER 20 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

(AN): 2006:4478799 CHEMCATS Accession No. (CO): Aurora Screening Library Catalog Name

(PD): 10 May 2006 Publication Date (ON): kcd-384046 Order Number

(CN): Chemical name not yet assigned Chemical Name

CAS Registry No. (RN): 902561-84-6 (ST): CHEMICAL LIBRARY Supplementary Term

Structure

L165 ANSWER 21 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

(AN): 2006:4478787 CHEMCATS Accession No. (CO): Aurora Screening Library Catalog Name

(PD): 10 May 2006 Publication Date Order Number (ON): kcd-384028

(CN): Chemical name not yet assigned Chemical Name

(RN): 902561-72-2 CAS Registry No. Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L165 ANSWER 22 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4478763 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-383992

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 902561-48-2 Supplementary Term (ST): CHEMICAL LIBRARY

Structure

84

L165 ANSWER 23 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4478702 CHEMCATS Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-383926

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 902560-87-6 Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L165 ANSWER 24 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4476851 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-369235

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 902509-15-3 Supplementary Term (ST): CHEMICAL LIBRARY

Structure

$$\begin{array}{c|c} C1 & O & Me \\ \hline \\ CH_2-NH-C & N \\ \hline \\ O & NH \\ \hline \\ O & \\ \end{array}$$

L165 ANSWER 25 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4476790 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-369136

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 902508-54-7 Supplementary Term (ST): CHEMICAL LIBRARY

Structure :

L165 ANSWER 26 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

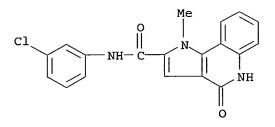
Accession No. (AN): 2006:4476787 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-369132

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 902508-51-4 Supplementary Term (ST): CHEMICAL LIBRARY

Structure :



L165 ANSWER 27 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4473938 CHEMCATS
Catalog Name (CO): Aurora Screening Library

1/20

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-383918

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 902471-45-8 Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L165 ANSWER 28 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4473937 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-383913

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): **902471-44-7**Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L165 ANSWER 29 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4465885 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-369215

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 901862-38-2 Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L165 ANSWER 30 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4465852 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-369150

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 901862-05-3 Supplementary Term (ST): CHEMICAL LIBRARY

Structure :

L165 ANSWER 31 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

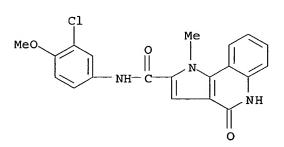
Accession No. (AN): 2006:4465843 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-369138

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 901861-96-9
Supplementary Term (ST): CHEMICAL LIBRARY

Structure :



L165 ANSWER 32 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4464593 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Shiao 10/849 185 1 16 16 Shiao 10/849,089 16 5 0/845 1/9 10/26/2006

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-369208

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 901747-63-5 Supplementary Term (ST): CHEMICAL LIBRARY

Structure

L165 ANSWER 33 OF 33 CHEMCATS COPYRIGHT 2006 ACS on STN

Accession No. (AN): 2006:4464591 CHEMCATS
Catalog Name (CO): Aurora Screening Library

Publication Date (PD): 10 May 2006 Order Number (ON): kcd-369204

Chemical Name (CN): Chemical name not yet assigned

CAS Registry No. (RN): 901747-61-3 Supplementary Term (ST): CHEMICAL LIBRARY

Structure

=> d que stat 178 L1 STR

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VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

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NSPEC IS RC AT 11

CONNECT IS E1 RC AT 12

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

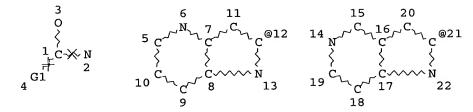
RING(S) ARE ISOLATED OR EMBEDDED

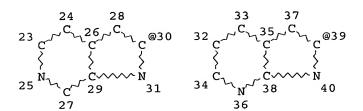
NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L2 45329 SEA FILE=REGISTRY SSS FUL L1

L42 STR





VAR G1=12/21/30/39

NODE ATTRIBUTES:

NSPEC IS RC AT 1

NSPEC IS RC AT 2 CONNECT IS E1 RC AT 3

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

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NUMBER OF NODES IS 40
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```
STEREO ATTRIBUTES: NONE
L45
          1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
L69
               OUE
                   ABB=ON PLU=ON NAZARE, M?/AU
L70
               OUE
                   ABB=ON
                            PLU=ON
                                    WEHNER, V?/AU
L71
               OUE
                   ABB=ON
                            PLU=ON
                                    WILL, D?/AU
L72
               QUE
                   ABB=ON
                            PLU=ON
                                   RITTER, K?/AU
               OUE
                   ABB=ON
                            PLU=ON
L73
                                   MATTER, H?/AU
                            PLU=ON URMANN, M?/AU
L74
               OUE
                   ABB=ON
               QUE ABB=ON PLU=ON
                                   (AVENTIS OR SANOFI)/CS,SO,PA
L75
           129 SEA FILE=HCAPLUS ABB=ON PLU=ON L2 AND (L69 OR L70 OR L71 OR
L77
               L72 OR L73 OR L74 OR L75)
             8 SEA FILE=HCAPLUS ABB=ON PLU=ON L77 AND L45
L78
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=> d his 185

(FILE 'USPATFULL, USPAT2, TOXCENTER, CASREACT, CHEMCATS' ENTERED AT 13:14:58 ON 25 OCT 2006)
L85 6 S L82 AND L69-L75

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=> d que nos 185
L1
                STR
L2
          45329 SEA FILE=REGISTRY SSS FUL L1
L32
               STR
L34
          4740 SEA FILE=REGISTRY SUB=L2 SSS FUL L32
L42
               STR
           1247 SEA FILE=REGISTRY SUB=L2 SSS FUL L42
L45
L46
            93 SEA FILE=REGISTRY ABB=ON PLU=ON L34 AND L45
               QUE ABB=ON PLU=ON NAZARE, M?/AU
L69
L70
                QUE ABB=ON
                            PLU=ON
                                    WEHNER, V?/AU
L71
               QUE ABB=ON
                            PLU=ON
                                    WILL, D?/AU
L72
                QUE ABB=ON
                            PLU=ON
                                    RITTER, K?/AU
L73
               QUE ABB=ON
                            PLU=ON
                                    MATTER, H?/AU
L74
               QUE ABB=ON
                            PLU=ON
                                   URMANN, M?/AU
L75
               QUE ABB=ON
                            PLU=ON
                                    (AVENTIS OR SANOFI)/CS, SO, PA
L82
            27 SEA L46
L85
             6 SEA L82 AND (L69 OR L70 OR L71 OR L72 OR L73 OR L74 OR L75)
```

0√Cy @21 22

=> d que 1113

VAR G1=C/N

VAR G2=C/N

VAR G3=C/N

VAR G4=C/N

VAR G5=14/15/17/19/21

NODE ATTRIBUTES:

AT NSPEC IS RC 10 NSPEC IS RC AΤ 11 IS RC NSPEC AT15 IS RC AΤ 17 NSPEC AT 19 NSPEC IS RC NSPEC IS RC AT 21 CONNECT IS E1 RC AT 12 DEFAULT MLEVEL IS ATOM

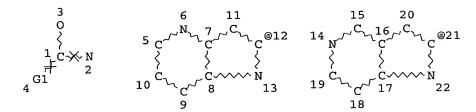
DEFAULT ECLEVEL IS LIMITED

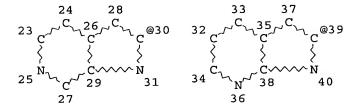
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE L42 STR





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NSPEC IS RC AT 1 NSPEC IS RC AT 2 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE

2				
L69	QUE	ABB=ON	PLU=ON	NAZARE, M?/AU
L70	QUE	ABB=ON	PLU=ON	WEHNER, V?/AU
L71	QUE	ABB=ON	PLU=ON	WILL, D?/AU
L72	QUE	ABB=ON	PLU=ON	RITTER, K?/AU
1.73	OUE	ABB=ON	PLU=ON	MATTER, H?/AU

and programme and

```
QUE ABB=ON PLU=ON
                                    URMANN, M?/AU
L74
L75
                QUE
                    ABB=ON PLU=ON
                                    (AVENTIS OR SANOFI)/CS,SO,PA
                QUE ABB=ON PLU=ON D720/M0, M1, M2, M3, M4, M5, M6
L101
           347 SEA FILE=WPIX SSS FUL L32
L103
            49 SEA FILE=WPIX SUB=L103 SSS FUL L42
L105
             72 SEA FILE=WPIX ABB=ON PLU=ON (RAOXZP/DCN OR RAAHRA/DCN OR
L106
                RAAHRY/DCN OR RAAZSD/DCN OR RAAZSF/DCN OR RAAZSH/DCN OR
                RAAZSI/DCN OR RAAZSJ/DCN OR RAAZSK/DCN OR RAAZSL/DCN OR
               RAAZSM/DCN OR RAAZSN/DCN OR RAAZSX/DCN OR
               RAA1TM/DCN OR RAB2D0/DCN OR RACKXP/DCN OR RAETE4/DCN OR
               RAE3EB/DCN OR RAE3EC/DCN OR RAE3ED/DCN OR RAE3EE/DCN OR
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5 SEA FILE=WPIX ABB=ON PLU=ON (RAE3EB/I
L107
                                             (L106 OR L107) AND L101
L108
                                             (RAE3EB/DCN OR RAE3EC/DCN OR
L109
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               RAE3EQ/DCN OR RAE3EU/DCN OR RAE3EV/DCN OR RAE3EW/DCN OR
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               RAG7BC/DCN OR RAG7BI/DCN OR RAG7BK/DCN OR RAG7BM/DCN OR
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               RALDFW/DCN OR RALDFX/DCN OR RALDFY/DCN OR RALDFZ/DCN OR
               RALDGO/DCN OR RALDG1/DCN OR RALDG2/DCN OR RALDG3/DCN OR
               RALDG4/DCN OR RALDG5/DCN OR RAMQJD/DCN OR RAMQJF/DCN OR
               RAMQJT/DCN OR RAMQJV/DCN OR RAMQJX/DCN OR RA211B/DCN OR
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L112
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                OR L70 OR L71 OR L72 OR L73 OR L74 OR L75)
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L113

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(FILE 'MEDLINE, BIOSIS, EMBASE, PASCAL, JICST-EPLUS, JAPIO, LIFESCI, BIOENG, BIOTECHNO, BIOTECHDS, DRUGU, DRUGB, VETU, VETB, SCISEARCH, CABA, CONFSCI, DISSABS' ENTERED AT 16:00:07 ON 25 OCT 2006)

L160 16 S L158-L159

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L69
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               QUE ABB=ON PLU=ON WILL, D?/AU
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L155
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L157
            16 SEA L155 AND (?PYRROL?(10A) ?PYRIDIN?)
L158
             1 SEA L157 AND L158
L159
            16 SEA (L158 OR L159)
1.160
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=> dup rem 178 185 1113 1160

DUPLICATE IS NOT AVAILABLE IN 'CHEMCATS'. .

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FILE 'SCISEARCH' ENTERED AT 16:38:07 ON 25 OCT 2006
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PROCESSING COMPLETED FOR L85
PROCESSING COMPLETED FOR L113
PROCESSING COMPLETED FOR L160
L166 27 DUP REM L78 L85 L113 L160 (6 DUPLIC

27 DUP REM L78 L85 L113 L160 (6 DUPLICATES REMOVED)
ANSWERS '1-8' FROM FILE HCAPLUS
ANSWERS '9-12' FROM FILE USPATFULL
ANSWERS '13-14' FROM FILE WPIX
ANSWER '15' FROM FILE MEDLINE
ANSWER '16' FROM FILE BIOSIS
ANSWERS '17-19' FROM FILE EMBASE
ANSWERS '20-22' FROM FILE PASCAL
ANSWERS '23-25' FROM FILE JAPIO
ANSWER '26' FROM FILE LIFESCI
ANSWER '27' FROM FILE DRUGU

=> file stnguide

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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 25, 2006 (20061025/UP).

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=> d ibib ed ab 1-22 YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, BIOSIS, EMBASE, PASCAL, JAPIO, LIFESCI, DRUGU' - CONTINUE? (Y) /N:y

L166 ANSWER 1 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

2004:1011968 HCAPLUS ACCESSION NUMBER:

142:6514 DOCUMENT NUMBER:

Preparation of thienylisoxazolylmethylazaindoles as TITLE:

factor Xa and/or factor VIIa inhibitors

Nazare, Marc; Wehner, Volkmar; INVENTOR (S):

Will, David William; Ritter, Kurt; Urmann, Matthias; Matter, Hans

Aventis Pharma Deutschland GmbH, Germany PATENT ASSIGNEE(S):

Eur. Pat. Appl., 82 pp. SOURCE:

CODEN: EPXXDW

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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APPLICATION NO.
                                                                                                                             DATE
         PATENT NO.
                                              KIND
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                                                                               EP 2003-11304
                                                           20041124
                                                                                                                             20030519
                                               A1
         EP 1479680
                R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                                                             AU 2004-238500 20040505
                                               A1
                                                            20041125
                                                                                                                             20040505
                                                            20041125
                                                                                 CA 2004-2526084
         CA 2526084
                                                AΑ

      2004101563
      A1
      20041125
      WO 2004-EP4754
      20040505

      W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

      RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

                                                            20041125
                                                                                WO 2004-EP4754
                                                                                                                             20040505
         WO 2004101563
                                                A1
                        SN, TD, TG
                                                            20060322
                                                                                 EP 2004-731161
                                                                                                                              20040505
         EP 1636226
                       AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
         BR 2004010429
                                                Α
                                                            20060606
                                                                              BR 2004-10429
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                                                            20060621
                                                                                  CN 2004-80013936
                                                                                                                              20040505
         CN 1791601
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         US 2005009828
                                                A1
                                                            20050113
         NO 2005005911
                                                            20060210
                                                                                  NO 2005-5911
                                                                                                                              20051213
                                                Α
                                                                                                                       A 20030519
                                                                                  EP 2003-11304
PRIORITY APPLN. INFO.:
                                                                                                                      P 20030930
                                                                                  US 2003-507141P
                                                                                                                    W 20040505
                                                                                  WO 2004-EP4754
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CASREACT 142:6514; MARPAT 142:6514 OTHER SOURCE(S):

Entered STN: 24 Nov 2004
Title compds. [I; R = (substituted) mono- or bicyclic aryl, heterocyclyl; AB R1 = H, (substituted) alkyl, aminocarbonylalkyl, mono- or bicyclic aryl, heterocyclyl, etc.; R2 = bond, alkylene; R3 = H, halo, NO2, cyano, perfluoroalkyl, (substituted) alkyl, Ph, etc.; R1R3 = atoms to form a (substituted) 6-8 membered ring containing 1-4 heteroatoms; R1NR2V = atoms to form a (substituted) 4-7 membered ring containing 1-4 heteroatoms; V = (substituted) 3-7 membered heterocyclyl, 6-14 membered aryl, 4-15 membered

heterocyclyl; G = (CH2)m, (CH2)mO(CH2)n, CH2SO2(CH2)n, (CH2)mNR10SO2NR10(CH2)n, (CH2)mCH(OH) (CH2)n, etc.; M = H, (substituted) alkyl, 6-14 membered aryl, 4-15 membered heterocyclyl, cycloalkyl, etc.; m, n = 0-6; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl, perfluoroalkyl; D = atoms to form a (substituted) (aromatic) 4-8 membered ring containing 0-4 heteroatoms], were prepared Thus, 1-[5-(5-chlorothiophen-2-yl)isoxazol-3-ylmethyl]-1H-pyrrolo[2,3-b]pyridine-2-carboxylic acid (preparation given), N-ethylmorpholine, and TOTU were stirred together for 20 min. in DMF; 1-isopropylpiperidin-4-ylamine dihydrochloride was added followed by stirring for 4 h to give 1-[5-(5-chlorothiophen-2-yl)isoxazol-3-ylmethyl]-1H-pyrrolo[2,3-b]pyridine-2-carboxylic acid (1-isopropylpiperidin-4-yl) amide. This inhibited factor Xa with Ki = 0.006 μ M.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L166 ANSWER 2 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER:

1994:508763 HCAPLUS

DOCUMENT NUMBER:

121:108763

TITLE:

Preparation of condensed pyridine derivatives as inhibitors of the biological effects of oxygen free

radicals

Contract of the second

INVENTOR(S):

Bachy, Andre; Fraisse, Laurent; Keane, Peter; Mendes,

Etienne; Vernieres, Jean Claude; Simiand, Jacques

PATENT ASSIGNEE(S):

SOURCE:

Elf Sanofi SA, Fr. Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	
EP 587473		19940316	EP 1993-402095	
	B1		21 1333 102033	17750013
			, GR, IE, IT, LI, LU	NL. PT. SE
FR 2695126			FR 1992-10329	
FR 2695126		19941110		
US 5360799		19941101	US 1993-109073	19930819
AU 9344747	A1	19940303	AU 1993-44747	19930820
AU 659027	B2	19950504		
AT 173258	E	19981115	AT 1993-402095	19930825
ES 2125315	T 3	19990301	ES 1993-402095	19930825
CA 2104883	AA	19940228	CA 1993-2104883	19930826
NO 9303051	Α	19940228	NO 1993-3051	19930826
HU 64957	A2	19940328	HU 1993-2425	19930826
HU 217623	В	20000328		
JP 06184145	A2	19940705	JP 1993-211451	19930826
FI 103889	B1	19991015	FI 1993-3756	19930826
US 5468750	A	19951121	US 1994-273943	19940712
FI 9602714	Α	19960701	FI 1996-2714	19960701
FI 103277	B1	19990531		
PRIORITY APPLN. INFO.:			FR 1992-10329	A 19920827
			US 1993-109073	A3 19930819
			FI 1993-3756	A 19930826

OTHER SOURCE(S): MARPAT 121:108763

ED Entered STN: 03 Sep 1994

AB Title compds. [I; R1 = OH, alkyl, alkoxy, Ph, PhCH2, PhCH2O, (substituted) amino, aminoalkyl; R2 = OH, SH, alkoxy, alkylthio, (substituted) amino; R3 = H, alkyl, alkylthio, alkoxy, Ph, PhCH2; A = S, N; R = null, H,

10/26/2006

10

(substituted) alkyl; B = (substituted) Ph, pyridyl, or thienyl nucleus], were prepared Thus, aminoacetate II was stirred 10 h with KOCMe3 in PhMe/HOCMe3 to give title compound III. I inhibited the toxic effects of KCN in mice with IC50 = 2-30 mg/kg i.v.

L166 ANSWER 3 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:578103 HCAPLUS

DOCUMENT NUMBER: 145:62867

Preparation of substituted aza/indoles as kinase TITLE:

inhibitors, and their compositions and use for

treatment of angiogenesis-related diseases, especially

cancer

INVENTOR (S): Halley, Frank; Souaille, Catherine; Tabart, Michel;

Bacque, Eric; Viviani, Fabrice; Ronan, Baptiste;

Letallec, Jean-Philippe; Filoche-Romme, Bruno

Aventis Pharma S.A., Fr. PATENT ASSIGNEE(S): PCT Int. Appl., 121 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent French

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			KIND DATE			APPLICATION NO.				DATE							
WO 2	2006	06149	93		A1 20060615		WO 2005-FR3003				20051202						
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ΤĴ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	TJ,	TM										
FR 2	2878	349			A1		2006	0609	1	FR 2	004-	1296	5		20	0041	206
PRIORITY	APP	LN.	INFO	.:]	FR 2	004-3	1296	6	Ì	A 20	0041	206
									Ī	US 2	005-0	6504	55P]	P 20	00502	207

OTHER SOURCE(S): MARPAT 145:62867

Entered STN: 16 Jun 2006
Title compds. I [A, Ar = independently (un) substituted hetero/aryl; R1 = AB H, (un) substituted alkyl; X = N, CH and derivs.; L = a bond, CO, NH, CONH, NHCO, SONH, NHSO2, NHCONH, NHCSNH, etc.; R5-R7 = independently H, halo, CF3, NO2, CN, alkoxy, alkyl, CO2H and derivs., etc.; Q = H, Me, cyclopropyl] were prepared as kinase inhibitors for treatment especially of cancer. E.g., a 7-step synthesis starting from 2-methyl-3-nitropyridine and Et oxalate, was given for azaindole II. Pyrrolopyridine II inhibited KDR and Tie2 kinases with an IC50 of 12 nM and 4 nM. Thus, I and their pharmaceutical compns. are useful for treating angiogenesis-related diseases such as cancers, psoriasis, rheumatoid arthritis, diabetic retinopathy, etc.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L166 ANSWER 4 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:542506 HCAPLUS

DOCUMENT NUMBER: 145:27851 Shiao 10/849,089 - n 10/26/2006

TITLE:

Preparation of substituted indoles as kinase inhibitors, and their compositions and use for

treatment of cancer

INVENTOR(S):

Halley, Frank; Souaille, Catherine; Tabart, Michel; Bacque, Eric; Viviani, Fabrice; Ronan, Baptiste;

Letallec, Jean Philippe

PATENT ASSIGNEE(S):

Aventis Pharma SA, Fr. Fr. Demande, 50 pp.

SOURCE:

CODEN: FRXXBL

DOCUMENT TYPE:

Patent

. . . :036

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
FR 2878849	A1 20060609	FR 2004-12966	20041206			
WO 2006061493	A1 20060619	WO 2005-FR3003	20051202			
W: AE, AG, AL,	AM, AT, AU, AZ	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,			
CN, CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG, ES,	FI, GB, GD,			
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG, KM,	KN, KP, KR,			
KZ, LC, LK,	LR, LS, LT, LU	LV, LY, MA, MD, MG, MK,	MN, MW, MX,			
MZ, NA, NG,	NI, NO, NZ, OM,	PG, PH, PL, PT, RO, RU,	SC, SD, SE,			
SG, SK, SL,	SM, SY, TJ, TM,	TN, TR, TT, TZ, UA, UG,	US, UZ, VC,			
VN, YU, ZA,	ZM, ZW					
RW: AT, BE, BG,	CH, CY, CZ, DE	DK, EE, ES, FI, FR, GB,	GR, HU, IE,			
IS, IT, LT,	LU, LV, MC, NL	PL, PT, RO, SE, SI, SK,	TR, BF, BJ,			
CF, ČG, CI,	CM, GA, GN, GQ	GW, ML, MR, NE, SN, TD,	TG, BW, GH,			
GM, KE, LS,	MW, MZ, NA, SD	SL, SZ, TZ, UG, ZM, ZW,	AM, AZ, BY,			
KG, KZ, MD,	RU, TJ, TM					

PRIORITY APPLN. INFO.:

FR 2004-12966 A 20041206 US 2005-650465P P 20050207

OTHER SOURCE(S):

MARPAT 145:27851

ED Entered STN: 09 Jun 2006

AB Title compds. I [A, Ar = independently (un) substituted hetero/aryl; R1 = H, (un) substituted alkyl; X = N, CH and derivs.; L = a bond, CO, NH, CONH, NHCO, SONH, NHSO2, NHCONH, NHCSNH, etc.; R5-R7 = independently H, halo, CF3, NO2, CN, alkoxy, alkyl, CO2H and derivs., etc.; Q = H, Me, cyclopropyl] were prepared as kinase inhibitors for treatment especially of cancer. E.g., a 5-step synthesis starting from Et indole-2-carboxylate was given for indole II. Indole II inhibited KDR and Tie2 kinases with an IC50 of 4 nM and 43 nM. Thus, I and their pharmaceutical compns. are useful as antitumor agents (no data).

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L166 ANSWER 5 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

6

ACCESSION NUMBER:

2005:527395 HCAPLUS

DOCUMENT NUMBER:

143:43870

TITLE:

Preparation of substituted 1H-pyrrolo[3,2-b, 3,2-c, and 2,3-c]pyridine-2-carboxamides and related analogs

as inhibitors of casein kinase 18

INVENTOR(S): Metz, William A.; Hal

Metz, William A.; Halley, Frank; Dutruc-Rosset,

Gilles; Choi-Sledeski, Yong Mi; Bernard, Poli Gregory; Fink, David Marc; Doerflinger, Gilles; Huang, Bao-Guo; Gelormini, Ann Marie; Gamboa, Juan Antonio; Giovanni, Andrew; Roehr, Joachim E.; Tsay, Joseph T.; Camacho, Fernando; Hurst, William Joseph; Harnish, Stephen

Wayne; Chiang, Yulin

PATENT ASSIGNEE(S):

Aventis Pharmaceuticals Inc., USA

Shiao 10/849,089 10/26/2006

SOURCE: U.S. Pat. Appl. Publ., 30 pp.

11.5

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATE	ENT 1	NO.			KIN	D :	DATE		i	APPL:	ICAT:	ION I	. O <i>l</i>		DA	ATE	
US 2	2005	1310	12		A1 20050616			1	US 2004-1533					20041201			
AU 2004303826					A1 20050707		1	AU 2004-303826					20041201				
CA 2549183				AA		20050707 CA 2004-2549183					20041201						
WO 2005061498 A1						20050707 WO 2004-US40080					20041201						
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	ΝĖ,	SN,	TD,	TG											
PTTV	ADD.	T.N	TNFO						1	IIS 2	003-	5287	64 P		P 20	00313	211

PRIORITY APPLN. INFO.:

WO 2004-US40080 W 20041201

OTHER SOURCE(S): CASREACT 143:43870; MARPAT 143:43870

ED Entered STN: 19 Jun 2005

The present invention discloses and claims compds. of formula (I) AΒ [wherein: R1 = H, alkyl; R2 = NR5R6; R3 = aryl, heterocyclyl; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, CF3, halogen, SH, S-C1-6 alkyl, NO2, NH2 or NR5R6; R5 = H, C1-6 alkyl; R6 = H, C1-6 alkyl; X = S, S(O)n; one of K, L or M is N and the other two members of K, L or M are each C wherein R4 is bonded only to a K, L, M or other ring atom that is C; m = 1-3; n = 1, 2 or a pharmaceutically acceptable salts or stereoisomers thereof as inhibitors of human casein kinase 1s, and methods of using said compds. of formula I for treating central nervous system diseases and disorders including mood disorders and sleep disorders, more specifically depression, bipolar disorder, circadian rhythm sleep disorder, jet lag syndrome, advanced sleep phase syndrome, and delayed sleep phase syndrome. Thus, to 1H-pyrrolo[3,2-b]pyridine-2carboxamide (0.42 mmol) dissolved in dry DMF (10 mL) was added Cs2CO3 (100 mg, 0.31 mmol) and then bis(3-bromophenyl)disulfide (1.1 equivalent, 0.46 mmol), and the resulting mixture was heated under N2 at 95° for 16 h to give 3-(3-Bromophenylsulfanyl)-1H-pyrrolo[3,2-b]pyridine-2carboxamide/1H-pyrrolo[3,2-b]pyridine-2-carboxamide (II). II showed IC50 of 25 nM against human casein kinase 1ε.

L166 ANSWER 6 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:758616 HCAPLUS

DOCUMENT NUMBER: 141:379838

AUTHOR (S):

TITLE: A flexible, palladium-catalyzed indole and azaindole

synthesis by direct annulation of chloroanilines and

chloroaminopyridines with ketones Nazare, Marc; Schneider, Claudia;

Lindenschmidt, Andreas; Will, David William

CORPORATE SOURCE: Medicinal Chemistry, DI&A Chemistry, Aventis

Pharma Deutschland GmbH, Frankfurt am Main, 65926,

Germany

SOURCE: Angewandte Chemie, International Edition (2004),

43 (34), 4526-4528

CODEN: ACIEF5; ISSN: 1433-7851 Wiley-VCH Verlag GmbH & Co: KGaA

DOCUMENT TYPE:

Journal

LANGUAGE:

PUBLISHER:

English

OTHER SOURCE(S):

CASREACT 141:379838

Entered STN: 17 Sep 2004 ED

The "ringmaster" [Pd(tBu3P)2] served as the catalyst in the direct AB synthesis of indoles, e.g., I, by annulation of ortho-chloroanilines with ketones. This versatile method can be used to synthesize a variety of

functionalized indoles and azaindoles, e.g., II.

REFERENCE COUNT:

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS 34 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L166 ANSWER 7 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:222935 HCAPLUS

DOCUMENT NUMBER:

130:267423

TITLE:

Preparation of N-(2-thiazolyl)indole-2-carboxamides

and analogs as CCK-A receptor agonists

INVENTOR(S):

Brodin, Roger; Boigegrain, Robert; Bignon, Eric;

Molimard, Jean-Charles; Olliero, Dominique

PATENT ASSIGNEE(S):

SOURCE:

Sanofi, Fr. PCT Int. Appl., 121 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				APPLICATION NO.										
					WO 1998-FR2007									
WO 9915525			A1	1999	0401	. 1	NO 1	998-1	FR20)./		19980918		
W: AL	AM,	AT,	AU,	AZ, BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CO,	CZ,	DE,
DK	EE,	ES,	FI,	GB, GE,	GH,	GM,	HR,	HU,	ID,	ΙL,	ıs,	JP,	KE,	KG,
KP	KR,	ΚZ,	LC,	LK, LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,
				RO, RU,		SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,
				VN, YU,										
RW: GH	GM,	ΚE,	LS,	MW, SD,	SZ,	ŪĠ,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
				IE, IT,					SE,	BF,	ВJ,	CF,	CG,	CI,
CM	GA,	GN,	GW,	ML, MR,	NE,	SN,	TD,	TG						
FR 2768737			A1	1999	0326		FR 1	997-	1171	В		1:	9970	919
FR 2768737												_		
FR 2777887 A1							FR 1	998-	5106			19980423		
FR 2777887 B3			В3	2000	0707									
ZA 9807961				1999										
CA 2304397			AA	1999	0401		CA 1	998-	2304	397		1:	9980	918
AU 9891705							AU 1	998-	9170	5		1:	9980	918
AU 746707														
EP 1017693			A1		0712									
				DK, ES,		GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
IE	, SI,	LT,	LV,	FI, RO										
BR 9812653			Α	2000	0822			998-					9980	
EE 2000001	68		Α	2001	.0416			000-						
TW 430664 TR 2000012			В	2001	.0421	'		998-						
TR 2000012	18		T2	2001	.0521	1	TR 2	000-	2000	0121	8		9980	
JP 2001517				2001	.1009		JP 2	000-	5128	30		1	9980	918
JP 3456970			B2	2003	1014									
NZ 503339			Α	2002				998-					9980	
IL 134961					0725		IL 1	998-	1349	61		1	9980	
NO 2000001	409		Α	2000	0516		NO 2	000-	1409			2	0000	317

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NO 314455
                     В1
                           20030324
    HR 200000153
                     A1
                           20010430 HR 2000-153
                                                          20000317
    BG 104254
                     Α
                           20010831
                                   BG 2000-104254
                                                          20000317
    US 6380230
                     B1
                           20020430
                                    US 2000-508830
                                                          20000602
PRIORITY APPLN. INFO.:
                                      FR 1997-11718
                                                       A 19970919
                                      FR 1998-5106
                                                       A 19980423
                                      WO 1998-FR2007
                                                      W 19980918
```

OTHER SOURCE(S): MARPAT 130:267423

Entered STN: 12 Apr 1999

Title compds. [I; R = NHCOR3; R1 = MeOZ; R2 = R7CH2, R7CH2S, R7SCH2, etc.; AB R3 = e.g., Z1(CH2)nR15 or Z1(CH2)mC6H4R15; R7 = (di)(methyl)cycloalkyl;R15 = CO2H or alkoxycarbonyl; Z = (un)substituted 1,2-phenylene; Z1 = (un) substituted indole-2,1-diyl; m = 0 or 1; n = 1-5] were prepared Thus, I (R1 = 2,5-dimethoxy-4-methylphenyl, R2 = 2-cyclohexylethyl)(II; R = NH2) was amidated by 1-tert-butoxycarbonylmethyl-5-methylindole-2-carboxylic acid (preparation each given) to give, after saponification, II (R = NHCOZ1CH2CO2H, Z1

= 5-methylindole-2,1-diyl). Data for biol. activity of I were given. REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L166 ANSWER 8 OF 27 HCAPLUS COPYRIGHT 2006 ACS on STN

1987:439778 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 107:39778

TITLE: Pyrrolopyridines

Dormoy, Jean Robert; Heymes, Alain INVENTOR(S):

SANOFI, Fr. PATENT ASSIGNEE(S):

Fr. Demande, 20 pp. SOURCE:

CODEN: FRXXBL

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2574406	A 1	19860613	FR 1984-19029	19841212
FR 2574406	B1	19870227		
EP 187631	A1	19860716	EP 1985-870178	19851211
EP 187631	B1	19900905		
R: AT, BE, CH,	DE, FR	, GB, IT, LI	, LU, NL, SE	
AT 56212	E	19900915	AT 1985-870178	19851211
CA 1299183	A1	19920421	CA 1985-497380	19851211
DK 8505768	Α	19860613	DK 1985-5768	19851212
JP 61155385	A2	19860715	JP 1985-280176	19851212
US 4831144	A	19890516	US 1988-141508	19880107
PRIORITY APPLN. INFO.:			FR 1984-19029	A 19841212
			US 1985-806544	A2 19851209
			EP 1985-870178	A 19851211

CASREACT 107:39778; MARPAT 107:39778 OTHER SOURCE(S):

Entered STN: 08 Aug 1987 ED

AB The title compds. [I; R = protecting group; R1 = H, Li, alkyl, CHO, CO2H, alkoxycarbonyl, etc.], useful as intermediates for anthelmintics, are prepared PhSO2Cl was added to a mixture of I (R = R1 = H), NaOH, and Bu4NHSO4 in CH2Cl2 over for 1 h. The temperature of the reaction mixture rose from 20° to 40°. The resulting mixture was then stirred for 1 h to give 83-85% I (R = PhSO2, R1 = H).

L166 ANSWER 9 OF 27 USPATFULL on STN ACCESSION NUMBER: 95:103512 USPATFULL DUPLICATE 2

5 6/14-14 B . 110000

TITLE: Heterocycle-coupled substituted pyrrolo[3,2-c]pyridin-2-

carboxylic acids

INVENTOR(S): Bachy, Andre, Toulouse, France

Fraisse, Laurent, Jurancon, France

Keane, Peter, Portet sur Garonne, France

Mendes, Etienne, Toulouse, France Vernieres, Jean-Claude, Muret, France

Simiand, Jacques, Muret, France

PATENT ASSIGNEE(S): Elf Sanofi, Paris, France (non-U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 5468750 19951121
APPLICATION INFO.: US 1994-273943 19940712 (8

RELATED APPLN. INFO.: Division of Ser. No. US 1993-109073, filed on 19 Aug

1993, now patented, Pat. No. US 5360799

NUMBER DATE

PRIORITY INFORMATION: FR 1992-10329 19920827

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Henley, III, Raymond ASSISTANT EXAMINER: Spivack, Phyllis G.

LEGAL REPRESENTATIVE: Jacobson, Price, Holman & Stern

NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 1001

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of formula ##STR1## in which A represents S;

R.sub.1 is selected from the group consisting of OH, (C.sub.1 -C.sub.12)alkyl, (C.sub.1 -C.sub.12)alkoxy, benzyloxy, phenyl, benzyl (C.sub.1 -C.sub.4)alkyl NZ.sub.1 Z.sub.2, and NZ.sub.1 Z.sub.2;

R.sub.2 is selected from the group consisting of OH, SH, (C.sub.1 -C.sub.4)alkoxy, (C.sub.1 -C.sub.4)alkylthio, and NZ.sub.1 Z.sub.2;

R.sub.3 is selected from the group consisting of H, (C.sub.1 -C.sub.4)alkyl, (C.sub.1 -C.sub.4)alkylthio, (C.sub.1 -C.sub.4)alkoxy, phenyl, and benzyl;

B is selected from the group consisting of phenyl; pyridyl; phenyl substituted by one or more groups selected from halo, (C.sub.1 -C.sub.6) alkyl, (C.sub.1 -C.sub.6) alkoxy, CF.sub.3, CH.sub.2 NZ.sub.1 Z.sub.2, and NZ.sub.1 Z.sub.2 wherein Z.sub.1 and Z.sub.2 are selected, independently of each other, from the group consisting of H, (C.sub.1 -C.sub.6)alkyl, formyl, and benzyl or --NZ.sub.1 Z.sub.2 is selected from the group consisting of pyrrolidinyl, piperidinyl, morpholino, hexahydroazepino, ##STR2## piperazino, piperazino substituted in position 4 by (C.sub.1 -C.sub.8) alkyl, benzyl or diphenylmethyl; and pyridyl substituted by one or more groups selected from halo, (C.sub.1 -C.sub.6)alkyl, (C.sub.1 -C.sub.6)alkoxy, CF.sub.3, CH.sub.2 NZ.sub.1 Z.sub.2, and NZ.sub.1 Z.sub.2 wherein Z.sub.1 and Z.sub.2 are selected, independently of each other, from the group consisting of H, (C.sub.1 -C.sub.6) alkyl, formyl, and benzyl, or NZ.sub.1 Z.sub.2 is selected from the group consisting of pyrrolidinyl, piperidinyl, morpholino, hyxahydroazepino, ##STR3## piperazino, and piperazino substituted in position 4 by (C.sub.1 -C.sub.8) alkyl, benzyl or diphenylmethyl; or its

salt with an acid or a base.

L166 ANSWER 10 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2005:11693 USPATFULL

TITLE: Azaindole-derivatives as factor Xa inhibitors

INVENTOR(S): Nazare, Marc, Idstein, GERMANY, FEDERAL

REPUBLIC OF

Wehner, Volkmar, Sandberg, GERMANY, FEDERAL

REPUBLIC OF

Will, David William, Kriftel, GERMANY,

FEDERAL REPUBLIC OF

Ritter, Kurt, Frankfurt am Main, GERMANY,

FEDERAL REPUBLIC OF

Urmann, Matthias, Eschborn, GERMANY, FEDERAL

REPUBLIC OF

Matter, Hans, Langenselbold, GERMANY, FEDERAL

REPUBLIC OF

PATENT ASSIGNEE(S): Aventis Pharma Deutschland, Frankfurt am

Main, GERMANY, FEDERAL REPUBLIC OF (non-U.S.

corporation)

KIND DATE NUMBER -----

PATENT INFORMATION:

APPLICATION INFO.:

NUMBER DATE -----

PRIORITY INFORMATION: EP 2003-11304 20030519

US 2003-507141P 20030930 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE LEGAL REPRESENTATIVE:

202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807

NUMBER OF CLAIMS: 15 EXEMPLARY CLAIM: 1 LINE COUNT: 4713

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to compounds of the formula I ##STR1## AB

wherein R.sup.0, R.sup.1, R.sup.2, R.sup.3, Q, V, G and M are as defined herein. The compounds of the formula I are valuable pharmacologically active compounds. They exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of cardiovascular disorders like thromboembolic diseases or restenoses. They are reversible inhibitors of the blood clotting enzymes factor Xa (FXa) and/or factor VIIa (FVIIa), and can in general be applied in conditions in which an undesired activity of factor Xa and/or factor VIIa is present or for the cure or prevention of which an inhibition of factor Xa and/or factor VIIa is intended. The invention furthermore relates to processes for the preparation of compounds of the formula I, their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical preparations comprising them.

L166 ANSWER 11 OF 27 USPATFULL on STN

ACCESSION NUMBER: 94:95413 USPATFULL

TITLE: Substituted thienyl- or pyrrolylcarboxyclic acid

derivatives, their preparation and medicines containing

them

INVENTOR(S): Bachy, Andre, Toulouse, France Fraisse, Laurent, Jurancon, France

Keane, Peter, Portet Sur Garonne, France

Mendes, Etienne, Toulouse, France Vernieres, Jean-Claude, Muret, France

Simiand, Jacques, Muret, France Elf Sanofi, Paris, France (non-U.S.

corporation)

NUMBER KIND DATE -----

US 5360799 PATENT INFORMATION:

19941101 19930819

APPLICATION INFO.: US 1993-109073

. NUMBER DATE -----

PRIORITY INFORMATION: FR 1992-10329 19920827 DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER: PRIMARY EXAMINER:
ASSISTANT EXAMINER:

PATENT ASSIGNEE(S):

Cintins, Marianne M. Spivack, Phyllis G.

LEGAL REPRESENTATIVE: NUMBER OF CLAIMS:

Wegner, Cantor, Mueller & Player

EXEMPLARY CLAIM:

997

saturated heterocycle and their salts.

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT. Compounds of formula ##STR1## in which R.sub.1 represents OH, (C.sub.1 -C.sub.12)alkyl, (C.sub.1 -C.sub.12)alkoxy, benzyloxy, benzyl, phenyl, (C.sub.1 -C.sub.4)alkylNZ.sub.1 Z.sub.2 or NZ.sub.1 Z.sub.2; R.sub.2 represents OH, SH, (C.sub.1 -C.sub.4) alkoxy, (C.sub.1 -C.sub.4) alkylthio or NZ.sub.1 Z.sub.2; R.sub.3 represents H, (C.sub.1 -C.sub.4)alkyl, (C.sub.1 -C.sub.4) alkylthio, (C.sub.1 -C.sub.4) alkoxy, phenyl or benzyl; A represents N and R represents H or (C.sub.1 -C.sub.4) alkyl which can be substituted by phenyl or NZ.sub.1 Z.sub.2; B represents phenyl which is coupled to the pyridyl ring and is optionally substituted by one or more groups chosen from halo, (C.sub.1 -C.sub.6) alkyl, (C.sub.1 -C.sub.6)alkoxy, CF.sub.3, CH.sub.2 NZ.sub.1 Z.sub.2 or NZ.sub.1 Z.sub.2 ; and Z.sub.1 and Z.sub.2 represent, independently of each other, H, (C.sub.1 -C.sub.6) alkyl, formyl or benzyl, or they form with the nitrogen atom to which they are attached an optionally substituted

L166 ANSWER 12 OF 27 USPATFULL on STN

ACCESSION NUMBER:

89:39083 USPATFULL

TITLE:

1H-pyrrolo [3,2-c]pyrrolidines protected in 1-position

useful as intermediates

INVENTOR(S):

Dormoy, Jean-Robert, Sisteron, France

Heymes, Alain, Sisteron, France

PATENT ASSIGNEE(S):

SANOFI, Paris, France (non-U.S. corporation)

NUMBER KIND DATE -----

PATENT INFORMATION:

US 4831144 19890516 US 1988-141508 19880107 (7)

APPLICATION INFO.:

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1985-806544, filed

on 9 Dec 1985, now abandoned

NUMBER DATE -----FR 1984-19029 19841212

PRIORITY INFORMATION:

DOCUMENT TYPE:

Utility

1ac 618

FILE SEGMENT: Granted
PRIMARY EXAMINER: Lee, Mary C.
ASSISTANT EXAMINER: Dentz, Bernard I.
LEGAL REPRESENTATIVE: Bacon & Thomas

NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 754

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to a process for fixing an electrophilic group in the 2-position of 1H-pyrrolo[3,2-c]pyridine N-protected in the 1-position, process which consists in protecting the 1-position in question with a labile protecting group, reacting the compound so obtained with a lithiation agent selected from a lithium amide and an alkyl lithium at a temperature between -80° C. and -20° C. and in the presence of tetramethylethylenediamine to obtain the corresponding 2-lithio derivative and then condensing the metal derivative so obtained at a temperature between -80° C. and room-temperature with a reagent capable of giving rise to an electrophilic group to form N-protected 1H-pyrrolo[3,2-c]pyridine substituted in the 2-position by an electrophilic group.

L166 ANSWER 13 OF 27 WPIX COPYRIGHT 2006 THE THOMSON CORP on STN

ACCESSION NUMBER:

2005-114567 [13] WPIX

DOC. NO. CPI:

C2005-038578 [13]

TITLE:

New piperazine and tetrahydropyridine derivatives are tubulin polymerization inhibitors used for treating cancer and disaggregating cell masses derived from

vascular tissue

DERWENT CLASS:

B02

INVENTOR:

LE BRUN A; LE-BRUN A; MAILLIET P; THOMPSON F; TIRABOSCHI

G

106

PATENT ASSIGNEE:

(AVET-C) AVENTIS PHARMA; (AVET-C) AVENTIS

PHARMA SA

COUNTRY COUNT:

PATENT INFO ABBR.:

PAT	CENT NO	KINI	DATE	WEEK	LA	PG	MAIN	IPC	_
US WO MX AU	2857966 20050020593 2005009947 2006000479 2004259112 2004012254	A1 A2 A1 A1	20050128 20050127 20050203 20060401 20050203 20060919	(200513) (200654) (200660)	FR EN FR ES EN	31[0]			-

APPLICATION DETAILS:

PATENT NO K	IND	APPLICATION DATE	
FR 2857966 A1 US 20050020593 A AU 2004259112 A1 WO 2005009947 A2 MX 2006000479 A1 US 20050020593 A MX 2006000479 A1 BR 2004012254 A	1	FR 2003-9092 20030724 US 2003-505184P 20030923 AU 2004-259112 20040722 WO 2004-FR1944 20040722 WO 2004-FR1944 20040722 US 2004-898517 20040723 MX 2006-479 20060111 BR 2004-12254 20040722	
BR 2004012254 A		WO 2004-FR1944 20040722	

FILING DETAILS:

PATENT INFO ABBR.:

2. 6 1/2025 190

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PATENT NO
      PATENT NO
                      KIND
      _____
      MX 2006000479 A1 Based on
                                            WO 2005009947
                            Based on
                                            WO 2005009947 A
      AU 2004259112
                      A1
      BR 2004012254 A
                            Based on
                                            WO 2005009947 A
PRIORITY APPLN. INFO: FR 2003-9092 20030724
     20050708
     FR 2857966 A1 UPAB: 20060121
AB
      NOVELTY - Piperazine and tetrahydropyridine derivatives (I) and (II), are
     new.
            DETAILED DESCRIPTION - Piperazine and tetrahydropyridine
     derivatives of formula (I) and (II), their racemates, enriched in one
     enantiomer or diastereoisomer, tautomers, prodrugs and salts, are new,
     excluding compounds of formula (III).
            A, B', U', V', W', X, Y = nitrogen or carbon;
            L-G-R1 = a group of formula (i) or (ii);
            E = -CR4, N, NR4 or S;
            R1, R2 = aryl or heteroaryl (both optionally substituted);
            L = CO, CS or C(=NR7);
            R3 = halo, trifluoromethyl, cyano, nitro, 1-3C alkyl, 1-3C alkenyl,
     1-3C alkynyl, OR7, SR7, SOR7, SO2R7, NR7R8, COOR7, CONR7R8, SO2NR7R8,
     NR7COR8 or NR7SO2(1-3C)alkyl;
            n = 0-3;
            R4-R6 = H \text{ or } 1-3C \text{ alkyl};
            R7, R8 = H or optionally substituted 1-3C alkyl;
     R1a = optionally substituted 2-pyridyl or its N-oxide;
R2a = 2-thienyl, 2-, 3- or 4-pyridyl or their N-oxides, phenyl
(optionally substituted by at least one fluoro, hydroxy, methyl,
     trifluoromethyl, methoxy or nitro;
            R4a = methyl, ethyl or 2-fluoroethyl, and
            T, U1 = H, methyl, chloro or fluoro, or
            R1a = 3 - or 4 - pyridyl;
            R2a = 2-thienyl or phenyl;
            R4a = methyl or 2-fluoroethyl, and
            T, U1 = H, methyl, chloro or fluoro,
            provided that when n = 2, X and Y are not both substituted by R3.
            ACTIVITY - Cytostatic.
            MECHANISM OF ACTION - Tubulin polymerization inhibitor.
            In an in vitro test using pig brain, results showed that
     (4/(3-chlorophenyl)piperazin-1-yl)(1-phenyl-1H-indol-2-yl)methanone (Ia)
     Exhibited an IC50 value of 0.8 micro-M for inhibition of tubulin.
            USE - Used to treat cancer and to promote disaggregation of a mass
     of cells derived from vascular tissue.
L166 ANSWER 14 OF 27 WPIX COPYRIGHT 2006
                                                  THE THOMSON CORP on STN
ACCESSION NUMBER:
                       2000-023259 [02]
                                          WPIX
                       C2000-005636 [02]
DOC. NO. CPI:
TITLE:
                       Compositions for treating e.g. cardiac disorders, renal
                       disorders and central nervous system disorders
                       B02
DERWENT CLASS:
                       NISATO D
INVENTOR:
PATENT ASSIGNEE:
                       (SNFI-C) SANOFI SA; (SNFI-C)
                       SANOFI-SYNTHELABO
COUNTRY COUNT:
                       83
```

PATENT NO	KIND DATE	WEEK I	LA PG	MAIN IPC
WO 9955340	A1 19991104			
FR 2778103 AU 9934259	A1 19991105 A 19991116		r En	

APPLICATION DETAILS:

PATENT NO	KIND	API	PLICATION DATE
WO 9955340	·		1999-FR959 19990422
FR 2778103 .	Al	FR	1998-5591 19980429
AU 9934259 .	A	ΑU	1999-34259 19990422

FILING DETAILS:

PATENT NO	KIND	PAT	TENT NO	
			- -	
AU 9934259 A	Based	on WO	9955340	A

PRIORITY APPLN. INFO: FR 1998-5591 19980429

5

ED 20050705

AB WO 1999055340 A1 UPAB: 20050705

NOVELTY - Compositions comprise an association of arginine-vasopressin V1a receptor antagonist (A) and an angiotensin II AT1 receptor antagonist (B).

DETAILED DESCRIPTION - (A) may be any arginine-vasopressin V1a receptor antagonist compound described e.g. in US5612334, W09622282, W09622294, EP469984, EP450097, JP8143565 or JP8059624. (B) may be any known angiotensin II AT1 receptor antagonist described in e.g. EP28834, EP253310, EP324377, US4207324, US4340598, US4576958, W09114679, W09117148, or W09220662.

ACTIVITY - Cardiant; hypotensive; antiarrhythmic; cerebroprotective; antidiabetic; anorectic; nootropic; neuroprotective.

Spontaneously hypertensive rats were treated with an angiotensin (II) antagonist and SR 49059, alone or in combination. Measurement or arterial pressure and cardiac frequency showed that the angiotensin (II) antagonist decreased the arterial pressure and this effect was potentiated by the presence of SR 49059, which had no effect on arterial pressure when administered alone.

 $\tt MECHANISM\ OF\ ACTION\ -\ Arginine-vasopressin\ Vla\ receptor\ antagonist$ and angiotensin II AT1 receptor antagonist.

USE - Treatment of cardiac disorders, especially hypertension, cardiac enfeeblement, venous insufficiency, cardiac ischemia, dilative cardiomyopathia, prevention of death following infarction, and arrhythmias, renal disorders such as nephropathias, central nervous system disorders such as cerebral ischemia, dementia, especially associated with Alzheimer's, memory loss, treatment of diabetes and obesity, sexual disorders, especially loss of erectile function.

ADVANTAGE - There is synergism between (I) and (II), allowing lower doses to be given without loss of therapeutic effect.

L166 ANSWER 15 OF 27 MEDLINE on STN DUPLICATE 4

ACCESSION NUMBER: 89246586 MEDLINE DOCUMENT NUMBER: PubMed ID: 2719718

TITLE: Interrelationship between affinity for DNA, cytotoxicity

and induction of DNA-breaks in cultured L1210 cells for two series of tricyclic intercalators. Simplified analogues of

ellipticine derivatives.

AUTHOR: Pierson V; Pierre A; de Cointet P; Nguyen C H; Bisagni E;

Gros P

CORPORATE SOURCE: Sanofi Recherche, Toulouse, France.

SOURCE: Biochemical pharmacology, (1989 May 1) Vol. 38, No. 9, pp.

1395-406.

Journal code: 0101032. ISSN: 0006-2952.

PUB. COUNTRY: ENGLAND: United Kingdom

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 198906

ENTRY DATE: Entered STN: 6 Mar 1990

Last Updated on STN: 3 Feb 1997 Entered Medline: 12 Jun 1989

ED Entered STN: 6 Mar 1990

Last Updated on STN: 3 Feb 1997

Entered Medline: 12 Jun 1989

The interrelationship between affinity for DNA, cytotoxicity and induction AΒ of single-strand DNA breaks in cultured L1210 cells was studied for 21 compounds belonging to two series of tricyclic intercalators: 1-amino-substituted 4-methyl-5H-pyrido[4,3-b]indoles (gamma CARB) and 1-amino-substituted 4-methyl-5H-pyrido[3',4':4,5]pyrrolo[2,3-c] pyridines (PPP), which are simplified analogues of Ellipticine derivatives obtained by deletion of one cycle. Adriamycin, m-AMSA (4'-(9-acridinylamino) methanesulfon-m-anisidide), PZE (10-[diethylaminopropyl amino]-6-methyl-5H-pyrido[3',4':4,5]-pyrrolo[2,3g] isoquinoline and RTE [(1-(3-diethylaminopropylamino)-9-methoxy ellipticine, bimaleate) are used as reference compounds. intercalation of these compounds into DNA was strongly suggested by three experimental observations: (i) the competitive inhibition of ethidium bromide intercalation, (ii) bathochromic and hypochromic effects on absorption spectra induced by DNA, and (iii) drug-induced increase of the DNA length, measured by viscosimetry. PPP derivatives are generally less cytotoxic and induce DNA breaks less efficiently than the gamma CARB ones, both in terms of maximum breakage frequencies and required drug concentrations. The most active compounds induced SSB in the DNA of L1210 cells, in a bell-shaped manner: the SSB frequency increased, rose to a maximum and then decreased as the drug concentrations increased. maximum SSB frequencies induced by the most active compounds are of the same order as those of reference compounds Adriamycin and PZE. The structurally important requirements are essentially the same for both DNA breakage activity and cytotoxicity: (i) a N-CH3 in the 5-position, (ii) a CH3 in the 4-position, (iii) a hydroxy in the 8-position and (iv) the presence of an (aminoalkyl)amino side chain with preferentially a 3 carbon There is no direct relationship between DNA affinity in vitro and induction of DNA breaks in cells, although a relatively high affinity seemed to be a necessary condition, since the most active compounds have the highest affinities and compounds having a very low affinity are totally inactive. The close correlation between cytotoxicity and extent of induction of DNA breaks suggests that these breaks may be in fact the lethal lesions responsible for cell death and thereby for the antitumor properties of these tricyclic intercalators.

L166 ANSWER 16 OF 27 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

ACCESSION NUMBER:

1987:97170 BIOSIS

DOCUMENT NUMBER:

PREV198732046971; BR32:46971

TITLE:

5H PYRIDO-3' 4' 4 5-PYRROLO-3 2-C-

AUTHOR(S): PIERRE A [Re

PYRIDINES NEW EXPERIMENTAL ANTITUMOR AGENTS.
PIERRE A [Reprint author]; CHI-HUNG N; PEPIN O; BISAGNI E

CORPORATE SOURCE: SANOFI RECHERCHE, TOULOUSE, FR

SOURCE:

(1986) pp. 935. UICC (UNION INTERNATIONALE CONTRE LE CANCER, INTERNATIONAL UNION AGAINST CANCER). 14TH INTERNATIONAL CANCER CONGRESS, BUDAPEST, HUNGARY, AUG. 21-27, 1986. ABSTRACTS, LECTURES, SYMPOSIA AND FREE COMMUNICATIONS, VOLS. 1, 2, 3, LATE ABSTRACTS, AND REGISTER. XVI+479P. (VOL. 1); XVI+298P. (VOL. 2);

XVI+531P.(VOL. 3); 15P.(LATE ABSTRACTS); 40P.(REGISTER) S.

10 AC 1 - 1 - 1

KARGER AG: BASEL, SWITZERLAND; NEW YORK, N.Y., USA;

AKADEMIAI KIADO: BUDAPEST, HUNGARY. PAPER.

ISBN: 3-8055-4434-0 (KARGER), 963-05-4422-9 (VOL. 1),

963-05-4423-7 (VOL. 2), 963-05-4424-5 (VOL. 3),

963-05-4439-3 (LATE ABSTRACTS), 963-05-4425-3 (REGISTER),

963-05-4421-0 (GENERAL).

DOCUMENT TYPE: Book

Conference; (Meeting)

FILE SEGMENT:

BR

LANGUAGE:

ENGLISH

ENTRY DATE:

Entered STN: 14 Feb 1987

Last Updated on STN: 14 Feb 1987

ED Entered STN: 14 Feb 1987

Last Updated on STN: 14 Feb 1987

L166 ANSWER 17 OF 27 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights

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ACCESSION NUMBER: 2005006667 EMBASE

TITLE: The search for novel antipsychotics: Pharmacological and

molecular targets.

AUTHOR: Sanger D.J.

CORPORATE SOURCE: D.J. Sanger, Sanofi-Synthelabo Research, 31

Avenue Paul Vaillant Couturier, 92220 Bagneux, France.

david.sanger@sanofi-synthelabo.com

SOURCE: Expert Opinion on Therapeutic Targets, (2004) Vol. 8, No.

6, pp. 631-641. .

Refs: 66

ISSN: 1472-8222 CODEN: EOTTAO

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 005 General Pathology and Pathological Anatomy

030 Pharmacology 032 Psychiatry

037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 13 Jan 2005

Last Updated on STN: 13 Jan 2005

ED Entered STN: 13 Jan 2005

Last Updated on STN: 13 Jan 2005

AB There can be little doubt that the newer, atypical, antipsychotic drugs provide improved treatment for many patients suffering from schizophrenia. However, the significant gains in tolerability produced by these drugs have not generally been accompanied by major advances in clinical efficacy. In particular, negative and cognitive symptoms, which may represent the core deficit of the disease, remain inadequately treated. There is, therefore, a pressing need for more effective drugs. A number of drug discovery and development programmes are currently underway in parallel with significant research into the basic neurobiology of the disease. All antipsychotic drugs currently used in the clinic are antagonists at dopamine D2 receptors, and dopamine neurotransmission seems likely to remain a major biological target for research. However, novel

approaches to modulate dopaminergic neurotransmission selectively in relevant brain regions may be required. In addition, a range of non-dopaminergic targets including glutamate, serotonin, neurokinins and acetylcholine are also of major interest. It is likely, however, that the importance of many of these targets may lie in their relationships to and interactions with dopaminergic mechanisms. Finally, advances in genetics and molecular biology are identifying genes associated with a susceptibility to develop schizophrenia. It remains to be seen whether or not these genes and their associated proteins will provide molecular targets for successful drug discovery. .COPYRGT. 2004 Ashley Publications Ltd.

L166 ANSWER 18 OF 27 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2002370569 EMBASE

TITLE: Synthesis and structure-activity relationship of the

isoindolinyl benzisoxazolpiperidines as potent, selective, and orally active human dopamine D(4) receptor antagonists. Hendrix J.A.; Shimshock S.J.; Shutske G.M.; Tomer IV J.D.;

Kapples K.J.; Palermo M.G.; Corbett T.J.; Vargas H.M.; Kafka S.; Brooks K.M.; Laws-Ricker L.; Lee D.K.H.; De Lannoy I.; Bordeleau M.; Rizkalla G.; Owolabi J.; Kamboj

R.K.

CORPORATE SOURCE: Dr. J.A. Hendrix, Aventis Pharmaceuticals, Route

202-206, Bridgewater, NJ 08807-0800, United States.

james.hendrix@aventis.com

SOURCE: ChemBioChem, (4 Oct 2002) Vol. 3, No. 10, pp. 999-1009.

Refs: 30

ISSN: 1439-4227 CODEN: CBCHFX

COUNTRY: Germany

AUTHOR:

DOCUMENT TYPE: Journal; Article FILE SEGMENT: 030 Pharmacology

037 Drug Literature Index

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 7 Nov 2002

Last Updated on STN: 7 Nov 2002

ED Entered STN: 7 Nov 2002

Last Updated on STN: 7 Nov 2002

An ew class of potent dopamine D(4) antagonists was discovered with selectivity over dopamine D(2) and the α -1 adrenoceptor. The lead compound was discovered by screening our compound collection. The structure-activity relationships of substituted isoindoline rings and the chirality about the hydroxymethyl side chain were explored. The isoindoline analogues showed modest differences in potency and selectivity. The S enantiomer proved to be the more potent enantiomer at the D(4) receptor. Several analogues with greater than 100-fold selectivity for D(4) over D(2) and the α -1 adrenoreceptor were discovered. Several selective analogues were active in vivo upon oral or intraperitoneal administration. A chiral synthesis starting from either D- or L-O-benzylserine is also described.

L166 ANSWER 19 OF 27 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2000267896 EMBASE

TITLE: The dopamine D4 receptor: A controversial therapeutic

target.

AUTHOR: Hrib N.J.

CORPORATE SOURCE: N.J. Hrib, Department of Medicinal Chemistry,

Aventis Pharmaceuticals, Route 202-206 North,

Bridgewater, NJ 08807, United States

SOURCE: Drugs of the Future, (2000) Vol. 25, No. 6, pp. 587-611. .

Refs: 219

ISSN: 0377-8282 CODEN: DRFUD4

COUNTRY:

DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 030 Pharmacology
032 Psychiatry

Spain

037 Drug Literature Index

LANGUAGE: English

ENTRY DATE: Entered STN: 17 Aug 2000

Last Updated on STN: 17 Aug 2000

ED Entered STN: 17 Aug 2000

Last Updated on STN: 17 Aug 2000

L166 ANSWER 20 OF 27 PASCAL COPYRIGHT 2006 INIST-CNRS. ALL RIGHTS RESERVED.

on STN

ACCESSION NUMBER: 2003-0216305 PASCAL

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reserved.

TITLE (IN ENGLISH): Molecular structures of human factor Xa complexed with

ketopiperazine inhibitors: Preference for a neutral

group in the S1 pocket

AUTHOR: MAIGNAN Sebastien; GUILLOTEAU Jean-Pierre;

CHOI-SLEDESKI Yong Mi; BECKER Michael R.; EWING William R.; PAULS Henry W.; SPADA Alfred P.; MIKOL

Vincent

CORPORATE SOURCE: Department of Structural Biology, Aventis

Pharma, 13, Quai J. Guesde, 94403 Vitry/Seine, France;

Department of Medicinal Chemistry, Aventis

Pharma, 500 Arcola Road, Collegeville, Pennsylvania

19426, United States

SOURCE: Journal of medicinal chemistry: (Print), (2003),

46(5), 685-690, 21 refs.

ISSN: 0022-2623 CODEN: JMCMAR

DOCUMENT TYPE:

BIBLIOGRAPHIC LEVEL: Analytic COUNTRY: United States

LANGUAGE:

English

Journal

AVAILABILITY: INIST-9165, 354000104279130060

UP 20030521

AB The structures of the noncovalent complex of human factor Xa (fXa) with four non-peptide inhibitors containing a central sulfonylpiperazinone scaffold have been determined to about 2.1 A resolution. Highly potent fXa inhibitors containing both neutral groups such as chlorobenzothiophene or chlorothiophene and basic groups such as benzamidine were shown to interact in the S1 pocket through the neutral group whereas the S4 pocket is occupied by the basic moiety. The scaffold comprising the sulfonyl keto piperazine moiety might play a pivotal role in the orientation of substituents, since there is a strong hydrogen bond between Gly219 of fXa and the carbonyl oxygen of the piperazine. This unique "reverse" binding mode is heretofore unreported in fXa and shows that electrostatic interactions in the S1 subsite are not an absolute requirement to maintain high affinity. Selectivity against other serine proteases can be readily explained in light of these structural results. It has opened up new prospects for designing fXa inhibitors with increased oral bioavailability.

L166 ANSWER 21 OF 27 PASCAL COPYRIGHT 2006 INIST-CNRS. ALL RIGHTS RESERVED. on STN

Shiao 10/849,089 -- / 10/26/2006

ACCESSION NUMBER:

2003-0216304 PASCAL

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reserved.

TITLE (IN ENGLISH):

Discovery of an orally efficacious inhibitor of coaqulation factor Xa which incorporates a neutral

P.sub.1 ligand

AUTHOR:

CHOI-SLEDESKI Yong Mi; KEARNEY Robert; POLI Gregory; PAULS Henry; GARDNER Charles; YONG GONG; BECKER Michael; DAVIS Roderick; SPADA Alfred; GUYAN LIANG; CHU Valeria; BROWN Karen; COLLUSSI Dennis; LEADLEY Robert JR; REBELLO Sam; MOXEY Phillip; MORGAN Suzanne; BENTLEY Ross; KASIEWSKI Charles; MAIGNAN Sebastien;

GUILLOTEAU Jean-Pierre; MIKOL Vincent

CORPORATE SOURCE:

Department of Medicinal Chemistry and Department of

Biology, Aventis Pharmaceuticals, Route

202-206, Bridgewater, New Jersey 08807-0800, United

States; Department of Structural Biology, Aventis Pharmaceuticals, 13, Quai J. Guesde,

94403 Vitry/Seine, France

SOURCE:

Journal of medicinal chemistry: (Print), (2003),

46(5), 681-684, 17 refs.

ISSN: 0022-2623 CODEN: JMCMAR

DOCUMENT TYPE:

Journal; Letter

BIBLIOGRAPHIC LEVEL:

Analytic United States

COUNTRY: LANGUAGE:

English

AVAILABILITY:

INIST-9165, 354000104279130050

UP 20030521

The discovery and SAR of ketopiperazino methylazaindole factor Xa inhibitors are described. Structure-activity data suggesting that this class of inhibitors does not bind in the canonical mode were confirmed by an X-ray crystal structure showing the neutral haloaromatic bound in the S.sub.1 subsite. The most potent azaindole, 33 (RPR209685), is selective against related serine proteases and attains higher levels of exposure upon oral dosing than comparable benzamidines and benzamidine isosteres. Compound 33 was efficacious in the canine AV model of thrombosis.

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on STN

ACCESSION NUMBER:

1993-0436205 PASCAL

TITLE (IN ENGLISH):

Industrial synthesis in ellipticine serie. I: Elaboration of a new access to 6H-pyrido [4,3-b] carbazoles and analogs. A: Synthesis and study of

precursor

TITLE (IN FRENCH):

Synthese industrielle en serie ellipticine. I: Elaboration d'une nouvelle voie d'acces aux

6H-pyrido[4,3:b] carbazoles et analogues : a synthese

et etude des precurseurs DORMOY J.-R.; HEYMES A.

CORPORATE SOURCE:

SANOFI Chimie, dep. rech. dev. chim., 04201

Sisteron, France

SOURCE:

AUTHOR:

Tetrahedron, (1993), 49(14), 2885-2914, 45 refs.

ISSN: 0040-4020 CODEN: TETRAB

DOCUMENT TYPE:

Journal Analytic

BIBLIOGRAPHIC LEVEL:

United Kingdom

COUNTRY: LANGUAGE:

French

SUMMARY LANGUAGE:

English

AVAILABILITY:

INIST-8899, 354000033414280080

UP 20001027

=> d ibib ed ab 23

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, BIOSIS, EMBASE, PASCAL, JAPIO, LIFESCI, DRUGU' - CONTINUE? (Y) / N: Y

L166 ANSWER 23 OF 27 JAPIO (C) 2006 EPO on STN

ACCESSION NUMBER:

1987-123187 JAPIO

TITLE:

5-H PYRIDO (3', 4':4,5) PYRROLO (3,2-C)

INVENTOR:

PYRIDINE DERIVATIVE, MANUFACTURE AND MEDICINE EMIIRU BISAGUNI; NIYUIEN SHI HAN; ODEIIRU PEPIN

PATENT ASSIGNEE(S):

SANOFI SA

CENTRE NATL RECH SCIENT <CNRS>

PATENT INFORMATION:

ERA PATENT NO KIND DATE MAIN IPC ______ A 19870604 JP 62123187 Showa C07D471-14

APPLICATION INFORMATION

STN FORMAT: JP 1986-64668 19860322 JP6164668 Showa ORTGINAL: PRIORITY APPLN. INFO.: FR 1985-4872 19850322 INPADOC

SOURCE:

ED 20020808

=> d ibib ed ab 24-27

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, BIOSIS, EMBASE, PASCAL, JAPIO, LIFESCI, DRUGU' - CONTINUE? (Y) /N:y

L166 ANSWER 24 OF 27 JAPIO (C) 2006 EPO on STN

ACCESSION NUMBER:

1986-275278 JAPIO

TITLE:

NOVEL 5-H-PYRIDO (3', 4':4,5) PYRROLO (3, 2-C)

PYRIDINE AND MANUFACTURE

INVENTOR:

EMIIRU BISAGUNI; NIYUIEN SHI HAN; POORU DO KOINTE

PATENT ASSIGNEE(S):

SANOFI SA

CENTRE NATL RECH SCIENT <CNRS>

PATENT INFORMATION:

PATENT NO KIND DATE ERA MAIN IPC ______ JP 61275278 A 19861205 Showa C07D471-14

APPLICATION INFORMATION

STN FORMAT: JP 1986-64669 19860322 ORIGINAL: JP6164669 Showa PRIORITY APPLN. INFO.: FR 1985-4871 19850322

SOURCE:

INPADOC

ED 20020808

L166 ANSWER 25 OF 27 JAPIO (C) 2006 EPO on STN ACCESSION NUMBER: 1986-155385 JAPIO

TITLE: NOVEL PYRROLO-PYRIDINE DERIVATIVE

AND MANUFACTURE

10/26/2006

\$ 95.016.5 The table

INVENTOR: JIYAN ROBEERU DORUMOA; ARAN EIMU

PATENT ASSIGNEE(S): SANOFI SA

PATENT INFORMATION:

PATENT NO KIND DATE ERA MAIN IPC

JP 61155385 A 19860715 Showa C07D471-04

APPLICATION INFORMATION

STN FORMAT: JP 1985-280176 19851212
ORIGINAL: JP60280176 Showa
PRIORITY APPLN. INFO.: FR 1984-19029 19841212
SOURCE: INPADOC

ED 20020808

L166 ANSWER 26 OF 27 LIFESCI COPYRIGHT 2006 CSA on STN

ACCESSION NUMBER: 87:62379 LIFESCI

TITLE: PAF binding sites: Characterization by (super(3)H)52770

RP, a pyrrolo-(1,2-c)-thiazole derivative, in rabbit

platelets.

AUTHOR: Robaut, C.; Durand, G.; James, C.; Lave, D.; Sedivy, P.;

Floch, A.; Mondot, S.; Pacot, D.; Cavero, I.; Le Fur, G.

CORPORATE SOURCE: Sanofi Rech., 37 Ave. Pierre 1er de Serbie, 75008

Paris, France

SOURCE: BIOCHEM. PHARMACOL., (1987) vol. 36, no. 19, pp. 3221-3229.

DOCUMENT TYPE: Journal

FILE SEGMENT: M

LANGUAGE: English SUMMARY LANGUAGE: English

AB 52770 RP, the N-(3-chlorophenyl)-3-(3-pyridinyl)-1H,3H-

pyrrolo-(1,2-c)-thiazole-7-carboxamide, displaces in a potent, specific and competitive manner (super(3)H)PAF from its binding sites on rabbit platelets. Since 52770 RP is not structurally related to PAF and has low liposolubility with respect to PAF, it was selected as a potential radioligand for PAF receptor sites. (super(3)H)52770 RP might represent a novel interesting tool for furthering understanding of the role of PAF

L166 ANSWER 27 OF 27 DRUGU COPYRIGHT 2006 THE THOMSON CORP on STN DUPLICATE

ACCESSION NUMBER: 1987-46684 DRUGU C P

TITLE: 1-Amino-Substituted 4-Methyl 5H-Pyridol(3',4',5')

Pyrrolo (3,2-c)Pyridines: A New Class of

Antineoplastic Agents.

binding sites in pathophysiological processes.

AUTHOR: Nguyen C H; Bisagni E; Pepin O; Pierre A; Cointet P de

CORPORATE SOURCE: Sanofi

LOCATION: Orsay, Toulouse, France

SOURCE: J.Med.Chem. (30, No. 9, 1642-47, 1987) 2 Fig. 3 Tab. 27 Ref.

CODEN: JMCMAR ISSN: 0022-2623

AVAIL. OF DOC.: UA 533 CNRS, Laboratoire de Synthese Organique, Institut

Curie, Section de Biologie, Bat 110-112, 91405 Orasy, France.

LANGUAGE: English DOCUMENT TYPE: Journal

FIELD AVAIL.: AB; LA; CT; MPC

FILE SEGMENT: Literature

AB A series of 1-aminp-substituted 4-methyl 5H-pyrido(3',4'-4,5)

pyrrolo (3,2-c)pyridines, tricyclic analogs of

9-azaellipticines, was prepared. They were tested for in vitro

cytotoxicity against L1210 DNA, and i.p. against L1210 and P388 leukemias

in mice, using fluorouracil as standard. Structure activity

relationships were evaluated.

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LAST RELOADED: Oct 25, 2006 (20061025/UP).

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(FILE 'HOME' ENTERED AT 12:19:21 ON 25 OCT 2006)
    FILE 'REGISTRY' ENTERED AT 12:19:44 ON 25 OCT 2006
              ACT SHI089PSET1/A
L1
               STR
L2
         45329 SEA SSS FUL L1
              _____
              ACT SHI089RSET6/A
              _____
L3
               STR
        45329) SEA SSS FUL L3
L4 (
L5 (
        103939) SEA ABB=ON PLU=ON NC4-NC5/ES
               STR
L6
          733) SEA SUB=L4 SSS FUL L6
L7 (
               STR
L8
          3990) SEA .SUB=L4 SSS FUL L8
L9 (
           77) SEA ABB=ON PLU=ON L5 AND L9
L10 (
           82) SEA ABB=ON PLU=ON L7 AND L9
L11 (
          82 SEA ABB=ON PLU=ON. (L10 OR L11)
L12
              -----
     FILE 'BEILSTEIN' ENTERED AT 12:21:31 ON 25 OCT 2006
              ACT SHI089BEIP/A
              _____
L13
              STR
L14
          8608 SEA SSS FUL L13
              -----
              ACT SHI089BEIR3/A
              -----
L15
              STR
L16
               STR
L17
               STR
          8608) SEA SSS FUL L15
L18 (
          96) SEA SUB=L18 SSS FUL L16
L19 (
L20 (
           29) SEA SUB=L18 SSS FUL L17
            8 SEA ABB=ON PLU=ON L19 AND L20
L21
             ------
              ACT SHI089BEIR5/A
              -----
L22
              STR
L23
              STR
L24 (
          8608) SEA SSS FUL L22
L25 (
          29) SEA SUB=L24 SSS FUL L23
L26
              STR
           610) SEA SUB=L24 SSS FUL L26
L27 (
            8 SEA ABB=ON PLU=ON L25 AND L27
L28
             -----
             8 SEA ABB=ON PLU=ON L21 OR L28
L29
     FILE 'CHEMINFORMRX' ENTERED AT 12:22:48 ON 25 OCT 2006
              ACT SHI089CHMP/A
              _____
L30
               STR
           215 SEA SSS FUL L30 ( 1481 REACTIONS)
L31
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FILE 'LREGISTRY' ENTERED AT 12:23:11 ON 25 OCT 2006

L32 STR L1 FILE 'REGISTRY' ENTERED AT 12:29:46 ON 25 OCT 2006 50 SEA SUB=L2 SSS SAM L32 L33 D OUE STAT FILE 'STNGUIDE' ENTERED AT 12:31:23 ON 25 OCT 2006 FILE 'REGISTRY' ENTERED AT 12:34:20 ON 25 OCT 2006 D QUE STAT L34 4740 SEA SUB=L2 SSS FUL L32 SAVE TEMP L34 SHI089RSETA/A ACT SHI089REGAPP/A -----1) SEA ABB=ON PLU=ON US2004-849089/APPS L35 (SEL PLU=ON L35 1- RN : 34 TERMS L36 34 SEA ABB=ON PLU=ON L36 L37 -----25 SEA ABB=ON PLU=ON L37 NOT L34 L38 D SCAN FILE 'LREGISTRY' ENTERED AT 12:38:16 ON 25 OCT 2006 L39 STR FILE 'STNGUIDE' ENTERED AT 12:41:01 ON 25 OCT 2006 FILE 'REGISTRY' ENTERED AT 12:43:09 ON 25 OCT 2006 300638 SEA ABB=ON PLU=ON (NC4(S)NC5)/ESS L40 363 SEA ABB=ON PLU=ON L40 AND L34 L41 SAVE TEMP L41 SHI089RSETB/A FILE 'LREGISTRY' ENTERED AT 12:46:56 ON 25 OCT 2006 T.42 STR FILE 'REGISTRY' ENTERED AT 12:52:08 ON 25 OCT 2006 7 SEA SUB=L34 SSS SAM L42 L43 D SCAN D QUE STAT FILE 'STNGUIDE' ENTERED AT 12:52:44 ON 25 OCT 2006 FILE 'REGISTRY' ENTERED AT 12:54:07 ON 25 OCT 2006 50 SEA SUB=L2 SSS SAM L42 L44 D QUE STAT D QUE STAT L45 1247 SEA SUB=L2 SSS FUL L42 SAVE TEMP L45 SHI089RSETC/A D QUE L34 93 SEA ABB=ON PLU=ON L34 AND L45 L46 SAVE TEMP L46 SHI089RSETD/A ANALYZE PLU=ON L46 1- LC : L47 7 TERMS D 1-7

FILE 'STNGUIDE' ENTERED AT 13:01:46 ON 25 OCT 2006 D SAVED

FILE 'HCAPLUS' ENTERED AT 13:03:16 ON 25 OCT 2006

FILE 'STNGUIDE' ENTERED AT 13:03:18 ON 25 OCT 2006 D QUE STAT L46

9/1/15

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Shiao 10/849,089
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* -- * _ 16

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FILE 'HCAPLUS' ENTERED AT 13:04:08 ON 25 OCT 2006
             13 SEA ABB=ON PLU=ON L46
     FILE 'STNGUIDE' ENTERED AT 13:04:23 ON 25 OCT 2006
     FILE 'ZCAPLUS' ENTERED AT 13:04:39 ON 25 OCT 2006
                OUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004 OR MY<2004
L49
                OR REVIEW/DT
                 QUE ABB=ON PLU=ON AY<2004 OR PY<2004 OR PRY<2004
L50
     FILE 'HCAPLUS' ENTERED AT 13:06:51 ON 25 OCT 2006
              7 SEA ABB=ON PLU=ON L48 AND L49
L51
                SAVE TEMP L51 SHI089HCA2B/A
              6 SEA ABB=ON PLU=ON L48 NOT L51
L52
                SAVE TEMP L52 SHI089HCA2A/A
                ACT SHI089HCAIN1/A
                STR
L53
         45329) SEA SSS FUL L53
L54 (
         103939) SEA ABB=ON PLU=ON NC4-NC5/ES
L55 (
                STR
L56
            753) SEA SUB=L54 SSS FUL L56
L57 (
             0) SEA ABB=ON PLU=ON L55 AND L57
L58 (
                STR
L59
           733) SEA SUB=L54 SSS FUL L59
L60 (
             0) SEA ABB=ON PLU=ON L57 AND L60
L61 (
                STR
L62
          3990) SEA SUB=L54 SSS FUL L62
L63 (
           77) SEA ABB=ON PLU=ON L55 AND L63
L64 (
           82) SEA ABB=ON PLU=ON L60 AND L63
L65 (
             82) SEA ABB=ON PLU=ON (L64 OR L65)
L66 (
             82) SEA ABB=ON PLU=ON L66 OR L61 OR L58
L67 (
             11) SEA ABB=ON PLU=ON L66 OR L67
L68 (
                QUE ABB=ON PLU=ON NAZARE, M?/AU
L69
                 QUE ABB=ON PLU=ON WEHNER, V?/AU
L70
                 QUE ABB=ON PLU=ON WILL, D?/AU
QUE ABB=ON PLU=ON RITTER, K?/AU
L71
L72
                 QUE ABB=ON PLU=ON MATTER, H?/AU
L73
               QUE ABB=ON PLU=ON URMANN', M?/AU
QUE ABB=ON PLU=ON (AVENTIS OR SANOFI)/CS,SO,PA
4 SEA ABB=ON PLU=ON L68 AND (L69 OR L70 OR L71 OR L72 OR L73
L74
L75
L76
                 OR L74 OR L75)
                . . . . . . . . .
     FILE 'STNGUIDE' ENTERED AT 13:08:27 ON 25 OCT 2006
     FILE 'HCAPLUS' ENTERED AT 13:10:09 ON 25 OCT 2006
             129 SEA ABB=ON PLU=ON L2 AND (L69 OR L70 OR L71 OR L72 OR L73 OR
L77
                 L74 OR L75)
     FILE 'STNGUIDE' ENTERED AT 13:11:04 ON 25 OCT 2006
                 D QUE L45
     FILE 'HCAPLUS' ENTERED AT 13:11:14 ON 25 OCT 2006
               8 SEA ABB=ON PLU=ON L77 AND L45
L78
                 SAVE TEMP L78 SHI089HCAINV/A
                 ACT SHI089HCAAPP/A
               1 SEA ABB=ON PLU=ON US2004-849089/APPS
L79
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L80
              O SEA ABB=ON PLU=ON L79 NOT L78
     FILE 'REGISTRY' ENTERED AT 13:12:12 ON 25 OCT 2006
             25 SEA ABB=ON PLU=ON L37 NOT L46
L81
               D SCAN
     FILE 'STNGUIDE' ENTERED AT 13:12:42 ON 25 OCT 2006
     FILE 'USPATFULL, USPAT2, TOXCENTER, CASREACT, CHEMCATS' ENTERED AT
     13:14:58 ON 25 OCT 2006
L82
             27 SEA ABB=ON PLU=ON L46
             11 SEA ABB=ON PLU=ON L82 AND L49
L83
                SAVE TEMP L83 SHI089MULS2B/A
               D OUE STAT L46
L84
            16 SEA ABB=ON PLU=ON L82 NOT L83
               SAVE TEMP L84 SHI089MULS2A/A
L85
              6 SEA ABB=ON PLU=ON L82 AND (L69 OR L70 OR L71 OR L72 OR L73
               OR L74 OR L75)
                SAVE TEMP L85 SHI089MULI2/A
               D SAVED
     FILE 'STNGUIDE' ENTERED AT 13:18:08 ON 25 OCT 2006
               D SAVED
     FILE 'BABS' ENTERED AT 14:00:22 ON 25 OCT 2006
               ACT SHI089BAB/A
L86
              1 SEA ABB=ON PLU=ON 5632319/BABSAN
               ACT SHI089BAB2/A
             1) SEA FILE=BABS ABB=ON PLU=ON 5632319/BABSAN
L87(
            1) SEA FILE=BABS ABB=ON PLU=ON 5632319/AN
L88(
             1 SEA ABB=ON PLU=ON L88 OR L87
L89
               -----
     FILE 'BEILSTEIN' ENTERED AT 14:01:05 ON 25 OCT 2006
               D QUE L32
L90
            698 SEA SUB=L14 SSS FUL L32
               SAVE TEMP L90 SHI089BEIRA/A
               D QUE STAT
               D QUE L32
               D QUE L42
L91
             86 SEA SUB=L14 SSS FUL L42
               SAVE TEMP L91 SHI089BEIRB/A
               D QUE STAT
             10 SEA ABB=ON PLU=ON L90 AND L91
L92
               SAVE TEMP L92 SHI089BEIRC/A
               D OUE STAT
               D QUE L29
            10 SEA ABB=ON PLU=ON L92 NOT L29
L93
               D QUE L29
             1 SEA ABB=ON PLU=ON L93 NOT BABSAN/FA
L94
               SELECT L92 1- BABSAN
    FILE 'BABS' ENTERED AT 14:08:26 ON 25 OCT 2006
L95
             1 SEA ABB=ON PLU=ON 6410903/BABSAN
               D BIBI
```

SAVE TEMP L95 SHI089BAB3B/A

```
FILE 'STNGUIDE' ENTERED AT 14:09:19 ON 25 OCT 2006
           D SAVED
```

FILE 'CHEMINFORMRX' ENTERED AT 14:10:39 ON 25 OCT 2006

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D OUE L31
               D QUE L32
             O SEA SUB=L31 SSS SAM L32 ( O REACTIONS)
L96
               D QUE STAT
            13 SEA SUB=L31 SSS FUL L32 ( 73 REACTIONS)
L97
               SAVE TEMP L97 SHI089CHMRA/A
             O SEA SUB=L31 SSS SAM L42 ( O REACTIONS)
L98
               D QUE STAT
             3 SEA SUB=L31 SSS FUL L42 ( 16 REACTIONS)
L99
               SAVE TEMP L99 SHI089CHMRB/A
             0 SEA ABB=ON PLU=ON L97 AND L99
L100
               SAVE TEMP L100 SHI089CHMRC/A
               D OUE STAT
    FILE 'STNGUIDE' ENTERED AT 14:15:39 ON 25 OCT 2006
               D SAVED
     FILE 'WPIX' ENTERED AT 14:27:58 ON 25 OCT 2006
               OUE ABB=ON PLU=ON D720/M0, M1, M2, M3, M4, M5, M6
L101
               D OUE L32
              7 SEA SSS SAM L32
L102
               D TRI 1-7
               D QUE STAT
           347 SEA SSS FUL L32
L103
                SAVE TEMP L103 SHI089WPIS1/A
               D QUE STAT
               D QUE L42
              4 SEA SUB=L103 SSS SAM L42
L104
               D TRI 1-4
               D OUE STAT
             49 SEA SUB=L103 SSS FUL L42
L105
                SAVE TEMP L105 SHI089WPIS2/A
                SELECT L103 SDCN 1-
             72 SEA ABB=ON PLU=ON (RA0XZP/DCN OR RAAHRA/DCN OR RAAHRY/DCN OR
L106
                RAAZSD/DCN OR RAAZSF/DCN OR RAAZSH/DCN OR RAAZSI/DCN OR
                RAAZSJ/DCN OR RAAZSK/DCN OR RAAZSL/DCN OR RAAZSM/DCN OR
                RAAZSN/DCN OR RAAZSO/DCN OR RAAZSX/DCN OR RAA1TM/DCN OR
                RAB2D0/DCN OR RACKXP/DCN OR RAETE4/DCN OR RAE3EB/DCN OR
                RAE3EC/DCN OR RAE3ED/DCN OR RAE3EE/DCN OR RAE3EF/DCN OR
                RAE3EG/DCN OR RAE3EK/DCN OR RAE3EL/DCN OR RAE3EM/DCN OR
                RAE3EN/DCN OR RAE3EQ/DCN OR RAE3EU/DCN OR RAE3EV/DCN OR
                RAE3EW/DCN OR RAE3EX/DCN OR RAE3F2/DCN OR RAF13X/DCN OR
                RAFI3Y/DCN OR RAFI41/DCN OR RAFZM3/DCN OR RAFZM4/DCN OR
                RAFZM6/DCN OR RAF8IU/DCN OR RAF8IV/DCN OR RAF8IW/DCN OR
                RAF8IX/DCN OR RAGFDN/DCN OR RAGFDD/DCN OR RAGFDP/DCN OR
                RAGFDQ/DCN OR RAGFDS/DCN OR RAGFDT/DCN OR RAGFDU/DCN OR
                RAGFDV/DCN OR RAGFDW/DCN OR RAGFDX/DCN OR RAGFDY/DCN OR
                RAGFDZ/DCN OR RAGFEB/DCN OR RAGFEC/DCN OR RAGFED/DCN OR
                RAGFEG/DCN OR RAGFEH/DCN OR RAGFEJ/DCN OR
                RAGFEM/DCN OR RAGFEN/DCN OR RAGFED/DCN OR
                RAGFEQ/DCN OR RAGFE0/DCN OR RAGFE1/DCN OR RAGFE2/DCN OR
                RAGFE3/DCN OR RAGFE4/DCN OR RAGFE5/DCN OR RAGFE6/DCN OR
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RAGFE7/DCN OR RAGFE8/DCN OR RAGFE9/DCN OR RAGFFQ/DCN OR RAGFFR/DCN OR RAGFFS/DCN OR RAGFFT/DCN OR RAGFFU/DCN OR RAGSRH/DCN OR RAGSRO/DCN OR RAGSRP/DCN OR RAGSRQ/DCN OR

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RAGSRR/DCN OR RAG3GM/DCN OR RAG6CZ/DCN OR
                RAG6DA/DCN OR RAG6DD/DCN OR RAG6DF/DCN OR RAG6DI/DCN OR
                RAG6D2/DCN OR RAG6D3/DCN OR RAG6D5/DCN OR RAG6D6/DCN OR
                RAG6D8/DCN OR RAG7BA/DCN OR RAG7BB/DCN OR RAG7BC/DCN OR
                RAG7BI/DCN OR RAG7BK/DCN OR RAG7BM/DCN OR RAH12S/DCN OR
                RAHI2U/DCN OR RAHI2V/DCN OR RAHOVW/DCN OR RAIO1E/DCN OR
                RAIO19/DCN OR RAKGLI/DCN OR RAKGLK/DCN OR RAKGLP/DCN OR
                RAKGLW/DCN OR RAKGLX/DCN OR RAKGLY/DCN OR RAKGLZ/DCN OR
                RAKGMO/DCN OR RAKNAV/DCN OR RAKW9U/DCN OR RALDFO/DCN OR
                RALDFP/DCN OR RALDFQ/DCN OR RALDFR/DCN OR RALDFS/DCN OR
                RALDFT/DCN OR RALDFU/DCN OR RALDFV/DCN OR RALDFW/DCN OR
                RALDFX/DCN OR RALDFY/DCN OR RALDFZ/DCN OR RALDGO/DCN OR
                RALDG1/DCN OR RALDG2/DCN OR RALDG3/DCN OR RALDG4/DCN OR
                RALDG5/DCN OR RALHNR/DCN OR RALHO3/DCN OR RAL
L107
             72 SEA ABB=ON PLU=ON L103/DCR
L108
             10 SEA ABB=ON PLU=ON (L106 OR L107) AND L101
                SELECT L105 1- SDCN
L109
              5 SEA ABB=ON PLU=ON (RAE3EB/DCN OR RAE3EC/DCN OR RAE3ED/DCN OR
                RAE3EE/DCN OR RAE3EF/DCN OR RAE3EG/DCN OR RAE3EK/DCN OR
                RAE3EL/DCN OR RAE3EM/DCN OR RAE3EN/DCN OR RAE3EQ/DCN OR
                RAE3EU/DCN OR RAE3EV/DCN OR RAE3EW/DCN OR RAE3EX/DCN OR
                RAE3F2/DCN OR RAG7BA/DCN OR RAG7BB/DCN OR RAG7BC/DCN OR
                RAG7BI/DCN OR RAG7BK/DCN OR RAG7BM/DCN OR RALDFO/DCN OR
                RALDFP/DCN OR RALDFQ/DCN OR RALDFR/DCN OR RALDFS/DCN OR
                RALDFT/DCN OR RALDFU/DCN OR RALDFV/DCN OR RALDFW/DCN OR
                RALDFX/DCN OR RALDFY/DCN OR RALDFZ/DCN OR RALDGO/DCN OR
                RALDG1/DCN OR RALDG2/DCN OR RALDG3/DCN OR RALDG4/DCN OR
                RALDG5/DCN OR RAMQJD/DCN OR RAMQJF/DCN OR RAMQJT/DCN OR
                RAMQJV/DCN OR RAMQJX/DCN OR RA211B/DCN OR RA2117/DCN OR
                RA2118/DCN OR RA2119/DCN)
L110
             5 SEA ABB=ON PLU=ON L105/DCR
             10 SEA ABB=ON PLU=ON (L108 OR L109 OR L110)
L111
             14 SEA ABB=ON PLU=ON (L111 OR L106 OR L107) AND (L69 OR L70 OR
L112
                L71 OR L72 OR L73 OR L74 OR L75)
              3 SEA ABB=ON PLU=ON L112 AND L111
L113
                SAVE TEMP L113 SHI089WPIINV/A
L114
             8 SEA ABB=ON PLU=ON L111 AND L50
                SAVE TEMP L114 SHI089WPI1B/A
              2 SEA ABB=ON PLU=ON L111 NOT L114
L115
                SAVE TEMP L115 SHI089WPI1A/A
              5 SEA ABB=ON PLU=ON L114 NOT L112
L116
               D TRI 1-5
    FILE 'STNGUIDE' ENTERED AT 14:40:21 ON 25 OCT 2006
               D SAVED
    FILE 'REGISTRY' ENTERED AT 14:46:50 ON 25 OCT 2006
                D QUE L45
L117
             11 SEA ABB=ON PLU=ON L37 AND L45
               D SCAN
    FILE 'STNGUIDE' ENTERED AT 14:47:53 ON 25 OCT 2006
    FILE 'ZREGISTRY' ENTERED AT 14:58:37 ON 25 OCT 2006
               E PIPERIDINE/CN
               E ISOXAZOLE/CN
               E THIOPHENE/CN
L118
               QUE ABB=ON PLU=ON (?THIOPHEN? OR ?PIPERIDIN? OR ?ISOXAZOL?)/C
               NS
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FILE 'REGISTRY' ENTERED AT 15:00:06 ON 25 OCT 2006
L119 . 10 SEA ABB=ON PLU=ON L118 AND L46
             QUE ABB=ON PLU=ON ?THIEN?/CNS
L120
            10 SEA ABB=ON PLU=ON L46 AND L120
L121
            10 SEA ABB=ON PLU=ON L119 OR L121
L122
     FILE 'STNGUIDE' ENTERED AT 15:02:19 ON 25 OCT 2006
     FILE 'REGISTRY' ENTERED AT 15:03:32 ON 25 OCT 2006
           105 SEA ABB=ON PLU=ON L45 AND (L118 OR L120)
L123
            O SEA ABB=ON PLU=ON L117 NOT L123
L124
     FILE 'STNGUIDE' ENTERED AT 15:04:18 ON 25 OCT 2006
    FILE 'REGISTRY' ENTERED AT 15:10:54 ON 25 OCT 2006
               D COST
            10 SEA ABB=ON PLU=ON L123 AND (?THIOPHEN? OR ?THIEN?)/CNS AND
                ?ISOXAZOL?/CNS
            95 SEA ABB=ON PLU=ON L123 AND ?PIPERIDIN?
L126
L*** DEL
            0 S L45 AND CL/ES
           608 SEA ABB=ON PLU=ON L45 AND CL/ELS
84 SEA ABB=ON PLU=ON L127 AND (L125 OR L126)
L127
L128
     FILE 'STNGUIDE' ENTERED AT 15:15:51 ON 25 OCT 2006
    FILE 'REGISTRY' ENTERED AT 15:17:01 ON 25 OCT 2006
             O SEA ABB=ON PLU=ON L128 AND (?ISOPROPYL? OR ?BIPYRIDIN?)/CNS
L129
               D SCAN L128
             2 SEA ABB=ON PLU=ON (L37 AND L45) NOT L128
L130
               D SCAN
     FILE 'STNGUIDE' ENTERED AT 15:19:10 ON 25 OCT 2006
    FILE 'REGISTRY' ENTERED AT 15:36:16 ON 25 OCT 2006
               QUE ABB=ON PLU=ON NOC3/ES
QUE ABB=ON PLU=ON SC4/ES
L131
L132
L133
               QUE ABB=ON PLU=ON NC5/ES
               D QUE L127
L134
            10 SEA ABB=ON PLU=ON L127 AND L131
               D QUE L2
         12213 SEA ABB=ON PLU=ON L2 AND CL/ELS
L135
L136
         237 SEA ABB=ON PLU=ON L135 AND L131
           348 SEA ABB=ON PLU=ON L135 AND L132
L137
          1681 SEA ABB=ON PLU=ON L135 AND L133
L138
          111 SEA ABB=ON PLU=ON L45 AND (L136 OR L137 OR L138)
L139
            27 SEA ABB=ON PLU=ON L139 NOT L128
L140
               D SCAN
     FILE 'STNGUIDE' ENTERED AT 15:40:57 ON 25 OCT 2006
               D QUE L127
```

FILE 'HCAPLUS' ENTERED AT 15:43:52 ON 25 OCT 2006 39 SEA ABB=ON PLU=ON L127 L141

FILE 'STNGUIDE' ENTERED AT 15:44:03 ON 25 OCT 2006 D QUE STAT

FILE 'REGISTRY' ENTERED AT 15:45:16 ON 25 OCT 2006 D QUE STAT L127

FILE 'STNGUIDE' ENTERED AT 15:45:45 ON 25 OCT 2006

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FILE 'REGISTRY' ENTERED AT 15:48:43 ON 25 OCT 2006
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SAVE TEMP L127 SHI089REGCLM/A

L142 ANALYZE PLU=ON L127 1- LC : 9 TERMS D 1-9

FILE 'HCAPLUS' ENTERED AT 15:51:40 ON 25 OCT 2006

39 SEA ABB=ON PLU=ON L127

L144 24 SEA ABB=ON PLU=ON L143 AND L49

L145 20 SEA ABB=ON PLU=ON L144 NOT L51

SAVE TEMP L145 SHI089HCA3B/A

L146 15 SEA ABBEON PLUEON L143 NOT L144

L147 11 SEA ABB=ON PLU=ON L146 NOT L52 SAVE TEMP L147 SHI089HCA3A/A

> FILE 'STNGUIDE' ENTERED AT 15:53:24 ON 25 OCT 2006 D SAVED

FILE 'USPATFULL, USPAT2, TOXCENTER, CASREACT, CHEMCATS' ENTERED AT 15:54:34 ON 25 OCT 2006

55 SEA ABB=ON PLU=ON L127 L148

L150

L149 18 SEA ABB=ON PLU=ON L148 AND L49

11 SEA ABB=ON PLU=ON L149 NOT L83

SAVE TEMP L150 SHI089MULS3B/A

0 SEA ABB=ON PLU=ON L149 NOT L149 T-151

37 SEA ABB=ON PLU=ON L148 NOT L149 L152

L153 29 SEA ABB=ON PLU=ON L152 NOT L84 SAVE TEMP L153 SHI089MULS3A/A

FILE 'STNGUIDE' ENTERED AT 15:56:35 ON 25 OCT 2006

D SAVED

D QUE L145

D QUE L147

FILE 'CAOLD' ENTERED AT 15:57:42 ON 25 OCT 2006 3 SEA ABB=ON PLU=ON L127 L154

SAVE TEMP L154 SHI089CAOLD/A

FILE 'STNGUIDE' ENTERED AT 15:58:08 ON 25 OCT 2006

D SAVED

D COST

FILE 'MEDLINE, BIOSIS, EMBASE, PASCAL, JICST-EPLUS, JAPIO, LIFESCI, BIOENG, BIOTECHNO, BIOTECHDS, DRUGU, DRUGB, VETU, VETB, SCISEARCH, CABA, CONFSCI, DISSABS' ENTERED AT 16:00:07 ON 25 OCT 2006

40725 SEA ABB=ON PLU=ON (L69 OR L70 OR L71 OR L72 OR L73 OR L74 OR L155 . L75)

4622 SEA ABB=ON PLU=ON L155 AND ?FACTOR? L156

25 SEA ABB=ON PLU=ON L155 AND (?AZAINDOL? OR (AZA (W) INDOL?)) L157

16 SEA ABB=ON PLU=ON L155 AND (?PYRROL?(10A) ?PYRIDIN?) L158

L159

1 SEA ABB=ON PLU=ON L157 AND L158 16 SEA ABB=ON PLU=ON (L158 OR L159) L160 SAVE TEMP L160 SHI089OTHINV/A

D SAVED

FILE 'STNGUIDE' ENTERED AT 16:06:02 ON 25 OCT 2006

D QUE STAT L2

D QUE STAT L34

- D OUE STAT L45
- D OUE NOS L46
- D QUE STAT L46
- D QUE NOS L47
- D L47 1-
- D QUE NOS L51
- D OUE NOS L83
- D QUE STAT L14
- D QUE STAT L90
- D QUE STAT L91
- D QUE STAT L92
- D QUE STAT L95
- D QUE STAT L31
- D QUE STAT L97
- D QUE STAT L99
- D QUE STAT L100
- D QUE STAT L103
- D QUE STAT L105
- D QUE NOS L114

FILE 'BEILSTEIN' ENTERED AT 16:19:43 ON 25 OCT 2006
D L94 IDE

FILE 'STNGUIDE' ENTERED AT 16:19:49 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, USPAT2, TOXCENTER, CASREACT, BABS, WPIX' ENTERED AT 16:21:26 ON 25 OCT 2006

L161

17 DUP REM L51 L83 L95 L114 (10 DUPLICATES REMOVED)

ANSWERS '1-7' FROM FILE HCAPLUS

ANSWERS '8-13' FROM FILE USPATFULL

ANSWERS '14-17' FROM FILE WPIX

FILE 'STNGUIDE' ENTERED AT 16:21:34 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 16:21:52 ON 25 OCT 2006

D IBIB ED AB HITSTR

FILE 'STNGUIDE' ENTERED AT 16:21:55 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 16:22:16 ON 25 OCT 2006
D IBIB ED AB HITSTR 2-7

FILE 'STNGUIDE' ENTERED AT 16:22:22 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 16:22:49 ON 25 OCT 2006

D IBIB AB HITSTR 8-13

FILE 'STNGUIDE' ENTERED AT 16:23:01 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, WPIX' ENTERED AT 16:23:26 ON 25 OCT 2006
D IALL ABEQ TECH ABEX HITSTR 14-17

FILE 'STNGUIDE' ENTERED AT 16:23:33 ON 25 OCT 2006

FILE 'STNGUIDE' ENTERED AT 16:24:24 ON 25 OCT 2006
D QUE NOS L52

D QUE NOS L85 D QUE NOS L115

FILE 'HCAPLUS, USPATFULL, TOXCENTER, CASREACT, CHEMCATS, WPIX' ENTERED AT 16:26:07 ON 25 OCT 2006

L163 19 DUP REM L52 L84 L115 (5 DUPLICATES REMOVED)

ANSWERS '1-6' FROM FILE HCAPLUS ANSWER '7' FROM FILE USPATFULL ANSWERS '8-19' FROM FILE CHEMCATS

FILE 'CHEMCATS' ENTERED AT 16:26:36 ON 25 OCT 2006

FILE 'STNGUIDE' ENTERED AT 16:26:46 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, CHEMCATS' ENTERED AT 16:27:01 ON 25 OCT 2006 D IBIB ED AB RETABLE HITSTR

FILE 'STNGUIDE' ENTERED AT 16:27:02 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, CHEMCATS' ENTERED AT 16:27:20 ON 25 OCT 2006 D IBIB ED AB RETABLE HITSTR 2-6

FILE 'STNGUIDE' ENTERED AT 16:27:24 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, CHEMCATS' ENTERED AT 16:27:40 ON 25 OCT 2006 D IBIB AB HITSTR 7

FILE 'STNGUIDE' ENTERED AT 16:27:41 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, CHEMCATS' ENTERED AT 16:27:53 ON 25 OCT 2006
D IDE 8-19

FILE 'STNGUIDE' ENTERED AT 16:27:54 ON 25 OCT 2006

D QUE STAT L127

D QUE NOS L142

D L142 1-

D QUE NOS L145

D QUE NOS L150

D QUE NOS L154

FILE 'HCAPLUS, USPATFULL, USPAT2, CASREACT, CAOLD' ENTERED AT 16:29:32 ON 25 OCT 2006

L164 30 DUP REM L145 L150 L154 (4 DUPLICATES REMOVED)

ANSWERS '1-20' FROM FILE HCAPLUS ANSWERS '21-27' FROM FILE USPATFULL ANSWERS '28-30' FROM FILE CAOLD

FILE 'STNGUIDE' ENTERED AT 16:29:42 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, CAOLD' ENTERED AT 16:30:04 ON 25 OCT 2006 D IBIB ED AB HITSTR

FILE 'STNGUIDE' ENTERED AT 16:30:06 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, CAOLD' ENTERED AT 16:30:21 ON 25 OCT 2006 D IBIB ED AB HITSTR 2-20

FILE 'STNGUIDE' ENTERED AT 16:30:52 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, CAOLD' ENTERED AT 16:31:44 ON 25 OCT 2006

D IBIB AB HITSTR 21-27

16.1

1 / 120. 0

FILE 'STNGUIDE' ENTERED AT 16:31:49 ON 25 OCT 2006

FILE 'CAOLD' ENTERED AT 16:32:09 ON 25 OCT 2006

FILE 'STNGUIDE' ENTERED AT 16:32:25 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, CAOLD' ENTERED AT 16:32:32 ON 25 OCT 2006

D IALL HITSTR 28

FILE 'STNGUIDE' ENTERED AT 16:32:32 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, CAOLD' ENTERED AT 16:32:44 ON 25 OCT 2006
D IALL HITSTR 29-30

FILE 'STNGUIDE' ENTERED AT 16:32:45 ON 25 OCT 2006

D QUE NOS L147

D QUE NOS L153

FILE 'HCAPLUS, USPATFULL, TOXCENTER, CASREACT, CHEMCATS' ENTERED AT 16:33:40 ON 25 OCT 2006

L165 33 DUP REM L147 L153 (7 DUPLICATES REMOVED)

ANSWERS '1-11' FROM FILE HCAPLUS

ANSWER '12' FROM FILE USPATFULL

ANSWER '13' FROM FILE TOXCENTER

ANSWERS '14-33' FROM FILE CHEMCATS

FILE 'STNGUIDE' ENTERED AT 16:33:44 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, TOXCENTER, CHEMCATS' ENTERED AT 16:34:11 ON 25 OCT 2006

D IBIB ED AB RETABLE HITSTR

FILE 'STNGUIDE' ENTERED AT 16:34:12 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, TOXCENTER, CHEMCATS' ENTERED AT 16:34:19 ON 25 OCT 2006

D IBIB ED AB RETABLE HITSTR 2-11

FILE 'STNGUIDE' ENTERED AT 16:34:27 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, TOXCENTER, CHEMCATS' ENTERED AT 16:35:09 ON 25 OCT 2006

D IBIB AB HITSTR 12

FILE 'STNGUIDE' ENTERED AT 16:35:20 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, TOXCENTER, CHEMCATS' ENTERED AT 16:36:07 ON 25 OCT 2006

D IBIB ED AB HITIND 13

FILE 'STNGUIDE' ENTERED AT 16:36:07 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, TOXCENTER, CHEMCATS' ENTERED AT 16:36:27 ON 25 OCT 2006

D IDE 14-33

FILE 'STNGUIDE' ENTERED AT 16:36:30 ON 25 OCT 2006 D OUE STAT L78

- D QUE NOS L85
- D QUE L113
- D QUE L160

FILE 'HCAPLUS, USPATFULL, TOXCENTER, CASREACT, WPIX, MEDLINE, BIOSIS, EMBASE, PASCAL, JAPIO, LIFESCI, DRUGU, SCISEARCH' ENTERED AT 16:38:07 ON 25 OCT 2006

L166

27 DUP REM L78 L85 L113 L160 (6 DUPLICATES REMOVED)

ANSWERS '1-8' FROM FILE HCAPLUS

ANSWERS '9-12' FROM FILE USPATFULL

ANSWERS '13-14' FROM FILE WPIX

ANSWER '15' FROM FILE MEDLINE

ANSWER '16' FROM FILE BIOSIS

ANSWERS '17-19' FROM FILE EMBASE

ANSWERS '20-22' FROM FILE PASCAL

ANSWERS '23-25' FROM FILE JAPIO

ANSWER '26' FROM FILE LIFESCI

ANSWER '27' FROM FILE DRUGU

FILE 'STNGUIDE' ENTERED AT 16:38:18 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, BIOSIS, EMBASE, PASCAL, JAPIO, LIFESCI, DRUGU' ENTERED AT 16:38:40 ON 25 OCT 2006

D IBIB ED AB 1-22

FILE 'STNGUIDE' ENTERED AT 16:38:45 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, BIOSIS, EMBASE, PASCAL, JAPIO, LIFESCI, DRUGU' ENTERED AT 16:39:14 ON 25 OCT 2006

D IBIB ED AB 23

FILE 'STNGUIDE' ENTERED AT 16:39:16 ON 25 OCT 2006

FILE 'HCAPLUS, USPATFULL, WPIX, MEDLINE, BIOSIS, EMBASE, PASCAL, JAPIO, LIFESCI, DRUGU' ENTERED AT 16:39:33 ON 25 OCT 2006

D IBIB ED AB 24-27

FILE 'STNGUIDE' ENTERED AT 16:39:36 ON 25 OCT 2006

FILE 'STNGUIDE' ENTERED AT 16:39:40 ON 25 OCT 2006

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 OCT 2006 HIGHEST RN 911193-70-9 DICTIONARY FILE UPDATES: 24 OCT 2006 HIGHEST RN 911193-70-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of

experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE BEILSTEIN

FILE LAST UPDATED ON JUNE 16, 2006

FILE COVERS 1771 TO 2006.

FILE CONTAINS 9,606,495 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

- * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.
- * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE
- * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE
- * ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.
- * FOR PRICE INFORMATION SEE HELP COST

- * PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE CHEMINFORMRX

FILE LAST UPDATED: 19 SEP 2006 <2

<20060919/UP>

FILE LREGISTRY

LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE STNGUIDE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Oct 25, 2006 (20061025/UP).

FILE HCAPLUS

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FILE COVERS 1907 - 25 Oct 2006 VOL 145 ISS 18 FILE LAST UPDATED: 24 Oct 2006 (20061024/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE ZCAPLUS

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FILE COVERS 1907 - 25 Oct 2006 VOL 145 ISS 18 FILE LAST UPDATED: 24 Oct 2006 (20061024/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 24 Oct 2006 (20061024/PD)
FILE LAST UPDATED: 24 Oct 2006 (20061024/ED)
HIGHEST GRANTED PATENT NUMBER: US7127745
HIGHEST APPLICATION PUBLICATION NUMBER: US2006236437
CA INDEXING IS CURRENT THROUGH 24 Oct 2006 (20061024/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 24 Oct 2006 (20061024/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006

FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 24 Oct 2006 (20061024/PD)
FILE LAST UPDATED: 24 Oct 2006 (20061024/ED)
HIGHEST GRANTED PATENT NUMBER: US2006139723
HIGHEST APPLICATION PUBLICATION NUMBER: US2006236276
CA INDEXING IS CURRENT THROUGH 24 Oct 2006 (20061024/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 24 Oct 2006 (20061024/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2006

FILE TOXCENTER

FILE COVERS 1907 TO 24 Oct 2006 (20061024/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The MEDLINE file segment has been updated with 2006 MEDLINE data and features. See HELP RLOAD for details.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

See http://www.nlm.nih.gov/mesh/

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html for a description of changes.

FILE CASREACT

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 22 Oct 2006 VOL 145 ISS 17

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE CHEMCATS

FILE LAST UPDATED 21 OCTOBER 2006 (20061021/UP)

For details on recent updates in CHEMCATS, enter NEWS FILE at an arrow prompt. For the list of suppliers currently in the file, enter HELP SPA, HELP SPBC, HELP SPDH, HELP SPIN, HELP SPOP, and HELP SPQZ. For the list of current catalogs, enter HELP CTA, HELP CTBC, HELP CTDH, HELP CTIN, HELP CTOP, and HELP CTQZ.

This database is provided on an "as is" basis. Please consult the suppliers for current information regarding pricing, regional availability, available quantities, purities, etc. THERE ARE NO WARRANTIES OF ANY KIND, EITHER EXPRESSED OR IMPLIED. ACS is not liable for any loss of profit, goodwill or any other damages arising out of the use of this database.

CHEMCATS now contains more than 10 million records. See HELP CONTENT and NEWS FILE for details.

FILE BABS

FILE LAST UPDATED: 25 SEP 2006 <20060925/UP>

FILE COVERS 1980 TO DATE.

FILE WPIX

FILE LAST UPDATED: 23 OCT 2006 <20061023/UP>
MOST RECENT THOMSON SCIENTIFIC UPDATE: 200668 <200668/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> YOU ARE IN THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX <<<

>>> FOR DETAILS ON THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX PLEASE VISIT:

http://www.stn-international.de/stndatabases/details/dwpi r.html <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

http://www.stn-international.de/training_center/patents/stn_guide.pdf

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://scientific.thomson.com/support/patents/coverage/latestupdates/

PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE http://www.stn-international.de/stndatabases/details/ipc_reform.html and http://scientific.thomson.com/media/scpdf/ipcrdwpi.pdf

>>> FOR DETAILS ON THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX PLEASE SEE

http://www.stn-international.de/stndatabases/details/dwpi_r.html <<<

>>> YOU ARE IN THE NEW AND ENHANCED DERWENT WORLD PATENTS INDEX <<<

A preliminary version of the Database Summary Sheet is available at: http://www.stn-international.de/stndatabases/details/wpi.pdf

FILE ZREGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 OCT 2006 HIGHEST RN 911193-70-9 DICTIONARY FILE UPDATES: 24 OCT 2006 HIGHEST RN 911193-70-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE CAOLD

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

FILE MEDLINE

FILE LAST UPDATED: 24 Oct 2006 (20061024/UP). FILE COVERS 1950 TO DATE.

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>). See also:

http://www.nlm.nih.gov/mesh/

http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html

http://www.nlm.nih.gov/pubs/techbull/nd05/nd05 2006 MeSH.html

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 18 October 2006 (20061018/ED)

FILE EMBASE

FILE COVERS 1974 TO 25 Oct 2006 (20061025/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE PASCAL

FILE LAST UPDATED: 23 OCT 2006

<20061023/UP>

FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE IN THE BASIC INDEX (/BI) FIELD <><

1 • =

FILE JICST-EPLUS

FILE COVERS 1985 TO 24 OCT 2006 (20061024/ED)

THE JICST-EPLUS FILE HAS BEEN RELOADED TO REFLECT THE 1999 CONTROLLED TERM (/CT) THESAURUS RELOAD.

FILE JAPIO

7.

FILE LAST UPDATED: 3 APR 2006 <20060403/UP>
FILE COVERS APRIL 1973 TO DECEMBER 22, 2005

- >>> GRAPHIC IMAGES AVAILABLE <<<
- >>> NEW IPC8 DATA AND FUNCTIONALITY NOT YET AVAILABLE IN THIS FILE.
 USE IPC7 FORMAT FOR SEARCHING THE IPC. WATCH THIS SPACE FOR FURTHER
 DEVELOPMENTS AND SEE OUR NEWS SECTION FOR FURTHER INFORMATION
 ABOUT THE IPC REFORM <><

FILE LIFESCI

FILE COVERS 1978 TO 18 Oct 2006 (20061018/ED)

FILE BIOENG

FILE LAST UPDATED: 20 OCT 2006 <20061020/UP>

FILE COVERS 1982 TO DATE

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN THE BASIC INDEX <<<

FILE BIOTECHNO

FILE LAST UPDATED: 7 JAN 2004 <20040107/UP>

FILE COVERS 1980 TO 2003.

- >>> BIOTECHNO IS NO LONGER BEING UPDATED AS OF 2004 <<<
- >>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN /CT AND BASIC INDEX <<<

FILE BIOTECHDS

FILE LAST UPDATED: 19 OCT 2006 <20061019/UP>

FILE COVERS 1982 TO DATE

>>> USE OF THIS FILE IS LIMITED TO BIOTECH SUBSCRIBERS <<<

FILE DRUGU

FILE LAST UPDATED: 23 OCT 2006 <20061023/UP>

>>> DERWENT DRUG FILE (SUBSCRIBER) <<<

>>> FILE COVERS 1983 TO DATE <<<

>>> THESAURUS AVAILABLE IN /CT <<<

FILE DRUGB

>>> FILE COVERS 1964 TO 1982 - CLOSED FILE <<<

FILE VETU

FILE LAST UPDATED: 02 JAN 2002 <20020102/UP>

FILE COVERS 1983-2001

FILE VETB

FILE LAST UPDATED: 25 SEP 94 <940925/UP>

FILE COVERS 1968-1982

FILE SCISEARCH

FILE COVERS 1974 TO 20 Oct 2006 (20061020/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE CABA

FILE COVERS 1973 TO 6 Oct 2006 (20061006/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The CABA file was reloaded 7 December 2003. Enter HELP RLOAD for details.

FILE CONFSCI

FILE COVERS 1973 TO 29 Aug 2006 (20060829/ED)

CSA has resumed updates, see NEWS FILE

FILE DISSABS

=>

FILE COVERS 1861 TO 28 SEP 2006 (20060928/ED)

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